```
=> d his
```

```
(FILE 'HOME' ENTERED AT 17:42:18 ON 02 AUG 2010)
```

```
FILE 'REGISTRY' ENTERED AT 17:42:28 ON 02 AUG 2010
L1 STRUCTURE UPLOADED
L2 2 S L1
L3 885 S L1 SSS FUL
L4 772 S L3 AND CAPLUS/LC
L5 113 S L3 NOT L4
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FILE 'CAPLUS' ENTERED AT 17:46:17 ON 02 AUG 2010

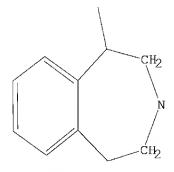
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FILE 'REGISTRY' ENTERED AT 17:46:41 ON 02 AUG 2010
L6
                STRUCTURE UPLOADED
L7
            860 S L6 SUB=L3 FUL
L8
            780 S L7 AND 6-7/SZ
L9
             80 S L7 NOT L8
             60 S L9 AND 4-5-6/SZ
L10
             20 S L9 NOT L10
L11
              2 S 4-5-5-6/SZ AND L11
L12
             18 S L11 NOT L12
L13
L14
              2 S L13 AND FURO
L15
             16 S L13 NOT L14
L16
            782 S L8 OR L14
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FILE 'CAPLUS' ENTERED AT 17:57:54 ON 02 AUG 2010 94 S L16

FILE 'REGISTRY' ENTERED AT 17:58:45 ON 02 AUG 2010 L18 701 S L16 AND CAPLUS/LC L19 81 S L16 NOT L18

FILE 'CAPLUS' ENTERED AT 18:00:04 ON 02 AUG 2010 TO S L17 NOT (2010/SO OR 2009/SO OR 2008/SO OR 2007/SO OR 2006/SO

=> d l1 L1 HAS NO ANSWERS L1 STR



G1 C,OH

L17

Structure attributes must be viewed using STN Express query preparation.

10/560,953

=> d 16 L6 HAS NO ANSWERS L6 STR

G1 C,OH G2 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> d ibib abs hitstr total

L20 ANSWER 1 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:1501809 CAPLUS

DOCUMENT NUMBER: 152:12347

TITLE: Spiro[pyrazolopyran-piperidine] ketones as acetyl-CoA

carboxylase inhibitors and their preparation,

pharmaceutical compositions and use in the treatment

of diseases

INVENTOR(S): Corbett, Jeffrey Wayne; Elliott, Richard Louis;

Freeman-Cook, Kevin Daniel; Griffith, David Andrew;

Phillion, Dennis Paul

PATENT ASSIGNEE(S): Pfizer, Inc., USA

SOURCE: PCT Int. Appl., 147pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PAT	PATENT NO.					KIND DATE					APPLICATION NO.						DATE				
WO	2009	1445.	54		A1		2009:	1203	Ī	WO 2	009-	IB56	49		20	0090	518				
	W:	ΑE,	AG,	AL,	AM,	AO,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,				
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,				
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,				
		KG,	KM,	KN,	ΚP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,				
	ME, MG, N				MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,				
	PL, PT, F			RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL_{r}	SM,	ST,	SV,	SY,	ΤJ,				
	TM, TN, TH			TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW						
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	ΗU,				
		IE,	IS,	ΙΤ,	LT,	LU,	LV,	MC,	MK,	MT,	NL,	NO,	PL_{\prime}	PT,	RO,	SE,	SI,				
		SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	$\mathrm{ML}_{m{r}}$	MR,	ΝE,	SN,				
	TD, TG, BW			BW,	GH,	GM,	ΚE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,				
	ZW, AM, AZ			ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM										
PRIORITY	ORITY APPLN. INFO.:								US 2008-56652P					P 20080528							
										US 2008-58689P					P 20080604						
									1	US 2	009-1	1715	19P	P 20090422							

OTHER SOURCE(S): MARPAT 152:12347

GΙ

$$\begin{array}{c|c}
R1 - N \\
R2 \\
O \\
O \\
O
\end{array}$$
R3

AB The invention provides compds. of formula I or a pharmaceutically acceptable salt of said compound, pharmaceutical compns. thereof; and the use thereof in treating diseases, conditions or disorders modulated by the inhibition of acetyl-CoA carboxylase enzyme(s) in an animal. Compds. of formula I wherein R1 is C1-4 alkyl, C3-6 cycloalkyl, tetrahydrofuranyl, Bn, etc.; R2 is H, Me and Et; R3 is (un) substituted benzazole, (un) substituted quinolinyl, (un) substituted naphthyl, etc.; and pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their acetyl-CoA carboxylase inhibitory activity. From the assay, it was determined that compound II exhibited IC50 values in the range of 9 - 11 nM.

IT616202-92-7, Lorcaserin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(codrug; preparation of spiro[pyrazolopyran-piperidine] ketones as acetyl-CoA carboxylase inhibitors useful in the treatment of acetyl-CoA carboxylase-mediated diseases)

616202-92-7 CAPLUS RN

1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX CN NAME)

REFERENCE COUNT: 5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:1501808 CAPLUS

DOCUMENT NUMBER: 152:12346

TITLE: Spiro[pyrazolopyran-piperidine] ketone as acetyl-CoA

carboxylase inhibitors and their preparation and use

in the treatment of obesity

INVENTOR(S): Freeman-Cook, Kevin Daniel; Samas, Brian Matthew

PATENT ASSIGNEE(S): Pfizer Inc., USA SOURCE: PCT Int. Appl., 35pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA'	PATENT NO.					D	DATE		APPLICATION NO.						DATE			
WO	2009	1445	55		A1	_	2009	1203	Ī	WO 2	009-:	IB56	59		2	0090	518	
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		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	
		FI,	GB,	${ m GD}_{m r}$	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	
	KG, KM, KN,			KN,	ΚP,	KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	
	ME, MG, MK,			MN,	MW,	MX,	MY,	ΜZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,		
	PL, PT, RO,		RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ΤJ,			
		TM, TN, TR,		TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW				
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		ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	ΤJ,	TM							
PRIORIT	RITY APPLN. INFO.:			. :					US 2008-56652P]	P 20080528			
							US 2008-58689P]	P 20080604						
									1	US 2009-171112P]	P 200904		4 21		

GΙ

AB The invention provides a compound of formula I or a pharmaceutically acceptable salt of said compound, pharmaceutical compns. thereof; and the use thereof in treating mammals suffering from the condition of being overweight. Compound I was prepared by condensation of pyruvaldehyde with tert-butylhydrazine hydrochloride; the resulting hydrazone underwent cyclization with glyoxal to give 1-tert-butyl-3-acetyl-4-hydroxypyrazole, which underwent spirocyclization with N-Boc-piperidin-4-one to give the

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Boc-protected spiro[pyrazolopyran-piperidine] derivative, which underwent deprotection and acylation with 1H-indazole-5-carboxylic acid to give compound I. Compound I was also crystallized into different polymorphic forms. Compound I was evaluated for its acetyl-CoA carboxylase inhibitory activity. From the assay, it was determined that compound I exhibited IC50 values in the range og 6,7 - 17.2 nM.

IT 616202-92-7, Lorcaserin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(codrug; preparation and polymorphs of spiro[pyrazolopyran-piperidine] indazole ketone derivative as acetyl-CoA carboxylase inhibitor useful in the treatment of obesity)

RN 616202-92-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 3 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

2009:1047995 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 151:288988

TITLE: Preparation of isoquinoline, isoindoline, and

benzoazepine amides as therapeutic modulators of the

histamine H3 receptor

Santora, Vincent J.; Hofilena, Brian J.; Pulley, INVENTOR(S):

Michelle; Semple, Graeme; Shan, Yun; Smith, Brian M.

PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 132pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.					KIND DATE				APPLICATION NO.						DATE		
•	WO 200	91052	06		A1	_	2009	0827	•	WO 2	009-	US10:	22		2	0090:	218	
	W:	ΑE,	AG,	AL_{r}	AM,	ΑO,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM_{r}	DO,	DZ,	EC,	EE,	EG,	ES,	
		FI,	GB,	GD,	GΕ,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	
	KG, KM, KN				KΡ,	KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	
	ME, MG, MK				MN,	MW,	MX,	MY,	ΜZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	
	PL, PT, RO,		RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ТJ,		
		TM, TN, TR,		TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW			
	RW	: AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,	
		IE,	IS,	ΙT,	LT,	LU,	LV,	MC,	MK,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	
		SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	
		TD_{r}	TG,	BW,	GH,	GM,	ΚE,	LS,	MW,	MΖ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	
		ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM							
PRIOR	PRIORITY APPLN. INFO.:								US 2008-66246P						P 20080219			
											US 2008-195644P					P 20081008		
OTHER	OTHER SOURCE(S):					PAT	151:	2889	988									

GT

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Amide derivs. of Formula I (wherein R1 is H or C1-C4 alkyl; R2 is H or halogen; R3 is H, C1-C4 alkyl or C3-C6 cycloalkyl, and R4 is H; or R3 and R4 together with the atom to which they are both bonded form a C3-C6 cycloalkyl; R5 is C1-C6 alkyl, aryl, C3-C6 cycloalkyl, heteroaryl and heterocyclyl, each of which is optionally substituted; R6, R7 and R8 are independently H, C1-C6 alkoxy, C1-C6 alkyl, amino, halogen, heterocyclyl and hydroxy; m = 0-1; n = 1-2; and V is CH2, O or is absent) and pharmaceutical compns. thereof that modulate the activity of the histamine H3 receptor are claimed. Compds. of the present invention and pharmaceutical compns. thereof are directed to methods useful in the treatment of histamine H3-associated disorders, such as cognitive disorders, epilepsy, brain trauma, depression, obesity, disorders of sleep and wakefulness such as excessive daytime sleepiness, narcolepsy, shift-work sleep disorder, drowsiness as a side effect from a medication, maintenance of vigilance to aid in the completion of tasks and the like, cataplexy, hypersomnia, somnolence syndrome, jet lag, sleep apnea and the like,

attention deficit hyperactivity disorder (ADHD), schizophrenia, allergies, allergic responses in the upper airway, allergic rhinitis, nasal congestion, dementia, Alzheimer's disease, pain and the like. Synthetic procedures for preparing I are exemplified. Example compound II, prepared by reacting III with cyclopropanecarbonyl chloride, had a Ki of 0.45 nM in an [3H]-N- α -methylhistamine competitive histamine H3 receptor binding assay.

TT 1181690-70-9P 1181690-88-9P 1181690-89-0P 1181690-92-5P 1181690-94-7P 1181690-95-8P 1181690-98-1P 1181690-99-2P 1181691-01-9P 1181691-03-1P 1181692-11-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of isoquinoline, isoindoline, and benzoazepine amides as therapeutic modulators of the histamine H3 receptor)

RN 1181690-70-9 CAPLUS

CN Ethanone, 1-[(1R)-1,2,4,5-tetrahydro-1-methyl-8-[4-[2-[(2R)-2-methyl-1-pyrrolidinyl]ethyl]phenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1181690-88-9 CAPLUS

CN Ethanone, 1-[(1R)-9-fluoro-1,2,4,5-tetrahydro-1-methyl-8-[4-[2-[(2R)-2-methyl-1-pyrrolidinyl]ethyl]phenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1181690-89-0 CAPLUS

CN Ethanone, 1-[(1R)-9-fluoro-1,2,4,5-tetrahydro-1-methyl-8-[4-[2-[(2R)-2-methyl-1-pyrrolidinyl]ethyl]phenyl]-3H-3-benzazepin-3-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 1181690-88-9 CMF C26 H33 F N2 O

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 1181690-92-5 CAPLUS

CN Ethanone, 1-[(1S)-9-chloro-1,2,4,5-tetrahydro-1-methyl-7-[4-[2-[(2R)-2-methyl-1-pyrrolidinyl]ethyl]phenyl]-3H-3-benzazepin-3-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 1181690-91-4 CMF C26 H33 Cl N2 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 1181690-94-7 CAPLUS

CN Ethanone, 1-[1,2,4,5-tetrahydro-7-hydroxy-1-methyl-8-[4-[2-[(2R)-2-methyl-1-pyrrolidinyl]ethyl]phenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1181690-95-8 CAPLUS

CN Ethanone, 1-[1,2,4,5-tetrahydro-7-hydroxy-1-methyl-8-[4-[2-[(2R)-2-methyl-1-pyrrolidinyl]ethyl]phenyl]-3H-3-benzazepin-3-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 1181690-94-7

10/560,953

CMF C26 H34 N2 O2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 1181690-98-1 CAPLUS

CN Ethanone, 1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-[4-[2-[(2R)-2-methyl-1-pyrrolidinyl]ethyl]phenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1181690-99-2 CAPLUS

CN Ethanone, 1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-[4-[2-[(2R)-2-methyl-1-pyrrolidinyl]ethyl]phenyl]-3H-3-benzazepin-3-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 1181690-98-1 CMF C27 H36 N2 O2 Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

$$\begin{array}{c} F \\ | \\ F - C - CO_2H \\ | \\ F \end{array}$$

RN 1181691-01-9 CAPLUS

CN 1-Propanone, 3-methoxy-1-[(1R)-1,2,4,5-tetrahydro-1-methyl-8-[4-[2-[(2R)-2-methyl-1-pyrrolidinyl]ethyl]phenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1181691-03-1 CAPLUS

CN Methanone, cyclopropyl[(1R)-1,2,4,5-tetrahydro-1-methyl-8-[4-[2-[(2R)-2-methyl-1-pyrrolidinyl]ethyl]phenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

RN 1181692-11-4 CAPLUS

CN Methanone, cyclopropyl[(1R)-1,2,4,5-tetrahydro-1-methyl-8-[4-[2-[(2R)-2-methyl-1-pyrrolidinyl]ethyl]phenyl]-3H-3-benzazepin-3-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 1181691-03-1 CMF C28 H36 N2 O

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

IT 846589-98-8, (R)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 1181690-90-3, (R)-1-[8-Chloro-9-fluoro-1-methyl-4,5-dihydro-1H-benzo[d]azepin-3(2H)-

```
yl]ethanone
                   1181690-93-6,
     (S)-1-[8,9-Dichloro-1-methyl-4,5-dihydro-1H-benzo[d]azepin-3(2H)-
                 1181690-96-9,
     yl]ethanone
     \bar{1}-[8-Chloro-7-hydroxy-1-methyl-4,5-dihydro-1H-benzo[d]azepin-3(2H)-
     yl]ethanone 1181691-00-8,
     1-[8-Chloro-7-methoxy-1-methyl-4,5-dihydro-1H-benzo[d]azepin-3(2H)-
     yl]ethanone
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of isoquinoline, isoindoline, and benzoazepine amides as
        therapeutic modulators of the histamine H3 receptor)
RN
     846589-98-8 CAPLUS
     1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride
CN
     (1:1), (1R) - (CA INDEX NAME)
```

Absolute stereochemistry.

● HCl

RN 1181690-90-3 CAPLUS

CN Ethanone, 1-[(1R)-8-chloro-9-fluoro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1181690-93-6 CAPLUS

CN Ethanone, 1-[(1S)-8,9-dichloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

RN 1181690-96-9 CAPLUS

CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)

RN 1181691-00-8 CAPLUS

CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)

IT 1181690-72-1P, (R)-1-[8-Chloro-1-methyl-4,5-dihydro-1H-

benzo[d]azepin-3(2H)-yl]ethanone 1181691-02-0P,

(R) -1-(8-Chloro-1-methyl-1,2,4,5-tetrahydrobenzo[d]azepin-3-yl)-3-methoxypropan-1-one

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of isoquinoline, isoindoline, and benzoazepine amides as therapeutic modulators of the histamine H3 receptor)

RN 1181690-72-1 CAPLUS

CN Ethanone, 1-[(1R)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

10/560,953

RN 1181691-02-0 CAPLUS

CN 1-Propanone, 1-[(1R)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-3-methoxy- (CA INDEX NAME)

Absolute stereochemistry.

1

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L20 ANSWER 4 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN
                            2009:820835 CAPLUS
ACCESSION NUMBER:
                            151:156369
DOCUMENT NUMBER:
TITLE:
                            Combination of metformin R-(+)-lipoate and antiobesity
                            agents for the treatment of diabetic hyperglycemia and
                            diabetic complications
INVENTOR(S):
                            Mylari, Banavara L.
PATENT ASSIGNEE(S):
                            Indigene Pharmaceuticals, Inc., USA
SOURCE:
                            PCT Int. Appl., 20pp.
                            CODEN: PIXXD2
DOCUMENT TYPE:
                            Patent
LANGUAGE:
                            English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                                                 APPLICATION NO.
                            KIND
                                    DATE
                                                                            DATE
                            ____
                                                 _____
                                    _____
                                                                            _____
     WO 2009085198
                             Α2
                                    20090709
                                                 WO 2008-US13878
                                                                            20081219
     WO 2009085198
                             A3
                                    20090903
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         KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
              IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
              TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
              TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
              AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
PRIORITY APPLN. INFO.:
                                                  US 2007-8922P
                                                                        P 20071220
     Disclosed are pharmaceutical compns., methods of treatment, and kits for
     the treatment of type 2 diabetic hyperglycemia and diabetic complications
     using combination treatments comprising metformin R-(+)-lipoate and
     antiobesity agents. Thus, metformin R-(+)-alpha-lipoate was prepared:
     sodium methoxide (0.31 g) was dissolved in methanol (2 mL) and to this
     solution was added metformin hydrochloride (1 g) while stirring; acetone (40
     mL) was added and the mixture was filtered; to the filtrate, containing
     metformin in the form of its free base, (R)-(+)-lipoic acid (1.25 \text{ g})
     dissolved in 15 mL acetone) was added dropwise with constant stirring
     resulting in the precipitation of a pale yellow solid; the light yellow solid
was
     washed with acetone (30 mL), filtered, and dried to yield metformin
     R-(+)-lipoate; m.p. 148-150°C; (\alpha) D20 = + 67.7° (c =
     1, water); C12H25N5O2S2 calculated C 42.99, H 7.46, N 20.89, S 19.10; found C
     43.09, H 7.62, N 20.84, S 19.23.
IT
     846589-98-8
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
      (Biological study); USES (Uses)
         (combination of metformin R-(+)-lipoate and antiobesity agents for
         treatment of diabetic hyperglycemia and diabetic complications)
     846589-98-8 CAPLUS
RN
     1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride
      (1:1), (1R) - (CA INDEX NAME)
Absolute stereochemistry.
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● HCl

10/560,953

L20 ANSWER 5 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:796460 CAPLUS

DOCUMENT NUMBER: 151:108464

TITLE: Pharmaceutical compositions comprising monoamine

neurotransmitter reuptake inhibitor such as

tesofensine, and anti-obesity drug

INVENTOR(S): Mikkelsen, Jens Damsgaard

PATENT ASSIGNEE(S): Neurosearch A/S, Den. SOURCE: PCT Int. Appl., 18pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	PATENT NO.					KIND DATE				APPLICATION NO.						DATE		
	2009				A2		2009		1	WO 2	008-	EP67	853		2	0081	218	
MO	2009	0806	91		A3		2009	0827										
	W:	ΑE,	ΑG,	ΑL,	ΑM,	ΑO,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	
		FI,	GB,	GD,	GΕ,	GH,	GM,	GT,	HN,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	ΚE,	
		KG,	KM,	KN,	KΡ,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	
	ME, MG, MK,		MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,			
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ТJ,	
		TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW			
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,	
		IE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,	
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	
		TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	
		AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AP,	EA,	EP,	OA				
RIORIT	ORITY APPLN. INFO.:					113, 113, 113, 113,			DK 2007-1833						A 2	0071	220	
										US 2007-16054P					P 20071221			
muer a	D GOUDGE / GA					D =	a = a	1001										

OTHER SOURCE(S): MARPAT 151:108464

GΙ

AB This invention relates to novel pharmaceutical compns. comprising a therapeutically effective combination of a compound of formula (I; Ra = hydrogen or alkyl; Rb = dihalophenyl group), any of its stereoisomers or any mixture of its stereoisomers, or a pharmaceutically acceptable salt thereof; and an anti-obesity compound The compds. of formula I for use according to the invention are monoamine neurotransmitter reuptake inhibitors such as tesofensine. The pharmaceutical compns. for use according to the invention are contemplated particularly useful for combating obesity or an obesity associated disease.

IT 616202-92-7, Lorcaserin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical compns. comprising monoamine neurotransmitter reuptake inhibitor such as tesofensine and antiobesity drug)

RN 616202-92-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

L20 ANSWER 6 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:710144 CAPLUS

DOCUMENT NUMBER: 151:56722

TITLE: Preparation and disclosure of indoleamine

2,3-dioxygenase (IDO) inhibitors

INVENTOR(S): Mautino, Mario; Jaipuri, Firoz; Marcinowicz-Flick,

Agnieszka; Kesharwani, Tanay; Waldo, Jesse

PATENT ASSIGNEE(S): Newlink Genetics, USA SOURCE: PCT Int. Appl., 296pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	PATENT NO.					D	DATE		APPLICATION NO.							DATE 		
MO	2009	0736.	 20		A2		 2009	0611	Ĭ	WO 2	008-	US85	167		2	0081	201	
WO	2009	0736.	20		Α9		2010	0325										
	W:	ΑE,	AG,	AL,	AM,	AO,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR_{r}	BW,	BY,	BZ,	
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	
		KG,	KM,	KN,	ΚP,	KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	ΜA,	MD,	
	ME, MG, MK			MK,	MN,	MW,	MΧ,	MY,	MΖ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	
		PL_{r}	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ΤJ,	
		TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW			
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HR,	ΗU,	
		ΙE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	ΝL,	NO,	PL_{\prime}	PT,	RO,	SE,	SI,	SK,	
		TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	
		TG,	BW,	GH,	GM,	ΚE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	
		AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM,	ΑP,	EA,	EP,	ΟA				
CA	CA 2707308				A1		2009	0611	1	CA 2	008-	2707:	308		2	0081	201	
PRIORIT	RIORITY APPLN. INFO.:			. :					US 2007-991518P						P 20071130		130	
									US 2008-50646P						P 20080506		506	
						WO 2008-US85167						W 2	2008120					

OTHER SOURCE(S): MARPAT 151:56722

GΙ

$$A \rightarrow L-O-NH_2$$
 I

AB Indoleamine 2,3-dioxygenase (IDO) inhibitors, e.g., I, and their pharmaceutically acceptable salts, are prepared and disclosed. Synthetic methods are provided for obtaining the inhibitors. For example, compound II was prepared via reaction of 3-nitrobenzyl alc. with N-hydroxyphthalimide to form the phthalimide-protected hydroxylamine, which was then converted to II upon reaction with hydrazine monohydrate. Select inhibitors were assayed for IDO docking (binding) scores and found to possess scores of -10.64 to -3.43 kcal/mol. The invention is directed to using these inhibitors to treat diseases including IDO-mediated immunosuppression and immunosuppression associated with infectious diseases, including HIV-I. The invention is also directed to using these inhibitors in cancer treatment and tumor-specific immunosuppression.

IT 19301-11-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and disclosure of indoleamine 2,3-dioxygenase (IDO) inhibitors) RN 19301-11-2 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro- (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L20 ANSWER 7 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:619315 CAPLUS

DOCUMENT NUMBER: 150:563814

TITLE: Preparation of substituted amides as factor Xa

inhibitor and/or related serine proteases inhibitors

INVENTOR(S): Gerlach, Kai; Nar, Herbert; Priepke, Henning;

Schuler-Metz, Annette; Wienen, Wolfgang

PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany

SOURCE: PCT Int. Appl., 57pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT	KIND DATE				APPLICATION NO.							DATE				
WO 2009	906302	28		A2	_	2009	0522	Ī	WO 2	008 - 1	EP65	510			0081	
WO 2009	906302	28		A3		2009	0924									
W:	ΑE,	AG,	AL,	AM,	AO,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
	CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
	FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,
	KG, KM, KN				KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
	ME, MG, MK				MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
	PL, PT, RC			RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ТJ,
	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW		
RW	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
	ΙE,	IS,	ΙT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
	TG,	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AP,	EA,	EP,	OA			
PRIORITY API	,,,					EP 2007-120757						A 20071115				
OTHER SOURCE(S):					PAT	150:	5638:	14								
CT																

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The title compds. I [D = II (wherein K1, K4 = a bond, (un) substituted CH2, CO; K2, K3 = (un) substituted CH2 or CO; R1 = H, OH, alkoxy, etc.; A1 = N or CR9; A2 = N or CR10; A3 = N or CR11; R9-R11 = H, F, Cl, Ph, etc.); R3 = H or alkyl; R4, R5 = H, (un) substituted alkyl; Y = CO, CS, S(O), SO2, etc.; A = (un) substituted NH; B = III (R7 = F, Cl, Br, etc.; R8 = H, F, Cl, etc.); and the diastereomers, the mixts. thereof and the salts thereof, particularly the physiol. acceptable salts thereof with inorg. or organic acids or bases] with an inhibitory effect on factor Xa and/or an inhibitory effect on related serine proteases, were prepared and formulated. E.g., a multi-step synthesis of the title compound (S)-IV.TFA, starting from tert-Bu 6-amino-3,4-dihydro-1H-isoquinoline-2-carboxylate, was given. All the compds. I tested have an IC50 value of less than 100 μM/L.

TT 1154424-48-2P 1154424-49-3P 1154424-55-1P 1154424-56-2P

RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

GT

(preparation of substituted amides as factor Xa inhibitor and/or related serine proteases inhibitors)

RN 1154424-48-2 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[[(5S)-2-oxo-3-(2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl)-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1154424-49-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 1154424-55-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 1154424-56-2 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[[(5S)-2-oxo-3-(2,3,4,5-tetrahydro-1,3-dimethyl-1H-3-benzazepin-7-yl)-5-oxazolidinyl]methyl]- (CA INDEX NAME)

L20 ANSWER 8 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:617351 CAPLUS

DOCUMENT NUMBER: 150:563837

TITLE: Preparation of substituted amides as factor Xa

inhibitor and/or related serine proteases inhibitors

Pfau, Roland; Dahmann, Georg; Gerlach, Kai; Nar, INVENTOR(S):

Herbert; Priepke, Henning; Schuler-Metz, Annette;

Wienen, Wolfgang

PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany

SOURCE: PCT Int. Appl., 61pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.					KIND DATE					APPLICATION NO.					
WO 20	090630	29		A2		20090522 20091223		1	wo 2	008-	EP65	511		2	0081	114
	090630			A3				7.17	D. z.	DD	D.C.	DII	DD	DLI	DI	De
W		AG,														
	CA,	CH,	CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
	FΙ,	GΒ,	GD,	GΕ,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,
	KG, KM, KN				KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
	ME, MG, MK															
	PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ΤJ,
	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW	-	-
R	W: AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
	IE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
	TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
	AM,	AZ,	BY.	KG,	KZ,	MD,	RU,	TJ,	TM,	AP,	EA,	EP,	OA			
PRIORITY A	PRIORITY APPLN. INFO.:					EP 2007-120841							A 20071116			
OTHER SOUR	OTHER SOURCE(S):					CASREACT 150:563837; MARPAT 150:563837										
GI	, ,				in the second se											

GΙ

$$N = N$$

$$N$$

The title compds. I [D = II (wherein K1, K4 = a bond, (un) substituted CH2, CO; K2, K3 = (un) substituted CH2 or CO; R1 = H, OH, alkoxy, etc.; A1 = N or CR9; A2 = N or CR10; A3 = N or CR11; R9-R11 = H, F, C1, Ph, etc.); R4, R5 = H, (un) substituted alkyl; L = (un) substituted 5-membered monocyclic heteroarylene or III (R6 = H or (un) substituted alkyl); B = IV (R7 = F, C1, Br, etc.; R8 = H, F, C1, etc.); and the diastereomers, the mixts. thereof and the salts thereof, particularly the physiol. acceptable salts thereof with inorg. or organic acids or bases] with an inhibitory effect on factor Xa and/or an inhibitory effect on related serine proteases, were prepared and formulated. E.g., a multi-step synthesis of the title compound V, starting from 6-amino-2-methyl-1,2,3,4-tetrahydro-isoquinoline, was given. All the compds. I tested have an IC50 value of less than 100 μM/L against factor Xa.

TT 1154422-12-4P 1154422-15-7P 1154422-16-8P 1154422-17-9P 1154422-19-1P

RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted amides as factor Xa inhibitor and/or related serine proteases inhibitors)

RN 1154422-12-4 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[[1-(2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl)-1H-1,2,3-triazol-4-yl]methyl]- (CA INDEX NAME)

RN 1154422-15-7 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[[1-(2,3,4,5-tetrahydro-1,3-dimethyl-1H-3-benzazepin-7-yl)-1H-1,2,3-triazol-4-yl]methyl]- (CA INDEX NAME)

RN 1154422-16-8 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[[2-methyl-1-(2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl)-1H-imidazol-4-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c}
Me & O & O \\
N & O &$$

RN 1154422-17-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1154422-19-1 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[[2-(methoxymethyl)-1-(2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl)-1H-imidazol-4-yl]methyl]-(CA INDEX NAME)

Me MeO-CH₂

$$N CH2-NH-C$$

$$N CH2-NH-C$$

IT 1154422-09-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted amides as factor Xa inhibitor and/or related serine proteases inhibitors)

RN 1154422-09-9 CAPLUS

CN 2-Thiophenecarboxamide, 5-bromo-N-[[1-(2,3,4,5-tetrahydro-1,1,3-trimethyl-1H-3-benzazepin-7-yl)-1H-1,2,3-triazol-4-yl]methyl]- (CA INDEX NAME)

IT 1225023-04-0

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of substituted amides as factor Xa inhibitor and/or related serine proteases inhibitors)

RN 1225023-04-0 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-1,1,3-trimethyl- (CA INDEX NAME)

$$\stackrel{\text{Me}}{\longrightarrow} \stackrel{\text{NH}_2}{\longrightarrow} \stackrel{\text{NH}_2}{\longrightarrow}$$

L20 ANSWER 9 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:490777 CAPLUS

DOCUMENT NUMBER: 150:472578

Preparation of deuterated 3-benzazepine (lorcaserin) TITLE:

derivatives as 5HT2C modulators

INVENTOR(S): Liu, Julie F.

Concert Pharmaceuticals, Inc., USA PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 43pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	PATENT NO.					KIND DATE					APPLICATION NO.					
WO 2009	0517	 47		A1	_	2009	0423	1						2	0081	015
W:	ΑE,	AG,	AL,	AM,	AO,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
	CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
	FΙ,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,
	KG,	KM,	KN,	KΡ,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
	ME, MG, MK						MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
	PL, PT, RO					SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ТJ,
	TM,	TN,	TR,	TT,	TZ_{r}	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW		
RW:	ΑT,	ΒE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
	ΙE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL_{\prime}	PT,	RO,	SE,	SI,	SK,
	TR,	BF,	ΒJ,	$CF_{m{r}}$	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	$\mathrm{ML}_{m{r}}$	MR,	NE,	SN,	TD,
	TG,	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM							
US 2009	0143	363		A1		2009	0604	4 US 2008-288013						2	0081	015
PRIORITY APE	PRIORITY APPLN. INFO.:								US 2	007-	9989	60P		P 2	0071	015
ASSIGNMENT E	ASSIGNMENT HISTORY FOR P					US PATENT AVAILABLE IN LSUS DISPLAY FORMAT										
OTHER SOURCE	OTHER SOURCE(S):					150:	4725	78								
GI	SI						10011/2070									

AB Title compds. I [A = ring containing 0-7 D atoms and R = Me, CH2D, CD2H, or CD3, with the provision that when R = Me, ring A contains 1-7 D atoms], and their pharmaceutically acceptable salts, are prepared and disclosed as modulators of 5HT2C receptors. For example, compound II was prepared via Friedel-Crafts alkylation of 2-chloro-2-d1-N-(2-(4-chlorophenyl)ethyl)propanamide (preparation given) to yield racemic II which was resolved into its (R) enantiomer by reaction with L-(+)-tartaric acid. Bioassays for evaluation of metabolic stability were performed (no data given). The invention is also directed to using I to treat an array of diseases including obesity.

IT 1146440-05-2P 1146440-08-5P 1146440-12-1P 1146440-13-2P 1146440-18-7P 1146440-21-2P

1146440-23-4P 1146440-24-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of deuterated benzazepine derivs. as 5HT2C modulators)

RN 1146440-05-2 CAPLUS

CN 1H-3-Benzazepine-1-d, 8-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 1146440-08-5 CAPLUS

CN 1H-3-Benzazepine-1-d, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CF INDEX NAME)

Absolute stereochemistry.

RN 1146440-12-1 CAPLUS

CN 1H-3-Benzazepine-1-d, 8-chloro-2,3,4,5-tetrahydro-1-(methyl-d3)- (CA INDEX NAME)

RN 1146440-13-2 CAPLUS

CN 1H-3-Benzazepine-1-d, 8-chloro-2,3,4,5-tetrahydro-1-(methyl-d3)-, (1R)-(CA INDEX NAME)

Absolute stereochemistry.

RN 1146440-18-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-(methyl-d3)- (CA INDEX NAME)

RN 1146440-21-2 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-(methyl-d3)-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1146440-23-4 CAPLUS

CN 1H-3-Benzazepine-1,1,5-d3, 7-chloro-2,3,4,5-tetrahydro-5-(methyl-d3)- (CA INDEX NAME)

Absolute stereochemistry.

IT 1146440-16-5P 1146440-22-3P 1146440-26-7P 1146440-27-8P 1146440-28-9P 1146440-31-4P

1146440-33-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of deuterated benzazepine derivs. as 5HT2C modulators) RN 1146440-16-5 CAPLUS

CN 1H-3-Benzazepine-1-d, 8-chloro-2,3,4,5-tetrahydro-1-(methyl-d3)-, hydrochloride (1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

HCl

RN 1146440-22-3 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-(methyl-d3)-,
hydrochloride (1:1), (1R)- (CA INDEX NAME)

10/560,953

Absolute stereochemistry.

● HCl

RN 1146440-26-7 CAPLUS

CN 1H-3-Benzazepine-1,1,2,4,5-d5, 7-chloro-2,3,4,5-tetrahydro-2,4-d2-5-(methyl-d3)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1146440-27-8 CAPLUS

CN 1H-3-Benzazepine-1,1,2,4,5-d5, 7-chloro-2,3,4,5-tetrahydro-2,4-d2-5-methyl-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1146440-28-9 CAPLUS

CN 1H-3-Benzazepine-1,1,5-d3, 7-chloro-2,3,4,5-tetrahydro-5-methyl-, (5R)-(CA INDEX NAME)

RN 1146440-31-4 CAPLUS CN 1H-3-Benzazepine-2,4-d2, 8-chloro-2,3,4,5-tetrahydro-2,4-d2-1-(methyl-d3)-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1146440-33-6 CAPLUS CN 1H-3-Benzazepine-2,4-d2, 8-chloro-2,3,4,5-tetrahydro-2,4-d2-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

CMF C11 H10 Cl D4 N

Absolute stereochemistry.

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

RN 1146440-57-4 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-(methyl-d3)-, (1R)-, (2R,3R)-2,3-dihydroxybutanedioate (2:1) (CA INDEX NAME)

CM 1

CRN 1146440-21-2 CMF C11 H11 C1 D3 N

Absolute stereochemistry.

CM 2

CRN 87-69-4 CMF C4 H6 O6

RN 1146440-65-4 CAPLUS

CN 1H-3-Benzazepine-1,1,5-d3, 7-chloro-2,3,4,5-tetrahydro-5-(methyl-d3)-, (5R)-, (2R,3R)-2,3-dihydroxybutanedioate (2:1) (CA INDEX NAME)

CM 1

CRN 1146440-24-5 CMF C11 H8 Cl D6 N

Absolute stereochemistry.

$$\begin{array}{c|c} D & D \\ \hline HN & R \\ \hline & CD_3 \\ \hline & D \\ \end{array}$$

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

RN 1146440-68-7 CAPLUS

CN 1H-3-Benzazepine-1-d, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)-, (2R,3R)-2,3-dihydroxybutanedioate (2:1) (CA INDEX NAME)

CM 1

CRN 1146440-08-5 CMF C11 H13 C1 D N

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

CN Ethanone, 1-[(1R)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl-1-d]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

RN 1146440-20-1 CAPLUS

CN Ethanone, 1-[(1R)-8-chloro-1,2,4,5-tetrahydro-1-(methyl-d3)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

$$F_3C$$
 N
 R
 $C1$

RN 1146440-25-6 CAPLUS

CN Ethanone, 1-[(1R)-8-chloro-1,2,4,5-tetrahydro-5-d-1-(methyl-d3)-3H-3-benzazepin-3-yl-1,5-d2]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

$$F_3C$$
 N
 R
 $C1$
 CD_3

3

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 10 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:335388 CAPLUS

DOCUMENT NUMBER: 150:322735

TITLE: Method of treating binge eating disorder, obesity

resulting from binge eating behavior and depressive

disorders

INVENTOR(S): Sanfilippo, Louis C.

PATENT ASSIGNEE(S): Lcs Group, LLC, USA; Sanfilippo, Louis, C.

SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	PATENT NO.					KIND DATE			i	APPL:	ICAT	DATE 					
	2009				A2		2009	0319	Ī	WO 2	008-	US10	02		2	0080	124
WO	2009	0354	73		А3		2009	1203									
	W:	ΑE,	ΑG,	AL_{r}	AM,	AO,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM ,	DO,	DZ,	EC,	EE,	EG,	ES,
		FI,	GΒ,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	ΚE,
		KG,	KM,	KN,	KΡ,	KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
	ME, MG, MK,					MW,	MΧ,	MY,	MΖ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,
	PL, PT, RO,				RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,
	TN, TR, TT,				TZ_{r}	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW			
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
		IE,	IS,	ΙT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
		TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
		TG,	BW,	GH,	GM,	ΚE,	LS,	MW,	MΖ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
	AM, AZ, BY, I				KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑP,	EA,	EP,	OΑ			
US	US 20100166889				A1		2010	0701	1	US 2	009-	6464	41		2	0091	223
PRIORIT	PRIORITY APPLN. INFO.:								1	US 2	007-	9720	46P	-	P 2	0070	913
									WO 2008-US1002						W 20080124		
								US 2009-666460						A1 20091015			

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The invention provides methods of treating binge eating disorders, obesity resulting from binge eating behavior, and depression. The invention includes methods of treating certain co-morbidities in ADHD and ADD patients; for example the invention includes methods of treating generalized anxiety disorder, obsessional and ruminative thought disorders, and obsessive/ compulsive behavior in ADHD and ADD patients. The invention also includes combination methods of treatment in which an amphetamine prodrug, methylphenidate prodrug, or methylphenidate analog is administered with one or more other active agents. Packaged pharmaceutical compns. containing an amphetamine or methylphenidate prodrug, instructions for using the prodrug to treat certain disorders, and optionally one or more other active agents are provided by the invention.

IT 616202-92-7, Lorcaserin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(treating binge eating disorder, obesity resulting from binge eating behavior and depressive disorders with amphetamine and methylphenidate analogs and prodrugs in combination with other agents)

RN 616202-92-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

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L20 ANSWER 11 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN
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ACCESSION NUMBER: 2008:1507963 CAPLUS

DOCUMENT NUMBER: 150:48109

TITLE: Compositions and methods for treating obesity and

related disorders

INVENTOR(S): Najarian, Thomas; Tam, Peter Y.; Wilson, Leland F.

PATENT ASSIGNEE(S): Vivus, Inc., USA

SOURCE: PCT Int. Appl., 50pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

PA'	PATENT NO.					D	DATE			APPL:	ICAT	ION I	NO.		DATE			
	2008 2008				A2 A3		2008		,	WO 2	008-1	US55	49		2	0800	429	
							AT,		A7.	BA.	BB.	BG.	BH.	BR.	BW.	BY.	BZ.	
	***						CU,											
							GM,	•										
							KZ,											
							MX,		•	-				•				
							•	•										
	PL, PT, RO TN, TR, TT					-		-		-	-	-	-	-		107	1117	
	RW: AT, BE, BG				-		-	-							GR -	HR -	нп.	
	IE, IS, IT,					•	•	•	•	•	•	•	•	•	•	•	•	
			-		-		CI,	-	-			-		-		-	-	
							LS,			•					•			
		•			•	•		•	•	•	•	•	•	•	UG,	۵11,	ZW,	
IIC	2008						MD,								21	2070	615	
										US 2007-764116 AU 2008-262566								
	2008																	
	CA 2692042						2008									0800		
EP	EP 2167064						2010									0800		
	R:	•					CZ,	•			•	•		•		•	•	
	IE, IS, IT,				-			LV,	MC,	MT,	NL,	NO,	PL_{\bullet}	PT,	RO,	SE,	SI,	
	SK, TR, AL,				BA,	MK,	RS											
PRIORIT	ORITY APPLN. INFO.:									US 2								
									US 2006-854756P					P 20061027				

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The invention is drawn to combinations of pharmaceutical agents having similar chemical and pharmacol. properties, wherein the combinations maximize the therapeutic effect of the drug while minimizing their adverse effects. The methods and compns. of the invention are particularly useful in the treatment of obesity and related conditions which involves treating a subject with a sympathomimetic agent (e.g., phentermine or a phentermine-like drug) or bupropion in combination with an anti-epileptic agent (e.g., topiramate, zonisamide), CBl antagonists (e.g., rimonabant), or a 5HT2C-selective serotonin receptor agonist, (e.g., lorcaserin) for the treatment of obesity and related conditions. The invention also features kits for use in the practice of these novel therapies.

WO 2008-US5549

20080429

IT 616202-92-7, Lorcaserin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combination therapy of obesity and related disorders)

RN 616202-92-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L20 ANSWER 12 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

2008:1430266 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 149:570759

Use of 2-phenyl-1,2-benzisoselenazol-3(2H)-one or TITLE:

other selenium-containing compounds for weight loss

Erlanson, Daniel A.; Hansen, Stig INVENTOR(S): PATENT ASSIGNEE(S): Sunesis Pharmaceuticals, Inc., USA SOURCE:

U.S. Pat. Appl. Publ., 21 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	ENT I				KIN	D	DATE		j	APPL	ICAT			DATE 			
			 777		A1		2008	 1127					34			0080	
WO 2	2008	1480	64		A1		2008	1204	1	WO 2	-800	US 64	797		2	0080	523
	W:	ΑE,	AG,	AL,	AM,	AO,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,
		KG,	KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
ME, MG, MK, N						MW,	MX,	MY,	MZ,	NA,	NG,	ΝI,	NO,	NZ,	OM,	PG,	PH,
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ТJ,	TM,
		TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW			
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	ΓI,	FR,	GB,	GR,	HR,	HU,
		IE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
TG, BW, GH, GM,							LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
AM, AZ, BY, KG, K							MD,	RU,	ΤJ,	TM							
RITY	APP:	LN.	INFO	. :			-			US 2	007-	9397	78P	-	P 2	0070	523
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ים פסו	IDCE	/C1.			MAD	ייי ער כו	1/0.	E707	EΩ								

PRIOF ASSIG OTHER SOURCE(S): MARPAT 149:570759

The invention discloses the use of 2-phenyl-1,2-benzisoselenazol-3(2H)-one and other selenium-containing compds. for weight loss.

IT616202-92-7, Lorcaserin

> RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(phenylbenzisoselenazolone or other selenium-containing compound for weight loss

treatment, and use with other agents)

RN616202-92-7 CAPLUS

1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX CN NAME)

PUBLISHER:

L20 ANSWER 13 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:1383566 CAPLUS

DOCUMENT NUMBER: 149:555080

TITLE: The intramolecular Heck reaction

AUTHOR(S): Link, J. T.

CORPORATE SOURCE: Abbott Laboratories, Abbott Park, IL, USA

SOURCE: Organic Reactions (Hoboken, NJ, United States) (2002),

60, No pp. given CODEN: ORHNBA

URL: http://www3.interscience.wiley.com/cgi-

bin/mrwhome/107610747/HOME John Wiley & Sons, Inc.

DOCUMENT TYPE: Journal; General Review; (online computer file)

LANGUAGE: English

OTHER SOURCE(S): CASREACT 149:555080

AB A review of the article The intramol. Heck reaction.

 IT
 154138-48-4P
 154138-51-9P
 157105-52-7P

 157183-88-5P
 278171-54-3P
 278171-55-4P

 278171-56-5P
 278171-57-6P
 278171-58-7P

278171-59-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(The Intramol. Heck Reaction)

RN 154138-48-4 CAPLUS

CN Ethanone, 1-(1-ethenyl-1,2,4,5-tetrahydro-7,8-dimethoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

$$F_3C-C$$
 N
 OMe

RN 154138-51-9 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7,8-dimethoxy-1-(1-methylethenyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

$$\begin{array}{c|c} F_3C-C & N & OMe \\ \hline \\ O & C-Me \\ \\ CH_2 & \end{array}$$

RN 157105-52-7 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-7,8-dimethoxy-1-[(1E)-2-(trimethylsilyl)ethenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 157183-88-5 CAPLUS

CN Ethanone, 1-[(1S)-1-ethenyl-1,2,4,5-tetrahydro-7,8-dimethoxy-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

$$F_3C \qquad N \qquad OMe \qquad OMe$$

RN 278171-54-3 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1R)-1,2,4,5-tetrahydro-7,8-dimethoxy-1-[(1E)-2-(trimethylsilyl)ethenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

$$\begin{array}{c|c} \text{OMe} \\ \text{F_3C} & \text{N} \\ \text{O} & \text{E} \\ \text{SiMe_3} \end{array}$$

RN 278171-55-4 CAPLUS

CN Ethanone, 1-[(1R)-1-ethenyl-1,2,4,5-tetrahydro-7,8-dimethoxy-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

RN 278171-56-5 CAPLUS

CN Ethanone, 1-[(1R)-1-ethenyl-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

$$F_3C$$
 N
 R
 CH_2

RN 278171-57-6 CAPLUS

CN Ethanone, 1-[(1S)-1-ethenyl-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

$$F_3C$$
 N S CH_2

RN 278171-58-7 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1R)-1,2,4,5-tetrahydro-1-[(1E)-2-(trimethylsilyl)ethenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

$$F_3C$$
 N E $SiMe_3$

RN 278171-59-8 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-1-[(1E)-2-(trimethylsilyl)ethenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

$$F_3C$$
 N
 S
 E
 $SiMe_3$

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L20 ANSWER 14 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:1251516 CAPLUS

DOCUMENT NUMBER: 149:463091

TITLE: Combinations of sympathomimetics and antiepileptics

for treating obesity and related disorders

INVENTOR(S): Tam, Peter Y.; Wilson, Leland F.

PATENT ASSIGNEE(S): Vivus, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 21 pp., Cont.-in-part of U.S.

Ser. No. 764,116.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

	PAI	ENT	NO.			KIN	D	DATE			API	PLICAT					DATE	
	 US	2008	0255	093		A1	_	2008	 1016		US	2008-					20080	 429
		2686				A1		2000	1221		CA	2000-	2686	633			20000	614
		1825				A2		2007				2007-					20000	
,				BE.								R, GB,						
		1	•			•	•	LV,	•	•			OI ()	11,	11,	111	, 10,	1107
	IIS	2004							0101			2003 - -	4543	68			20030	603
	US 20040002462 US 7056890							2006				2000	15 15	00			20000	000
		2006							1019		HS	2006-	3852	33			20060	320
		7674				B2		2010				2000	0002.				20000	020
		2008						2008			IIS	2007-	7641	16			20070	615
PRIOR						111		2000	0501			1999-						
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											ĽĽ	2000-	93981	84	£	4.3	20000	614

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The present invention is drawn to combinations of pharmaceutical agents having similar chemical and/or pharmacol. properties, wherein the combinations maximize the therapeutic effect of the drug while minimizing their adverse effects. The methods and compns. of the invention are particularly useful in the treatment of obesity and related conditions which involves treating a subject with a sympathomimetic agent (e.g., phentermine or a phentermine-like drug) or bupropion in combination with an anti-epileptic agent (e.g., topiramate, zonisamide), CB1 antagonists (e.g., rimonabant), or a 5HT2C-selective serotonin receptor agonist, (e.g., lorcaserin) for the treatment of obesity and related conditions. The invention also features kits for use in the practice of these novel therapies.

IT 616202-92-7, Lorcaserin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (5HT2c agonist; combinations of sympathomimetics and antiepileptics for treating obesity and related disorders)

RN 616202-92-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

L20 ANSWER 15 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:1123539 CAPLUS

DOCUMENT NUMBER: 149:370627

Mitochondrial aldehyde dehydrogenase 2 modulators for TITLE:

treatment of ischemic stress, angina, and cancer and

for xenobiotic detoxification

Mochly-Rosen, Daria; Chen, Che-Hong INVENTOR(S):

The Board of Trustees of the Leland Stanford Junior PATENT ASSIGNEE(S):

University, USA

SOURCE: PCT Int. Appl., 93pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION: DATENT NO

DATE			
20080307			
20000307			
BW, BY, BZ,			
EE, EG, ES,			
IS, JP, KE,			
LY, MA, MD,			
OM, PG, PH,			
SY, TJ, TM,			
51, 10, 111,			
GR, HR, HU,			
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NE, SN, TD,			
UG, ZM, ZW,			
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P 20070308			
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 149:370627

The present invention provides compds. that function as modulators of mitochondrial aldehyde dehydrogenase-2 (ALDH2) activity. Such compds. include N-benzylbenzamide agonists as well as antagonists. The agonists may be used to treat ischemic stress conditions, such as cardiac ischemia and stroke, free radical-associated diseases, and angina. Addnl., ALDH2 agonists may enhance detoxification of such xenobiotics as ethanol, methanol, vinyl chloride, ethylene glycol monomethyl ether, etc. ALDH2 antagonists may be useful in treatment of solid tumors, either alone or in combination with radiation or chemotherapy. The E487K mutant of human ALDH2 may be used to screen for ALDH2 agonists.

425653-34-5

$$N-CH_2-CH_2-C$$

L20 ANSWER 16 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:831458 CAPLUS

DOCUMENT NUMBER: 149:153369

TITLE: Synthesis of 3-aminotetrahydrofuran-3-carboxylic acid

derivatives for use as medicaments

INVENTOR(S): Han, Zhengxu; Gerlach, Kai; Krishnamurthy,

Dhileepkumar; Matthes, Burkhard; Nar, Herbert;

Priepke, Henning; Schuler-Metz, Annette; Senanayake,

Chris H.; Sieger, Peter; Tang, Wenjun; Wienen,

Wolfgang; Xu, Yibo; Yee, Nathan K.

PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany;

Boehringer Ingelheim Pharma Gmbh & Co. K.-G.; Pfau,

Roland

SOURCE: PCT Int. Appl., 178 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA.	rent	NO.												D.	ATE		
WO	2008	0808			A2		2008	0710	1	WO :	2007-	EP64	406		2	0071	221
WO	2008	8080	91		А3		2008	1002									
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		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW	, ML,	MR,	ΝE,	SN,	TD,	TG,	BW,
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		BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	AP,	EA	, EP,	OA					
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KR	2009	0972	80		A 2009091				KR 2009-716217						2	0071	221
EP	2114	909			A2				EP 2007-866298						2	0071	221
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		IS,	ΙΤ,	LI,	LT,	LU,	LV,	MC,	MT,	NL	, PL,	PT,	RO,	SE,	SI,	SK,	TR,
		BA,	HR,	RS													
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AR	AR 64708				A1		2009	0422		AR :	2007-	1059	83		2	0071	228
NO	NO 2009001782				Α		2009	0610]	NO :	2009-	1782			2	0090	506
MX	MX 2009005324				Α		2009	0608]	MX :	2009-	5324			2	0090	520
CN	CN 101573346				A		2009	1104	4 CN 2007-80048978						20090630		
IN	IN 2009DN04314				Α		2010	0101		IN :	2009-1	DN43	14		2	0090	630
RIORIT	IORITY APPLN. INFO.:								1	US :	2006-	8829	37P]	P 2	0061	231
										US :	2006-	8929	37P]	P 2	0061	231
									1	WO :	2007-1	EP64	406	Ţ	W 2	0071	221

OTHER SOURCE(S): MARPAT 149:153369

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The invention relates to the manufacture of 3-aminotetrahydrofuran-3-carboxylic acid amides I [D is substituted benzo[d]azepin-7-yl, 6/8/9-aza analogs, or 4-(pyrrolidinocarbonyl)phenyl residues; M is (un)substituted 2-thienyl; R is H or alkyl], including enantiomers, diastereomers, and physiol.-acceptable salts. Thus, aminotetrahydrofurancarboxylic acid benzo[d]azepin-7-ylamide II was prepared via sequential amidation reactions.

IT 1037302-00-3P

RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminotetrahydrofurancarboxylic acid derivs. for use as medicaments)

RN 1037302-00-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

IT 1037301-25-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of aminotetrahydrofurancarboxylic acid derivs. for use as medicaments)

RN 1037301-25-9 CAPLUS

CN 3-Furancarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N[(5S)-2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl]-, (3S)- (CA
INDEX NAME)

Absolute stereochemistry.

TT 1037301-39-5P 1037301-40-8P 1037301-41-9P 1037301-45-3P 1037301-46-4P 1037301-99-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminotetrahydrofurancarboxylic acid derivs. for use as medicaments)

RN 1037301-39-5 CAPLUS

CN 3-Furancarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N-[(5R)-2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl]-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1037301-40-8 CAPLUS

CN 3-Furancarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N-[(1R)-2,3,4,5-tetrahydro-1,3-dimethyl-1H-3-benzazepin-7-yl]-, (3S)- (CA INDEX NAME)

RN 1037301-41-9 CAPLUS

CN 3-Furancarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N-[(1S)-2,3,4,5-tetrahydro-1,3-dimethyl-1H-3-benzazepin-7-yl]-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1037301-45-3 CAPLUS

CN 3-Furancarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N-[(5S)-2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl]-, (3R)- (CA INDEX NAME)

RN 1037301-46-4 CAPLUS

CN 3-Furancarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N[(5R)-2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl]-, (3R)- (CA
INDEX NAME)

Absolute stereochemistry.

RN 1037301-99-7 CAPLUS

CN 3-Furancarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N-(2,3,4,5-tetrahydro-3,5,5-trimethyl-1H-3-benzazepin-7-yl)-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

IT 1037301-31-7P

RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminotetrahydrofurancarboxylic acid derivs. for use as medicaments)

RN 1037301-31-7 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-nitro-, (1S)- (CA INDEX NAME)

TT 23266-24-2P 919099-24-4P 919099-25-5P 1037301-18-0P 1037301-19-1P 1037301-29-3P 1037301-32-8P 1037301-33-9P 1037301-43-1P

1037301-44-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminotetrahydrofurancarboxylic acid derivs. for use as medicaments)

RN 23266-24-2 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 919099-24-4 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-3,5-dimethyl- (CA INDEX NAME)

$$\stackrel{\text{Me}}{\longrightarrow} \stackrel{\text{NH}_2}{\longrightarrow}$$

RN 919099-25-5 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-1,3-dimethyl- (CA INDEX NAME)

RN 1037301-18-0 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-3,5-dimethyl-, (5S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1037301-19-1 CAPLUS

CN Butanedioic acid, 2,3-bis[(4-methylbenzoyl)oxy]-, (2R,3R)-, compd. with (5S)-2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-amine (1:1) (CA INDEX NAME)

CM 1

CRN 1037301-18-0 CMF C12 H18 N2

Absolute stereochemistry.

CM 2

CRN 32634-66-5 CMF C20 H18 O8

Absolute stereochemistry. Rotation (-).

RN 1037301-29-3 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-nitro- (CA INDEX NAME)

$$\underset{\text{Me}}{\text{HN}} \qquad \underset{\text{NO}_2}{\text{NO}_2}$$

RN 1037301-32-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,3-dimethyl-8-nitro-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1037301-33-9 CAPLUS

CN Benzeneacetic acid, α -hydroxy-, (αS) -, compd. with (5S)-2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-amine (1:1) (CA INDEX NAME)

CM 1

CRN 1037301-18-0 CMF C12 H18 N2

Absolute stereochemistry.

$$_{\mathrm{Me}}$$
 $_{\mathrm{NH}_{2}}$ $_{\mathrm{NH}_{2}}$

CM 2

CRN 17199-29-0 CMF C8 H8 O3

Absolute stereochemistry. Rotation (+).

RN 1037301-43-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,3-dimethyl-7-nitro- (CA INDEX NAME)

RN 1037301-44-2 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,3-dimethyl-8-nitro- (CA INDEX NAME)

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L20 ANSWER 17 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN
                            2008:703346 CAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                            149:32211
TITLE:
                            Processes for preparing
                             (R) -8-chloro-1-methyl-2, 3, 4, 5-tetrahydro-1h-3-
                            benzazepine intermediates toward serotonin-2C (5-HT2C)
                            receptor agonists
INVENTOR(S):
                            Gharbaoui, Tawfik; Tandel, Sagun K.; Ma, You-An;
                            Carlos, Marlon; Fritch, John Robert
                            Arena Pharmaceuticals, Inc., USA
PATENT ASSIGNEE(S):
SOURCE:
                            PCT Int. Appl., 40 pp.
                            CODEN: PIXXD2
DOCUMENT TYPE:
                            Patent
                            English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                            KIND
                                    DATE
                                                  APPLICATION NO.
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                                     20080612
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                             A1
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                             A2
                                                  EP 2007-867623
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               AL, BA, HR, MK, RS
     JP 2010511711
                                    20100415
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                             Α
                                    20090930
                                                  CN 2007-80045133
                                                                             20090605
PRIORITY APPLN. INFO.:
                                                  US 2006-873036P
                                                                         Ρ
                                                                             20061205
                                                  WO 2007-US24900
                                                                         W
                                                                             20071204
OTHER SOURCE(S):
                            CASREACT 149:32211; MARPAT 149:32211
     Processes for the preparation of (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-
AB
     benzazepines and their intermediates is presented. Compds. of the present
     invention are useful as serotonin-2C (5-HT2C) receptor agonists for the
     treatment of obesity.
                         1030624-49-7P
IT
     616201-80-0P
     RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic
     preparation); PREP (Preparation); RACT (Reactant or reagent)
         (processes for preparing (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1h-3-
         benzazepine intermediates toward serotonin-2C (5-HT2C) receptor
         agonists)
RN
     616201-80-0 CAPLUS
     1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)
CN
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RN 1030624-49-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrate (2:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

●1/2 H₂O

IT 616202-92-7P 824430-78-6P 846589-98-8P,

(R)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hydrochloride
856681-05-5P 1030624-46-4P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP

(Preparation)

(processes for preparing (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1h-3-benzazepine intermediates toward serotonin-2C (5-HT2C) receptor

agonists)
RN 616202-92-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 824430-78-6 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 616202-92-7 CMF C11 H14 C1 N

Absolute stereochemistry.

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

RN 846589-98-8 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 856681-05-5 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride, hydrate (2:2:1), (1R)- (CA INDEX NAME)

● HCl

●1/2 H₂O

RN 1030624-46-4 CAPLUS CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)-, (2R,3R)-2,3-dihydroxybutanedioate (1:?) (CA INDEX NAME)

CM 1

CRN 616202-92-7 CMF C11 H14 C1 N

Absolute stereochemistry.

CM 2

CRN 87-69-4 CMF C4 H6 O6

L20 ANSWER 18 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:529900 CAPLUS

DOCUMENT NUMBER: 148:538288

TITLE: Preparation of fused bicyclic derivatives of

2,4-diaminopyrimidine as ALK and c-Met kinase

inhibitors

INVENTOR(S): Ahmed, Gulzar; Bohnstedt, Adolph; Breslin, Henry

Joseph; Burke, Jason; Curry, Matthew A.; Diebold,
James L.; Dorsey, Bruce; Dugan, Benjamin J.; Feng,
Daming; Gingrich, Diane E.; Guo, Tao; Ho, Koc-Kan;
Learn, Keith S.; Lisko, Joseph G.; Liu, Rong-Qiang;
Mesaros, Eugen F.; Milkiewicz, Karen; Ott, Gregory R.;
Parrish, Jonathan; Theroff, Jay P.; Thieu, Tho V.;
Tripathy, Rabindranath; Underiner, Theodore L.;
Wagner, Jason C.; Weinberg, Linda; Wells, Gregory J.;

Wagner, Jason C.; Weinberg, Linda; Wells, Gregory J.;

You, Ming; Zificsak, Craig A.

PATENT ASSIGNEE(S): Cephalon, Inc., USA; Pharmacopeia Drug Discovery, Inc.

SOURCE: PCT Int. Appl., 1297 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	CENT I	NO.			KIN	D	DATE			APPI	LICAT	ION 1	NO.		D	ATE	
WO	2008	0515	47		A1		2008	0502	1	WO 2	2007-1	US22	496		20	0071	023
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB	, BG,	BH,	BR,	BW,	BY,	BZ,	CA,
		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM	, DO,	DZ,	EC,	EE,	EG,	ES,	FΙ,
		${\operatorname{GB}}_{m{\prime}}$	GD,	GE,	GH,	GM,	GΤ,	HN,	HR,	HU	, ID,	IL,	IN,	IS,	JP,	ΚE,	KG,
		KM,	KN,	ΚP,	KR,	ΚZ,	LA,	LC,	LK,	LR,	, LS,	LT,	LU,	LY,	MA,	MD,	ME,
		MG,	MK,	MN,	MW,	MX,	MY,	ΜZ,	NA,	NG	, NI,	NO,	NΖ,	OM,	PG,	PH,	PL,
		PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK	, SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,
		TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	, ZA,	ZM,	ZW				
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE	, ES,	FI,	FR,	GB,	GR,	HU,	IE,
		IS,	ΙT,	LT,	LU,	LV,	MC,	MΤ,	NL,	PL	, PT,	RO,	SE,	SI,	SK,	TR,	BF,
		ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	, ML,	MR,	ΝE,	SN,	TD,	TG,	BW,
		GH,	GM,	ΚE,	LS,	MW,	MΖ,	NA,	SD,	SL_i	, SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,
		BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM									
	2007		27		A1		2008				2007-				_	0071	
	2669						2008				2007-:				_	0071	
	6352	-			Α1		20090				2007-:					0071	
	2010				\mathbf{T}		2010				2009-					0071	
	2009				Α1		2009				2009-1				_	0090	
	2009				Α		2009				2009-					0090	
	1015				Α		2009	0916			2007-					0090	
ORITY APPLN. INFO.:											2006-					0061	
											2007-1					0071	023
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 148:538288

GΙ

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I and II [R1 = H, halo, NO2, OH and derivs., aryl, alkyl, etc.; R2 = (un)substituted alk(en/yn)yl, (hetero)aryl, R3-R5 = independently H, CO2H and derivs., NH2 and derivs., OCHF2, etc.; A1-A5 = independently (CH2)1-2 and derivs., CO, NH and derivs., S, SO, SO2, O, with provisos; with the exception of specified compds.; and their pharmaceutically acceptable salts] were prepared as ALK and c-Met kinase inhibitors for treating proliferative disorders. Thus, nitration of 1,3,4,5-tetrahydrobenzo[b]azepin-2-one with HNO3/H2SO4, alkylation with Me iodide, reduction of the nitro intermediate and amination of 2-[(2,5-dichloropyrimidin-4-yl)amino]-N-methylbenzamide gave benzazepinylaminopyrimidine III. III inhibited ALK and C-Met kinases with IC50 < 0.1 μM.

IT 1022970-66-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of fused bicyclic derivs. of 2,4-diaminopyrimidine as ALK and c-Met kinase inhibitors)

RN 1022970-66-6 CAPLUS

CN 2,4-Pyrimidinediamine, 5-chloro-N4-[2-methoxy-4-(4-morpholinyl)phenyl]-N2-[2,3,4,5-tetrahydro-1-[(2S)-3,3,3-trifluoro-2-methoxypropyl]-1H-3-benzazepin-7-yl]- (CA INDEX NAME)

Absolute stereochemistry.

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L20 ANSWER 19 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN
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ACCESSION NUMBER: 2008:526837 CAPLUS

DOCUMENT NUMBER: 148:509943

TITLE: Combination therapy for diabetes, hypertension,

migraine, epilepsy, sleep apnea, depression, impulse

control disorders or alcoholism

Tam, Peter Y.; Wilson, Leland F. INVENTOR(S):

PATENT ASSIGNEE(S): Vivus, Inc., USA

U.S. Pat. Appl. Publ., 19 pp. SOURCE:

CODEN: USXXCO

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
US 20080103179	A1	20080501	US 2007-764116	20070615		
US 20080255093	A1	20081016	US 2008-111793	20080429		
AU 2008262566	A1	20081218	AU 2008-262566	20080429		
CA 2692042	A1	20081218	CA 2008-2692042	20080429		
WO 2008153632	A2	20081218	WO 2008-US5549	20080429		
WO 2008153632	A3	20090702				
W: AE, AG, AL,	AM, AO,	AT, AU,	AZ, BA, BB, BG, BH, BR,	BW, BY, BZ,		
CA, CH, CN,	CO, CR,	CU, CZ,	DE, DK, DM, DO, DZ, EC,	EE, EG, ES,		
FI, GB, GD,	GE, GH,	GM, GT,	HN, HR, HU, ID, IL, IN,	IS, JP, KE,		
KG, KM, KN,	KP, KR,	KZ, LA,	LC, LK, LR, LS, LT, LU,	LY, MA, MD,		
ME, MG, MK,	MN, MW,	MX, MY,	MZ, NA, NG, NI, NO, NZ,	OM, PG, PH,		
PL, PT, RO,	RS, RU,	SC, SD,	SE, SG, SK, SL, SM, SV,	SY, TJ, TM,		
TN, TR, TT,	TZ, UA,	UG, US,	UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG,	CH, CY,	CZ, DE,	DK, EE, ES, FI, FR, GB,	GR, HR, HU,		
IE, IS, IT,	LT, LU,	LV, MC,	MT, NL, NO, PL, PT, RO,	SE, SI, SK,		
			GA, GN, GQ, GW, ML, MR,			
			MZ, NA, SD, SL, SZ, TZ,			
			TJ, TM, AP, EA, EP, OA			
EP 2167064	A2		EP 2008-767455	20080429		
	CH. CY.		DK, EE, ES, FI, FR, GB,	GR. HR. HU.		
			MC, MT, NL, NO, PL, PT,			
SK, TR, AL,		•	110, 111, 112, 110, 12, 11,	10, 01, 01,		
PRIORITY APPLN. INFO.:	211, 1111,	1.0	US 2006-854756P	P 20061027		
				P 19990614		
				P 20000126		
				P 20000120		
				B2 20000203		
				A2 20030603		
				A2 20060320		
				A2 20070615		
				W 20080429		
ASSIGNMENT HISTORY FOR H	S PATENT	' AVATLARI	LE IN LSUS DISPLAY FORMA			

The present invention features a novel therapy for treating diabetes, ABhypertension, migraine, epilepsy, sleep apnea, depression, impulse control disorders or alc. addiction which involves treating a subject with a sympathomimetic agent (e.g., phentermine or a phentermine-like drug) in combination with an anticonvulsant sulfamate compound (e.g., topiramate) or an anticonvulsive sulfonylurea compound (e.g. zonisamide).

846589-98-8, Lorcaserin hydrochloride IT

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

Absolute stereochemistry.

● HCl

L20 ANSWER 20 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:1204827 CAPLUS

DOCUMENT NUMBER: 147:486344

TITLE: Processes for preparation of

8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine

and intermediates

INVENTOR(S): Weigl, Ulrich; Porstmann, Frank; Straessler,

Christoph; Ulmer, Lars; Koetz, Ulf Arena Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT ASSIGNEE(S):

F	PATENT NO.						D	DATE			APPL	ICAT	ION I	NO.		DATE 			
	-	2007: 2007:						2007 2008		,	wo 2	:007-1	US81	70		2	0070	402	
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,	
			CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	
			GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	
			KN,	KΡ,	KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	MG,	MK,	
			MN,	MW,	MX,	MY,	ΜZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL_{\prime}	PT,	RO,	
			RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,	
			TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW							
	RW: AT, BE, BG				BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	
	IS, IT, LT,				LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	
			ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	
			GH,	GM,	ΚE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	
			BY,	KG,	KZ,	MD,	RU,	ΤJ,	TM,	AP,	EA,	EP,	OA						
C	CA	2646	044			A1		2007	1025		CA 2	007-	2646	044		2	0070	402	
E	$^{\mathrm{CP}}$	2001	852			A2		2008	1217		EP 2	007-	7546	61		2	0070	402	
		R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	ΗU,	IE,	
			IS,	IT,	LI,	LT,	LU,	LV,	MC,	MT,	NL,	PL_{\prime}	PT,	RO,	SE,	SI,	SK,	TR,	
			AL,	BA,	HR,	MK,	RS												
J	JΡ	2009	5324	70		T		2009	0910		JP 2	009-	5042	48		2	0070	402	
I	IN 2008KN03623							2009	0220		IN 2	1008-1	KN36:	23		20080904			
C	CN 101466684					A	20090624				CN 2007-80010901					20080925			
U	US 20090143576					Α1				US 2009-225966									
PRIORI	RIORITY APPLN. INFO.:				. :						US 2	006-	7891	91P		P 2	0060	403	
										1	WO 2	1007-1	US81	70	Ī	W 20070402			

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): CASREACT 147:486344

AB The present invention provides a process for the preparation of 8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine, salts, hydrates, and crystal forms thereof. For example, 2-(4-chlorophenyl)ethanol was brominated with phosphorous tribromide, followed by addition of 1-amino-2-propanol and reaction with thionyl chloride to give 4-chloro-N-(2-chloropropyl)benzeneethanamine hydrochloride. The intermediate obtained in the previous step was reacted with aluminum chloride in 1,2-dichlorobenzene, followed by optical resolution with L-tartaric acid to give (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hemitartrate. The compds. are useful serotonin (5-HT) receptor agonists for the treatment of central nervous system disorders, such as obesity.

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IT
     847063-12-1P
     RL: IMF (Industrial manufacture); PUR (Purification or recovery); RCT
     (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of 8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine and
        intermediates)
     847063-12-1 CAPLUS
RN
     1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)-,
CN
     (2R, 3R) -2, 3-dihydroxybutanedioate (2:1) (CA INDEX NAME)
     CM
          1
     CRN
         616202-92-7
     CMF C11 H14 C1 N
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Absolute stereochemistry.

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

Absolute stereochemistry.

RN 616202-92-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 846589-98-8 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 856681-05-5 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride, hydrate (2:2:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

●1/2 H₂O

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L20 ANSWER 21 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:1176022 CAPLUS

DOCUMENT NUMBER: 147:469249

TITLE: Benzazepinyloxyacetic acid derivatives as PPAR-delta

agonists used for the increase of HDL-C, lower LDL-C

and lower cholesterol and their preparation

INVENTOR(S): Kuo, Gee-Hong; Zhang, Yan; Shen, Lan; Lu, Songfeng;

Demarest, Keith T.; Peiton, Patricia Janssen Pharmaceutica N.V., Belg.

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg. SOURCE: U.S. Pat. Appl. Publ., 113 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P.F	PATENT NO.						KIND DATE			APPLICATION NO.						DATE			
		20070244094					20071018			US 2	2007-	2	0070	417					
		7678786				B2 20100316													
		2007237928				A1 20071025					2007-2		20070417						
		2649700			A1 20071025					2007-2		20070417							
	2007	A2 20071025					WO 2	2007-1		20070417									
MC	2007	A3 20081030																	
	W:	ΑE,	AG,	ΑL,	ΑM,	ΑT,	AU,	ΑZ,	BA,	BB,	, BG,	BH,	BR,	BW,	BY,	ΒZ,	CA,		
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		GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	, IL,	IN,	IS,	JP,	KE,	KG,	ΚM,		
		KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	MG,	MK,		
		MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,		
		RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	, SV,	SY,	ТJ,	TM,	TN,	TR,	TT,		
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	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,		
											PT,								
											, ML,								
		GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	, SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,		
		-	-	-	-		-	-			EP,	-	•	•	•	·	·		
EI	2010	289			A2		2009	0107		EP 2	2007-	7607		20070417					
	R:	AT,	BE,	BG,	CH,	CY,					ES,			GB,	GR,	HU,	IE,		
											PL,								
					MK,		•		·		•	•	·	·	·	•	•		
JI	JP 2009534402						2009	0924		JP 2	2009-	5067	20070417						
MΣ	MX 2008013534						2008	1029		MX 2	2008-	1353	20081020						
II	IN 2008KN04282				A		2009	0306		IN 2	2008-1	KN42	20081022						
KF	R 2008109933			A 20081217				KR 2008-727903					20081114						
ZP	ZA 2008009790					A 20100127				ZA 2008-9790						20081117			
	NO 2008004847						2008	1216	NO 2008-4847						20081118				
CN	CN 101479008						2009			CN 2007-80022639					20081217				
US	US 20100120748						2010	0513		US 2010-689335					201001217				
	PRIORITY APPLN. INFO.:										2006–					0060			
											2007-								
										WO 2	2007-1	US66'	772	Ī	w 2	0070	417		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 147:469249

GΙ

The invention is directed to compds. of formula I useful as PPAR agonists. AB Pharmaceutical compns. and methods of treating one or more conditions including, but not limited to, diabetes, nephropathy, neuropathy, retinopathy, polycystic ovary syndrome, hypertension, ischemia, stroke, irritable bowel disorder, inflammation, cataract, cardiovascular diseases, Metabolic X Syndrome, hyper-LDL-cholesterolemia, dyslipidemia (including hypertriglyceridemia, hypercholesterolemia, mixed hyperlipidemia, and hypo-HDL-cholesterolemia), atherosclerosis, obesity, and other disorders related to lipid metabolism and energy homeostasis complications thereof, using compds. of the invention are also described. Compds. of formula I wherein X is a covalent bond, O and S; R1 and R2 are independently H, and (un) substituted C1-8 alkyl; R1R2 and the carbon they are attached together may form C3-7 cycloalkyl; R3 is H; R4 and R5 are independently H, halo, C1-8 alkyl, C3-7 cycloalkyl, etc.; R5 and R7 are independently H, halo, C1-3 (halo)alkyl and C1-3 (halo)alkoxy; n is 1; Q is (un)substituted 5- to 6-membered heteroarom. ring; and their enantiomers, diastereoisomers, tautomers, solvates and pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by a multistep procedure (detailed procedure given). All the invention compds. were evaluated for their PPAR- δ agonistic activity. From the assay, it was determined that compound II exhibited EC50 value of 34.1 nM against PPARδ.

IT 952709-53-4P 952709-54-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzazepinyloxyacetic acid derivs. as PPAR-delta agonists useful for increasing HDL-C, lower LDL-C and lower cholesterol)

RN 952709-53-4 CAPLUS

CN Acetic acid, 2-[[2,3,4,5-tetrahydro-5-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]-1H-3-benzazepin-7-yl]oxy]- (CA INDEX NAME)

F₃C
$$O-CH_2-CO_2H$$

RN 952709-54-5 CAPLUS

CN Acetic acid, 2-[[2,3,4,5-tetrahydro-5-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-furanyl]methyl]-1H-3-benzazepin-7-yl]oxy]- (CA INDEX NAME)

F3C
$$O-CH_2-CO_2H$$

IT 849663-07-6P

952710-34-8P

952710-35-9P

952710-36-0P

952710-37-1P

952710-38-2P

952710-39-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of benzazepinyloxyacetic acid derivs. as PPAR-delta agonists useful for increasing HDL-C, lower LDL-C and lower cholesterol)

RN 849663-07-6 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-methoxy-1-methyl- (CA INDEX NAME)

RN 952710-34-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-methoxy-1-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]- (CA INDEX NAME)

$$F_3C$$
 CH_2 N OMe

RN 952710-35-9 CAPLUS

1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-5-methyl-3-[[5-[4-CN (trifluoromethyl)phenyl]-2-thienyl]methyl]- (CA INDEX NAME)

$$_{\rm F_3C}$$
 $_{\rm CH_2}$ $_{\rm N}$ $_{\rm OH}$

RN952710-36-0 CAPLUS

CNAcetic acid, 2-[[2,3,4,5-tetrahydro-5-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]-1H-3-benzazepin-7-yl]oxy]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \text{O} \\ & \text{II} \\ & \text{O} \\ & \text{CH}_2 \\ & \text{N} \end{array}$$

RN952710-37-1 CAPLUS

1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-methoxy-1-methyl-3-[[5-[4-methyl-3-methyl-3-[[5-[4-methyl-3-methyl-3-[[5-[4-methyl-3-methyl-3-[[5-[4-methyl-3-[4-methylCN (trifluoromethyl)phenyl]-2-furanyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{F_3C} & \text{Me} \\ \hline \\ \text{O} & \text{CH_2} & \text{N} \\ \hline \end{array}$$

RN 952710-38-2 CAPLUS

CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-5-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-furanyl]methyl]- (CA INDEX NAME)

$$F_3C$$
 O CH_2 N O

RN

952710-39-3 CAPLUS Acetic acid, 2-[[2,3,4,5-tetrahydro-5-methyl-3-[[5-[4-CN (trifluoromethyl)phenyl]-2-furanyl]methyl]-1H-3-benzazepin-7-yl]oxy]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{O} \\ \text{CH}_2 \\ \text{N} \end{array}$$

27

OS.CITING REF COUNT: 1

THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT:

THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 22 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:35810 CAPLUS

DOCUMENT NUMBER: 146:142521

TITLE: Preparation of 2,3,4,5-tetrahydro-1H-3-benzazepines as

antithrombotic agents

INVENTOR(S): Priepke, Henning; Dahmann, Georg; Gerlach, Kai; Pfau,

Roland; Wienen, Wolfgang; Schuler-Metz, Annette;

Handschuh, Sandra; Nar, Herbert

PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany;

Boehringer Ingelheim Pharma Gmbh & Co. KG

SOURCE: PCT Int. Appl., 185pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	TENT	NO.			KIND DATE				APP]	LICAT	DATE									
WO	2007	0035	36		A1 20070111				WO 2	2006-l		20060628								
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB	, BG,	BR,	BW,	BY,	BZ,	CA,	CH,			
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ	, EC,	EE,	EG,	ES,	FI,	GB,	GD,			
		GE,	GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN	, IS,	JP,	ΚE,	KG,	ΚM,	KN,	KP,			
		KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU	, LV,	LY,	MA,	MD,	MG,	MK,	MN,			
		MW,	MX,	MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	, PG,	PH,	PL,	PT,	RO,	RS,	RU,			
		SC,	SD,	SE,	SG,	SK,	SL,	SM,	SY,	TJ	, TM,	TN,	TR,	TT,	TZ,	UA,	UG,			
	US, UZ, VC,			VN,	ZA,	ZM,	ZW													
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE	, ES,	FI,	FR,	GB,	GR,	HU,	IE,			
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT	, RO,	SE,	SI,	SK,	TR,	BF,	ΒJ,			
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML	, MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,			
		GM,	KΕ,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ	, TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,			
KG, KZ, MD,					•	·														
	AU 2006265216									AU 2006-265216										
	2613				A1	2007														
EP	1899330																			
	R:				•	•		•			, ES,			•						
				LI,	LT,	LU,	LV,	MC,	NL,	PL	PT,	RO,	SE,	SI,	SK,	TR,	BA,			
		HR,			_								0000000							
	JP 2008546741					T 20081225					2008-									
	AR 54627					A1 20070704					AR 2006-102825									
	ZA 2007008525					A 20090826 A 20080214					ZA 2007-8525 NO 2007-5186						20071005			
NO 2007005186					A															
IN 2007DN09037					A 20080104				IN 2007-DN9037 MX 2007-16253						20071123 20071218					
MX 2007016253				A A		2008														
	CN 101213195						2008				2006-					0080				
	KR 2008033318						2008	U416			2008-			,		0080.				
CLORIT	IORITY APPLN. INFO.:										2005-1 2006-1			j		0050 0060				
HER S	OURCE	(S):			CASI	REAC	Т 14	6:14:			ARPAT				w Z	UUOU	020			
		, -							,											

GΙ

AB Title compds. I [D = substituted bicyclic ring system with provisos; R3 = H, alkyl; R4, R5 = H, alkyl, alkenyl, etc.; M = substituted thiophene with provisos] and their pharmaceutically acceptable salts and formulations were prepared For example, benzazepine II was prepared from 3-trifluoroacetyl-7-nitro-2,3,4,5-tetrahydro-1H-benzo(d)azepine in 6-steps. Compds. I are claimed useful as antithrombotic agents.

IT 919097-19-1P 919097-21-5P 919097-26-0P 919097-94-2P 919097-96-4P 919098-92-3P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Preparation of 2,3,4,5-tetrahydro-1H-3-benzazepines as antithrombotic agents)

RN 919097-19-1 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[1,1-dimethyl-2-oxo-2-[(2,3,4,5-tetrahydro-1,1,3-trimethyl-1H-3-benzazepin-7-yl)amino]ethyl]- (CA INDEX NAME)

RN 919097-21-5 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[1-methyl-2-oxo-2-[(2,3,4,5-tetrahydro-1,1,3-trimethyl-1H-3-benzazepin-7-yl)amino]ethyl]- (CA INDEX NAME)

RN 919097-26-0 CAPLUS

CN 2-Thiophenecarboxamide, N-[1,1-dimethyl-2-oxo-2-[(2,3,4,5-tetrahydro-1,1,3-

trimethyl-1H-3-benzazepin-7-yl)amino]ethyl]-5-ethynyl- (CA INDEX NAME)

RN 919097-94-2 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[1,1-dimethyl-2-oxo-2-[(2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl)amino]ethyl]- (CA INDEX NAME)

RN 919097-96-4 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[1,1-dimethyl-2-oxo-2-[(2,3,4,5-tetrahydro-1,3-dimethyl-1H-3-benzazepin-7-yl)amino]ethyl]- (CA INDEX NAME)

RN 919098-92-3 CAPLUS

CN 3-Furancarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N-(2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl)- (CA INDEX NAME)

IT 1066578-03-7 1066578-07-1

RL: PRPH (Prophetic)

(Preparation of 2,3,4,5-tetrahydro-1H-3-benzazepines as antithrombotic agents)

RN 1066578-03-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1066578-07-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

IT 919099-24-4P 919099-25-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(Preparation of 2,3,4,5-tetrahydro-1H-3-benzazepines as antithrombotic agents)

RN 919099-24-4 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-3,5-dimethyl- (CA INDEX NAME)

RN 919099-25-5 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-1,3-dimethyl- (CA INDEX NAME)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 23 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:656846 CAPLUS

DOCUMENT NUMBER: 145:124478

TITLE: Preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine

derivatives as selective 5HT-2C receptor agonists

INVENTOR(S): Behan, Dominic P.; Smith, Brian M.; Bjenning,

Christina

PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 94 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	CENT 1	NO.			KIND DATE			APPLICATION NO.							DATE					
WO	NO 2006071740					A2 20060706			WO 2005-US46654							20051221				
	2006071740				А3		2007		32.10001											
	w:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,			
											EC,									
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,			
		KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,			
		MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,			
											TT,									
		VN,	YU,	ZA,	ZM,	ZW														
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,			
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ΒJ,			
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,			
											TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,			
	KG, KZ, MI				RU,															
AU 2005322183					A1		2006		AU 2005-322183						2005 1 221					
	2588941				A1		2006								20051221					
	1833473				A2		2007								20051221					
EΡ	1833				В1		2009													
	R:										ES,									
						LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,			
TD	BA, HR, MK,						0000	0717	JP 2007-548479						20051221					
JP 2008525480				$rac{ ext{T}}{ ext{T}}$		2008														
	442135				A1		2009 2009:		AT 2005-855247 EP 2009-7812						20051221 20051221					
EP	2111859 R: AT, BE, BG,											ΕD	CD							
	R:																IL,			
ייים	IS, IT, LI,				Е,		2009				2005-	SI,								
PT 1833473 ES 2331656					T3		2010						20051221 20051221							
SG 158168					A1		2010		ES 2005-855247 SG 2009-8557						20051221					
IN 2007KN02012				A		2010		IN 2007-KN2012						20071221						
CN 101123955				A		2008		CN 2005-80043743						20070604						
MX 2007007761					A		2008		MX 2007-7761						20070622					
ZA 2007007761					A	2009		ZA 2007-7761 ZA 2007-5165						20070622						
KR 2007091030				A		2007		KR 2007-716812							0070					
HK 1102766				A1		2009		HK 2007-111115						20071016						
US 20090197868					A1		2009				2008-					0080				
	APP:			. :							2004-					0041				
											2005-					0050				
								2005-					0051							
						WO 2	2005-	IIS46	654		W 2	0051	221							

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): CASREACT 145:124478; MARPAT 145:124478 GI

$$R^{2}$$
 R^{2}
 R^{2

- AB Title compds. represented by the formula I [wherein R1 = H or alkyl; R2 = alkyl, OH, CH2OH, etc.; R2a = H or R2R2a = -CH2CH2-; R3, R4 = independently H, halo, cyano, etc., or R3R4 = one oxygen containing heterocyclyl; and pharmaceutically acceptable salts, solvates or hydrates thereof] were prepared as 5HT-2C receptor agonists. For example, II was provided in a multi-step synthesis starting from 3-methoxyphenethylamine. II showed EC50 with 4.2 nM in intracellular IP3 accumulation assay, and was tested for inhibition of food intake in food-deprived rats. Thus, I and their pharmaceutical compns. are useful as selective 5HT-2C receptor agonist for the treatment of obesity.
- IT 616201-55-9P, 8-Bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-57-1P 616201-80-0P,
 8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
 RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses) (preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivs. as selective 5HT-2C receptor agonists)
- RN 616201-55-9 CAPLUS
- CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl- (CA INDEX NAME)

- RN 616201-57-1 CAPLUS
- CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl- (CA INDEX NAME)

RN 616201-80-0 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

5HT-2C receptor agonists) RN 616201-56-0 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-7-methoxy-1-methyl- (CA INDEX NAME)

RN 616201-73-1 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-1-ethyl-2,3,4,5-tetrahydro-7-methoxy- (CA INDEX NAME)

RN 616201-91-3 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1,3-dimethyl- (CA INDEX NAME)

RN 616202-05-2 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(trifluoromethyl)- (CA INDEX NAME)

RN 616202-07-4 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro- (CA INDEX NAME)

IT616202-75-6P 616202-76-7P 616202-77-8P 616202-79-0P 616202-81-4P 616202-82-5P 616202-84-7P 616202-85-8P 616202-86-9P 616202-87-0P 616202-88-1P 616202-90-5P 616202-92-7P, (R)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3benzazepine 616202-93-8P 616202-95-0P 616202-96-1P

RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivs. as selective 5HT-2C receptor agonists)

RN 616202-75-6 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 616202-76-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 616202-77-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-, (1S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 616202-79-0 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-1-ethyl-2,3,4,5-tetrahydro-7-methoxy-, (1S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 616202-81-4 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 616202-82-5 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1,3-dimethyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 616202-84-7 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(trifluoromethyl)-, (1S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 616202-85-8 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro-, (1S)- (CA INDEX

NAME)

Absolute stereochemistry.

RN 616202-86-9 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1R)-(CA INDEX NAME)

Absolute stereochemistry.

RN 616202-87-0 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 616202-88-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-, (1R)-(CA INDEX NAME)

Absolute stereochemistry.

RN 616202-90-5 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-1-ethyl-2,3,4,5-tetrahydro-7-methoxy-, (1R)-(CA INDEX NAME)

Absolute stereochemistry.

RN 616202-92-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 616202-93-8 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1,3-dimethyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 616202-95-0 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(trifluoromethyl)-, (1R)-(CA INDEX NAME)

Absolute stereochemistry.

RN 616202-96-1 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 616201-72-0 CAPLUS

CN 1H-3-Benzazepine-1-methanol, 8-bromo-2,3,4,5-tetrahydro-7-methoxy- (CA INDEX NAME)

IT 616201-58-2P, 8-Bromo-7-hydroxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-59-3P,
7-Allyloxy-8-bromo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-60-6P, 7-Benzyloxy-8-bromo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-61-7P,
8-Bromo-7-ethoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-62-8P, 8-Bromo-7-isopropoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-63-9P,
N-Methyl-8-bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-64-0P, N-Propyl-8-bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-65-1P,

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7-Hydroxy-8-iodo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
616201-66-2P, 7-Allyloxy-8-iodo-1-methyl-2,3,4,5-tetrahydro-1H-3-
             616201-67-3P
benzazepine
                               616201-68-4P,
7-Allyloxy-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
616201-69-5P, 7-Methoxy-1-methyl-8-(2-thienyl)-2,3,4,5-tetrahydro-
1H-3-benzazepine
                   616201-70-8P,
8-Cyano-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
616201-74-2P, 8-Chloro-1-ethyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-
             616201-75-3P,
benzazepine
8-Bromo-1-isopropyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine
616201-76-4P, 8-Bromo-7-hydroxy-1-isopropyl-2,3,4,5-tetrahydro-1H-
3-benzazepine
                616201-77-5P,
7-Allyloxy-8-bromo-1-isopropyl-2,3,4,5-tetrahydro-1H-3-benzazepine
616201-81-1P, 7-(2-Methyl-2H-pyrazol-3-yl)-1-methyl-2,3,4,5-
tetrahydro-1H-3-benzazepine 616201-82-2P,
7-(4-Bromo-2-methyl-2H-pyrazol-3-yl)-1-methyl-2,3,4,5-tetrahydro-1H-3-
benzazepine 616201-83-3P_{i}
7-(3-Chlorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
616201-84-4P, 7-(2-Chlorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-
benzazepine
            616201-85-5P,
8-Chloro-1-hydroxy-2,3,4,5-tetrahydro-1H-3-benzazepine
616201-86-6P, 8-Bromo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
616201-87-7P, 8-Fluoro-1-methyl-2,3,4,5-tetrahydro-1H-3-
             616201-88-8P,
benzazepine
7-Fluoro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
616201-89-9P, 7-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-
benzazepine
             616201-90-2P,
7,8-Dichloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
616201-92-4P, 1-Methyl-7-trifluoromethoxy-2,3,4,5-tetrahydro-1H-3-
benzazepine
             616201-93-5P,
8-Iodo-1-methyl-7-trifluoromethoxy-2,3,4,5-tetrahydro-1H-3-benzazepine
616201-94-6P, N-Propyl-8-iodo-7-methoxy-1-methyl-2,3,4,5-
tetrahydro-1H-3-benzazepine
                             616201-95-7P,
1-Ethyl-8-iodo-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine
616201-96-8P, 7-(3-Methoxyphenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-
benzazepine
              616201-97-9P,
7-(2,6-Difluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
616201-98-0P, 7-(2-Fluorophenyl)-8-chloro-1-methyl-2,3,4,5-
tetrahydro-1H-3-benzazepine
                             616201-99-1P,
7-(2-Trifluoromethylphenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
616202-00-7P, 7-(3-Trifluoromethylphenyl)-1-methyl-2,3,4,5-
tetrahydro-1H-3-benzazepine
                              616202-01-8P,
7-(4-Trifluoromethylphenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
616202-02-9P, 8-(2-Chlorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-
             616202-03-0P,
benzazepine
7-Methoxy-1-methyl-8-trifluoromethyl-2,3,4,5-tetrahydro-1H-3-benzazepine
616202-04-1P, 7-Methoxy-1-methyl-8-pentafluoroethyl-2,3,4,5-
tetrahydro-1H-3-benzazepine
                              616202-06-3P
616202-08-5P, 8-Chloro-7-fluoro-1-methyl-2,3,4,5-tetrahydro-1H-3-
benzazepine
             616202-69-8P,
8-Iodo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
  8-Trifluoromethyl-1-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepine
616202-71-2P, 8-Bromo-1-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepine
616202-72-3P, 8-Iodo-1-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepine
616202-73-4P, 7,8-Dichloro-1-ethyl-2,3,4,5-tetrahydro-1H-3-
benzazepine
              616202-74-5P,
8-Chloro-7-fluoro-1-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepine
```

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivs. as selective 5HT-2C receptor agonists)

RN 616201-58-2 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-bromo-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 616201-59-3 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl-7-(2-propen-1-yloxy)-(CA INDEX NAME)

$$\begin{array}{c} \text{O-CH}_2\text{-CH} \longrightarrow \text{CH}_2 \\ \text{Br} \end{array}$$

RN 616201-60-6 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-(CA INDEX NAME)

RN 616201-61-7 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-7-ethoxy-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 616201-62-8 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl-7-(1-methylethoxy)-(CA INDEX NAME)

RN 616201-63-9 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1,3-dimethyl- (CA INDEX NAME)

RN 616201-64-0 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl-3-propyl-(CA INDEX NAME)

RN 616201-65-1 CAPLUS

CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-8-iodo-1-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{OH} \\ & \text{HN} \\ & \text{Me} \end{array}$$

RN 616201-66-2 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-1-methyl-7-(2-propen-1-yloxy)-(CA INDEX NAME)

$$\begin{array}{c|c} \text{O-CH}_2\text{-CH} & \text{CH}_2 \\ \hline \text{HN} & \text{I} \\ \\ \text{Me} & \end{array}$$

RN 616201-67-3 CAPLUS

CN 5H-Furo[2,3-h][3]benzazepine, 6,7,8,9-tetrahydro-3,5-dimethyl- (CA INDEX NAME)

RN 616201-68-4 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-7-(2-propen-1-yloxy)- (CA INDEX NAME)

$$\begin{array}{c|c} \text{O-CH}_2\text{-CH} & \text{CH}_2 \\ \\ \text{Me} & \\ \end{array}$$

RN 616201-69-5 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(2-thienyl)- (CA INDEX NAME)

RN 616201-70-8 CAPLUS

CN 1H-3-Benzazepine-7-carbonitrile, 2,3,4,5-tetrahydro-8-methoxy-5-methyl-(CA INDEX NAME)

RN 616201-74-2 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro-7-methoxy- (CA INDEX NAME)

RN 616201-75-3 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-(1-methylethyl)-(CA INDEX NAME)

RN 616201-76-4 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-bromo-2,3,4,5-tetrahydro-1-(1-methylethyl)- (CA INDEX NAME)

RN 616201-77-5 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-(1-methylethyl)-7-(2-propen-1-yloxy)- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{O-CH}_2\text{-CH} \longrightarrow \text{CH}_2 \\ & \text{Br} \\ & \text{Pr-i} \end{array}$$

RN 616201-81-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(1-methyl-1H-pyrazol-5-yl)-(CA INDEX NAME)

RN 616201-82-2 CAPLUS

CN 1H-3-Benzazepine, 7-(4-bromo-1-methyl-1H-pyrazol-5-yl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 616201-83-3 CAPLUS

CN 1H-3-Benzazepine, 7-(3-chlorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 616201-84-4 CAPLUS

CN 1H-3-Benzazepine, 7-(2-chlorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 616201-85-5 CAPLUS

CN 1H-3-Benzazepin-1-ol, 8-chloro-2,3,4,5-tetrahydro- (CA INDEX NAME)

RN 616201-86-6 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 616201-87-7 CAPLUS

CN 1H-3-Benzazepine, 8-fluoro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 616201-88-8 CAPLUS

CN 1H-3-Benzazepine, 7-fluoro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

$$\underset{\text{Me}}{\text{HN}}$$

RN 616201-89-9 CAPLUS

CN 1H-3-Benzazepine, 7-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 616201-90-2 CAPLUS

CN 1H-3-Benzazepine, 7,8-dichloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 616201-92-4 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(trifluoromethoxy)- (CA INDEX NAME)

$$0-CF_3$$

RN 616201-93-5 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-1-methyl-7-(trifluoromethoxy)-(CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 616201-94-6 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-3-propyl-(CA INDEX NAME)

RN 616201-95-7 CAPLUS

CN 1H-3-Benzazepine, 1-ethyl-2,3,4,5-tetrahydro-8-iodo-7-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} \text{OMe} \\ \\ \text{HN} \\ \\ \text{Et} \end{array}$$

RN 616201-96-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-(3-methoxyphenyl)-1-methyl- (CA INDEX NAME)

RN 616201-97-9 CAPLUS

CN 1H-3-Benzazepine, 7-(2,6-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 616201-98-0 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-7-(2-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl-(CA INDEX NAME)

RN 616201-99-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 616202-00-7 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 616202-01-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 616202-02-9 CAPLUS

CN 1H-3-Benzazepine, 8-(2-chlorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 616202-03-0 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(trifluoromethyl)- (CA INDEX NAME)

RN 616202-04-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(1,1,2,2,2-pentafluoroethyl)- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{CF}_2\text{-CF}_3 \\ \text{OMe} \end{array}$$

RN 616202-06-3 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-(methoxymethyl)-(CA INDEX NAME)

$$\begin{array}{c|c} \text{OMe} \\ \\ \text{Br} \\ \\ \text{CH}_2\text{--} \text{OMe} \end{array}$$

RN 616202-08-5 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-7-fluoro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 616202-69-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-1-methyl- (CA INDEX NAME)

RN 616202-70-1 CAPLUS

CN 1H-3-Benzazepine, 1-ethyl-2,3,4,5-tetrahydro-8-(trifluoromethyl)- (CA INDEX NAME)

RN 616202-71-2 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-1-ethyl-2,3,4,5-tetrahydro- (CA INDEX NAME)

RN616202-72-3 CAPLUS

CN 1H-3-Benzazepine, 1-ethyl-2,3,4,5-tetrahydro-8-iodo- (CA INDEX NAME)

616202-73-4 CAPLUS RN

1H-3-Benzazepine, 7,8-dichloro-1-ethyl-2,3,4,5-tetrahydro- (CA INDEX CN NAME)

RN616202-74-5 CAPLUS

1H-3-Benzazepine, 8-chloro-1-ethyl-7-fluoro-2,3,4,5-tetrahydro- (CA INDEX CN NAME)

IT616202-59-6, N-(Trifluoroacetyl)-1-methyl-7-trifluoromethoxy-2, 3, 4, 5-tetrahydro-1H-3-benzazepine 616202-60-9,

N-(Trifluoroacetyl)-8-bromo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivs. as selective 5HT-2C receptor agonists)

RN 616202-59-6 CAPLUS

Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-1-methyl-7-CN (trifluoromethoxy)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

$$F_3C-C$$
 N
 $O-CF_3$

RN 616202-60-9 CAPLUS

CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

IT616202-11-0P, N-(Trifluoroacetyl)-7-methoxy-1-methyl-2,3,4,5tetrahydro-1H-3-benzazepine 616202-12-1P, N-(Trifluoroacetyl)-8-bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-616202-13-2P, benzazepine N-(Trifluoroacetyl)-8-chloro-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3benzazepine 616202-14-3P, N-(Trifluoroacetyl)-8-iodo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-616202-15-4P, benzazepine N-(Trifluoroacetyl)-8-bromo-7-hydroxy-1-methyl-2,3,4,5-tetrahydro-1H-3benzazepine 616202-16-5P, N-(Trifluoroacetyl)-7-allyloxy-8-bromo-1-methyl-2,3,4,5-tetrahydro-1H-3benzazepine 616202-17-6P, N-(Trifluoroacetyl)-7-benzyloxy-8-bromo-1-methyl-2,3,4,5-tetrahydro-1H-3benzazepine 616202-18-7P, N-(Trifluoroacetyl)-8-bromo-7-ethyloxy-1-methyl-2,3,4,5-tetrahydro-1H-3benzazepine 616202-19-8P, N-(Trifluoroacetyl)-8-bromo-7-isopropoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-616202-20-1P, benzazepine N-(Trifluoroacetyl)-7-hydroxy-8-iodo-1-methyl-2,3,4,5-tetrahydro-1H-3-616202-21-2P, benzazepine N-(Trifluoroacetyl)-7-allyloxy-8-iodo-1-methyl-2,3,4,5-tetrahydro-1H-3-616202-22**-**3P 616202-23-4P, benzazepine N-(Trifluoroacetyl)-8-chloro-7-hydroxy-1-methyl-2,3,4,5-tetrahydro-1H-3benzazepine 616202-24-5P, N-(Trifluoroacetyl)-7-allyloxy-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3benzazepine 616202-25-6P, N-(Trifluoroacetyl)-7-methoxy-1-methyl-8-(2-thienyl)-2,3,4,5-tetrahydro-1H-616202-26-7P, 3-benzazepine N-(Trifluoroacetyl)-8-cyano-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3benzazepine 616202-29-0P, N-(Trifluoroacetyl)-1-hydroxymethyl-7-methyloxy-2,3,4,5-tetrahydro-1H-3-

616202-30-3P,

benzazepine

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N-(Trifluoroacetyl)-8-bromo-1-hydroxymethyl-7-methoxy-2,3,4,5-tetrahydro-
                   616202-33-6P,
1H-3-benzazepine
N-(Trifluoroacetyl)-1-ethyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine
616202-34-7P, N-(Trifluoroacetyl)-8-bromo-1-ethyl-7-methoxy-
2,3,4,5-tetrahydro-1H-3-benzazepine
                                      616202-35-8P,
N-(Trifluoroacetyl)-8-chloro-1-ethyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-
benzazepine
              616202-38-1P,
N-(Trifluoroacetyl)-1-isopropyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-
benzazepine
              616202-39-2P,
N-(Trifluoroacetyl)-8-bromo-1-isopropyl-7-methyloxy-2,3,4,5-tetrahydro-1H-
3-benzazepine
                616202-40-5P,
N-(Trifluoroacetyl)-8-bromo-7-hydroxy-1-isopropyl-2,3,4,5-tetrahydro-1H-3-
              616202-41-6P,
benzazepine
N-(Trifluoroacetyl)-7-allyloxy-8-bromo-1-isopropyl-2,3,4,5-tetrahydro-1H-3-
              616202-51-8P,
benzazepine
N-(Trifluoroacetyl)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
616202-52-9P, N-(Trifluoroacetyl)-7-hydroxy-1-methyl-2,3,4,5-
tetrahydro-1H-3-benzazepine
                              616202-53-0P
616202-54-1P, N-(Trifluoroacetyl)-7-(2-Methyl-2H-pyrazol-3-yl)-1-
methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-55-2P,
N-(Trifluoroacetyl)-7-(4-bromo-2-Methyl-2H-pyrazol-3-yl)-1-methyl-2,3,4,5-
                              616202-65-4P
tetrahydro-1H-3-benzazepine
616202-67-6P, N-(Trifluoroacetyl)-8-chloro-1-ethyl-2,3,4,5-
                              616202-68-7P,
tetrahydro-1H-3-benzazepine
N-(Trifluoroacetyl)-8-chloro-7-fluoro-1-methyl-2,3,4,5-tetrahydro-1H-3-
benzazepine
              897366-25-5P,
N-(Trifluoroacetyl)-1-ethylen-7-ylmethoxy-2,3,4,5-tetrahydro-1H-3-
              897366-26-6P,
benzazepine
N-(Trifluoroacetyl)-1-isopropylen-7-ylmethoxy-2,3,4,5-tetrahydro-1H-3-
benzazepine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
   (preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivs. as selective
   5HT-2C receptor agonists)
616202-11-0 CAPLUS
Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-
benzazepin-3-yl)- (CA INDEX NAME)
```

$$F_3C-C$$

$$0$$

$$0$$

$$0$$

$$0$$

$$0$$

RN 616202-12-1 CAPLUS CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

RN

CN

RN 616202-13-2 CAPLUS

CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

$$F_3C-C$$
N
OMe

RN 616202-14-3 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)

RN 616202-15-4 CAPLUS

CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

$$F_3C-C \xrightarrow{N} \underbrace{Me}^{OH}$$

RN 616202-16-5 CAPLUS

CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-methyl-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

$$F_3C-\underset{O}{\overset{O-CH_2-CH=CH_2}{\longleftarrow}}CH_2$$

RN 616202-17-6 CAPLUS

CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

RN 616202-18-7 CAPLUS

CN Ethanone, 1-(8-bromo-7-ethoxy-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

RN 616202-19-8 CAPLUS

CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-methyl-7-(1-methylethoxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

RN 616202-20-1 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7-hydroxy-8-iodo-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)

RN 616202-21-2 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-8-iodo-1-methyl-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

$$F3C-C \longrightarrow N \longrightarrow I$$

$$Me$$

$$O-CH_2-CH \Longrightarrow CH_2$$

RN 616202-22-3 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-(5,6,8,9-tetrahydro-3,5-dimethyl-7H-furo[2,3-h][3]benzazepin-7-yl)- (CA INDEX NAME)

RN 616202-23-4 CAPLUS

CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

RN 616202-24-5 CAPLUS

CN Ethanone, 1-[8-chloro-1,2,4,5-tetrahydro-1-methyl-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

$$\begin{array}{c|c} \text{C-CH}_2\text{-CH} & \text{CH}_2 \\ \text{Cl} & \text{Me} \end{array}$$

RN 616202-25-6 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-(2-thienyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

RN 616202-26-7 CAPLUS

CN 1H-3-Benzazepine-7-carbonitrile, 2,3,4,5-tetrahydro-8-methoxy-5-methyl-3-(2,2,2-trifluoroacetyl)- (CA INDEX NAME)

RN 616202-29-0 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-1-(hydroxymethyl)-7-methoxy-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

RN 616202-30-3 CAPLUS

CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-(hydroxymethyl)-7-methoxy-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

RN 616202-33-6 CAPLUS

CN Ethanone, 1-(1-ethyl-1,2,4,5-tetrahydro-7-methoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

$$F_3C-C \longrightarrow N$$
 OMe

RN 616202-34-7 CAPLUS

CN Ethanone, 1-(8-bromo-1-ethyl-1,2,4,5-tetrahydro-7-methoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

RN 616202-35-8 CAPLUS

CN Ethanone, 1-(8-chloro-1-ethyl-1,2,4,5-tetrahydro-7-methoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

RN 616202-38-1 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-(1-methylethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

RN 616202-39-2 CAPLUS

CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-(1-methylethyl)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

RN 616202-40-5 CAPLUS

CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-7-hydroxy-1-(1-methylethyl)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

RN 616202-41-6 CAPLUS

CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-(1-methylethyl)-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

RN 616202-51-8 CAPLUS

CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

$$F_3C-C$$
N
O

C1

RN 616202-52-9 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)

RN 616202-53-0 CAPLUS

CN Methanesulfonic acid, 1,1,1-trifluoro-, 2,3,4,5-tetrahydro-1-methyl-3-(2,2,2-trifluoroacetyl)-1H-3-benzazepin-7-yl ester (CA INDEX NAME)

$$F_{3}C-C$$

$$N$$

$$Me$$

$$O-S-CF_{3}$$

$$O$$

RN 616202-54-1 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-1-methyl-7-(1-methyl-1H-pyrazol-5-yl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

$$F_3C-C = N$$

$$0 \qquad Me$$

$$Me$$

$$N$$

$$N$$

$$N$$

RN 616202-55-2 CAPLUS

CN Ethanone, 1-[7-(4-bromo-1-methyl-1H-pyrazol-5-yl)-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

$$F_3C-C$$

$$N$$

$$Br$$

$$N$$

$$N$$

$$N$$

$$N$$

RN 616202-65-4 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-(methoxymethyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 616202-67-6 CAPLUS

CN Ethanone, 1-(8-chloro-1-ethyl-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

RN 616202-68-7 CAPLUS

CN Ethanone, 1-(8-chloro-7-fluoro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

$$F_3C-C$$

$$Me$$

$$C1$$

RN 897366-25-5 CAPLUS

CN Ethanone, 1-(1-ethenyl-1,2,4,5-tetrahydro-7-methoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{H}_2\text{C} \longrightarrow \text{CH} \\ \hline \\ \text{F}_3\text{C} - \underset{\text{O}}{\text{C}} & \text{N} \\ & & \text{OMe} \end{array}$$

RN 897366-26-6 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-(1-methylethenyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

$$F_3C-C N OMe$$

$$C-Me$$

$$CH_2$$

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

INVENTOR(S):

L20 ANSWER 24 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:635052 CAPLUS

DOCUMENT NUMBER: 145:83251

TITLE: Preapartion of polymorphic crystalline forms of (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-

benzazepine hydrochloride and its sesquihydrate
Agarwal, Rajesh Kumar; Betts, William L., III;

Henshilwood, James A.; Kiang, Yuan-Hon; Post, Noah

PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PAI	ENT I	NO.		KIND DATE			APPLICATION NO.							DATE						
WO	2006	0693		A2 20060629			WO 2005-US46983						20051220							
WO	2006069363				A3 20070510															
	W:	AE,	AG.	AL,	AM.	AT,	AU,	AZ,	BA,	BE	3,	BG.	BR.	BW.	BY,	BZ.	CA,	CH,		
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ΑIJ	2005			11107	A1		2006		EA, EP, OA AU 2005-318959							20051220				
	2589				A1							005-2	20051220							
	1838				A2										20051220					
	1838				В1		2009													
	R:		BE.	BG.	CH.		CZ,		DK.	EF	3.	ES.	FI.	FR.	GB.	GR.	HU,	IE.		
							LV,													
			HR.	-	-	•	•	•			•	•		·		•	•	·		
CN	101084193			•	Α		2007	1205		CN	20	005-8	30043	3392		2	0051	220		
JΡ	JP 2008524262				\mathbf{T}		2008	0710		JP 2007-547060							20051220			
BR	2005	0197		A2		2009	0310		BR 2005-19726							20051220				
	4423.				\mathbf{T}		2009	0915		AT 2005-855526							20051220			
PT	1838677				\mathbf{E}		2009	1116		PT 2005-855526							20051220			
ES	2332009				Т3		2010	0122				005-8				2	0051	220		
ΕP	2149.	562			A1		2010	0203		EP	20	009-1	11453	3		2	0051	220		
	R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EF	Ξ,	ES,	FI,	FR,	GB,	GR,	HU,	IE,		
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			HR,																	
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ZA	2007005123				D		2010	0127												
IN	2007KN02296				A		2007	0817		IN	20	007-E	KN22	96		2	0070	621		
ΜX	X 2007007566				A		2008	0829		ΜX	20	007-	7566			2	0070	621		
KR	KR 2007098870				A		2007	1005		KR	20	007-	71672	27		2	0070	720		
HK 1102812					A1		2009			HK	20	007-1	1111	17		2	0071	016		
US	2010	0004	223		A1		2010	0107		US	20	007-	79341	73		2	0071	102		
ITY	APP:	LN.	INFO	. :						US	20	004-6	63822	21P		P 2	0041	221		

US 2004-638004P P 20041220 EP 2005-855526 A3 20051220 WO 2005-US46983 W 20051220

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Polymorphic crystalline forms of (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hydrochloride and its sesquihydrate, useful as a 5-HT2c receptor agonist and for the treatment of diseases responsive to 5-HT2c receptor agonists (e.g., depression), are prepared

IT 616202-92-7, (R)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of polymorphic crystalline forms of

(R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hydrochloride and its sesquihydrate)

RN 616202-92-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

IT 846589-98-8P, (R)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-

benzazepine hydrochloride

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of polymorphic crystalline forms of

(R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hydrochloride and its sesquihydrate)

RN 846589-98-8 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

IT 856681-05-5P 893407-21-1P RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological

Absolute stereochemistry.

● HCl

●1/2 H₂O

RN 893407-21-1 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride, hydrate (2:2:3), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

●3/2 H₂O

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L20 ANSWER 25 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:409483 CAPLUS

DOCUMENT NUMBER: 142:463622

TITLE: Preparation of benzazepine derivatives and methods of

prophylaxis or treatment of 5-HT2C receptor associated

diseases like obesity

INVENTOR(S): Smith, Brian; Gilson, Charles, III; Schultz, Jeffrey;

Estrada, Scott

PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 80 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.						KIND DATE			1	APPL:	ICAT	ION 1	DATE				
	WO 2005042491					A1 2005051			0512	1	WO 2	004-1	US34:	20041021				
		W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KΡ,	KR,	ΚZ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,
			NO,	NZ,	OM,	PG,	PH,	$PL_{,}$	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
			ΤJ,	TM,	TN,	TR,	$\mathrm{TT}_{m{r}}$	$\mathrm{TZ}_{m{\prime}}$	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
		RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,
			ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
			EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,	ΙT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
			SI,	SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	$\mathrm{ML}_{m{r}}$	MR,	ΝE,
			SN,	TD,	TG													
	US 20080009478					A1	20080110			1	US 20	007	57684	20070409				
PRIOR	PRIORITY APPLN. INFO.:									1	US 2003-513865P					P 20031022		
										1	WO 2	004 - 1	US34	I	W 20041021			

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): CASREACT 142:463622; MARPAT 142:463622 GI

Ι

AB The present invention relates to substituted-2,3,4,5-tetrahydro-3-benzazepine derivs. (shown as I; variables defined below; e.g. (S)-7-benzyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride (II) and 8-benzyl-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride (III)) that are modulators of the 5-HT2C receptor. Accordingly, compds. of the present invention are useful for the prophylaxis or treatment of 5-HT2C receptor associated diseases,

conditions or disorders, such as, obesity and related disorders. For I: X is O, S, SO, SO2, CO, COO, NR7, CONR7, SONR7, SO2NR7, NR7CONR7 or is absent; Y is C1-C10 alkenyl or is absent, wherein Y is (un) substituted by halo, C1-C4 alkyl, C1-C4 alkoxy, C1-C4 haloalkyl, C1-C4 haloalkoxy, hydroxy, carboxy, amino, alkylamino, or dialkylamino; Z is O, S, SO, SO2 or absent; R1 is H, C1-C8 alkyl, C3-C7 cycloalkyl, or C1-C8 haloalkyl; R2 is C1-C8 alkyl or C1-C8 haloalkyl; R3 is H, C1-C8 alkyl, or C1-C8 haloalkyl; or R2 and R3 together with the C atom to which they are attached form a C3-C7 cycloalkyl. R4, R5, and R6 = H, halo, C1-C8 alkyl, C1-C8 haloalkyl, C2-C8 alkenyl, C2-C8 alkynyl, aryl, heteroaryl, C3-C7 cycloalkyl, heterocycloalkyl, hydroxy, mercapto, C1-C8 alkoxy, C1-C8 thioalkoxy, C1-C8 haloalkoxy, aryloxy, cycloalkyloxy, heteroaryloxy, heterocycloalkyloxy, cyano, nitro, NR8R9, NR8COR10, COR10, COOR11, or CONR8R9; R7 is H, C1-C4 alkyl, or C1-C4 haloalkyl; R8 and R9 = H, C1-C4 alkyl, C1-C4 haloalkyl, C3-C7 cycloalkyl, cycloalkylalkyl, aryl, or arylalkyl; or R8 and R9 together with the N atom to which they are attached form a 5- or 6-membered heterocycloalkyl. R10 is H, C1-C4 alkyl, C3-C7 cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heterocycloalkyl; R11 is H, C1-C4 alkyl, C3-C7 cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heterocycloalkyl. Ar is aryl or heteroaryl, each (un) substituted by ≥1 halo, cyano, nitro, C1-C6 alkyl, C1-C6 haloalkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, C3-C7 cycloalkyl, heterocycloalkyl, hydroxy, C1-C6 alkoxy, C1-C6 haloalkoxy, C3-C7 cycloalkyloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, mercapto, C1-C6 thioalkoxy, C3-C7 thiocycloalkyloxy, thioaryloxy, thioheteroaryloxy, C1-C4 alkylsulfinyl, C1-C4 alkylsulfonyl, C1-C4 haloalkylsulfinyl, C1-C4 haloalkylsulfonyl, COR12, COOR13, NR14R15, NR14COR12, NR14CONR14R15, or CONR14R15. Or Ar together with Y and Z form a benzo-fused cycloalkyl or benzo-fused heterocycloalkyl group, each (un) substituted by ≥1 halo, cyano, nitro, C1-C6 alkyl, C1-C6 haloalkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, C3-C7 cycloalkyl, heterocycloalkyl, hydroxy, C1-C6 alkoxy, C1-C6 haloalkoxy, C3-C7, cycloalkyloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, mercapto, C1-C6 thioalkoxy, C3-C7 thiocycloalkyloxy, thioaryloxy, thioheteroaryloxy, C1-C4 alkylsulfinyl, C1-C4 alkylsulfonyl, C1-C4 haloalkylsulfinyl, C1-C4 haloalkylsulfonyl, COR12, COOR13, NR14R15, NR14COR12, NR14CONR14R15, or CONR14R15. R12 is H, C1-C4 alkyl, C3-C7 cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heterocycloalkyl; R3 is H, C1-C4 alkyl, C3-C7 cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heterocycloalkyl; and R14 and R15 = H, C1-C4 alkyl, C1-C4 haloalkyl, C3-C7 cycloalkyl, cycloalkylalkyl, aryl, or arylalkyl; or R14 and R15 together with the N atom to which they are attached form a 5- or 6-membered heterocycloalkyl group; provisos are given in the claims. Although the methods of preparation are not claimed, 39 example prepns. are included. For example, II was prepared in 3 steps starting from (S)-N-(trifluoroacetyl)-8-chloro-1-methyl-1,2,4,5tetrahydrobenzo[d]azepine and involving intermediates (S)-N-(Trifluoroacetyl)-8-chloro-7-iodo-1-methyl-2,3,4,5-tetrahydro-1Hbenzo[d]azepine and (S)-N-(Trifluoroacetyl)-7-benzyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine. 5-HT2C IC50 values are reported for II and III as 30 and 7 nM, resp., from an intracellular IP3 accumulation assay. 616202-51-8P, N-(Trifluoroacetyl)-8-chloro-1-methyl-2,3,4,5tetrahydro-1H-3-benzazepine RL: PEP (Physical, engineering or chemical process); PYP (Physical

process); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

PROC (Process); RACT (Reactant or reagent)

Page 124

(chromatog. resolution; preparation of benzazepine derivs. and methods of prophylaxis or treatment of 5-HT2C receptor associated diseases like obesity)

RN 616202-51-8 CAPLUS

CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

$$F_3C - C \longrightarrow N \longrightarrow C1$$

IT 851478-31-4P, 8-Benzyl-7-fluoro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of benzazepine derivs. and methods of prophylaxis or treatment of 5-HT2C receptor associated diseases like obesity)

RN 851478-31-4 CAPLUS

CN 1H-3-Benzazepine, 7-fluoro-2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

IT 851477-53-7P, (2-Fluorobenzyl)[(S)-5-methyl-2,3,4,5-tetrahydro1H-benzo[d]azepin-7-yl]amine monohydrochloride 851477-55-9P,
 (3-Fluorobenzyl)[(S)-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7 yl]amine monohydrochloride 851477-56-0P,
 (4-Fluorobenzyl)[(S)-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7 yl]amine monohydrochloride 851477-57-1P,
 (Indan-1-yl)[(S)-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]amine
 monohydrochloride 851477-58-2P,
 (Biphenyl-4-ylmethyl)[(S)-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7 yl]amine monohydrochloride 851477-59-3P,
 [2-(3,4-Dimethoxyphenyl)ethyl][(S)-5-methyl-2,3,4,5-tetrahydro-1H benzo[d]azepin-7-yl]amine monohydrochloride 851477-60-6P,
 (S)-5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid
 benzylamide hydrochloride 851477-63-9P,

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(S)-5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid
phenylamide hydrochloride
                           851477-64-0P,
(S)-5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid
phenethylamide hydrochloride
                               851477-65-1P,
(S)-5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid
N-(phenpropyl)amide hydrochloride
                                     851477-66-2P,
(S)-5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid
4-phenylbenzylamide hydrochloride
                                     851477-67-3P,
(S)-8-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride
851477-70-8P, (S)-7-Benzyl-8-chloro-1-methyl-2, 3, 4, 5-tetrahydro-1H-
benzo[d]azepine hydrochloride 851477-73-1P,
8-Benzyl-7-methoxy-1-methyl-2, 3, 4, 5-tetrahydro-1H-benzo[d]azepine
hydrochloride
                851477-74-2P,
6-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol hydrochloride
851477-79-7P, (S)-8-(3-Methoxybenzyl)-1-methyl-2,3,4,5-tetrahydro-
1H-benzo[d]azepine trifluoroacetate 851477-81-1P,
(R) -8-Benzyl-1-methyl-2, 3, 4, 5-tetrahydro-1H-benzo[d] azepine
                   851477-84-4P,
trifluoroacetate
8-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol hydrochloride
851477-87-7P, (S) -1-Methyl-8-phenethyl-2, 3, 4, 5-tetrahydro-1H-
benzo[d]azepine hydrochloride 851477-90-2P,
(S)-8-(2-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
                   851477-92-4P,
trifluoroacetate
(S)-8-(3-Fluorobenzyl)-1-methyl-2, 3, 4, 5-tetrahydro-1H-benzo[d]azepine
                   851477-96-8P,
trifluoroacetate
(S)-8-(4-Fluorobenzyl)-1-methyl-2, 3, 4, 5-tetrahydro-1H-benzo[d] azepine
                   851477-99-1P,
trifluoroacetate
(S)-1-Methyl-8-(3-trifluoromethylbenzyl)-2,3,4,5-tetrahydro-1H-
benzo[d]azepine trifluoroacetate
                                   851478-02-9P,
(S)-8-(2,6-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
                   851478-05-2P,
trifluoroacetate
(S)-8-(2,4-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
                   851478-07-4P,
trifluoroacetate
(S)-8-(2,5-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
                   851478-09-6P,
trifluoroacetate
(S) = 8 - (3, 5 - Diffluorobenzyl) = 1 - methyl = 2, 3, 4, 5 - tetrahydro = 1 H - benzo [d] azepine
trifluoroacetate
                   851478-12-1P,
(S)-8-(3,4-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
                   851478-14-3P,
trifluoroacetate
(S)-8-(2-Methoxybenzyl)-1-methyl-2, 3, 4, 5-tetrahydro-1H-benzo[d] azepine
trifluoroacetate
                   851478-16-5P,
(S) -8 - (4-Methoxybenzyl) -1-methyl-2, 3, 4, 5-tetrahydro-1H-benzo[d]azepine
trifluoroacetate
                   851478-18-7P,
(S)-1-Methyl-8-(1-phenylethyl)-2, 3, 4, 5-tetrahydro-1H-benzo[d]azepine
trifluoroacetate
                   851478-19-8P,
(8-Methoxy-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-
                                   851478-22-3P,
yl) phenylmethanone hydrochloride
(S)-(5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl)phenylmethanone
hydrochloride
                851478-24-5P,
(S)-8-Benzyl-1-methyl-2, 3, 4, 5-tetrahydro-1H-benzo[d]azepin-7-ol
hydrochloride
                851478-29-0P,
(S)-6-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol
hydrochloride
                851478-32-5P,
(S)-8-(3-Fluorobenzyl)-1-methyl-2, 3, 4, 5-tetrahydro-1H-benzo[d]azepin-7-ol
hydrochloride
                851478-36-9P,
7-(3-Fluorobenzyloxy)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
851478-38-1P, 1-Methyl-8-(2-phenoxyethoxy)-2,3,4,5-tetrahydro-1H-
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benzo[d]azepine
                 851478-39-2P,
(4-Fluorobenzyl) (5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl)amine
851478-40-5P, (Biphenyl-4-ylmethyl) (5-methyl-2,3,4,5-tetrahydro-1H-
benzo[d]azepin-7-yl)amine
                           851478-41-6P,
5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid
phenylamide
             851478-42-7P,
5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid
benzylamide 851478-43-8P,
5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid
phenethylamide 851478-44-9P,
5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid
N-(phenpropyl)amide
                    851478-45-0P
                                      851478-46-1P,
[2-(3,4-Dimethoxyphenyl)ethyl](5-methyl-2,3,4,5-tetrahydro-1H-
benzo[d]azepin-7-yl)amine 851478-47-2P,
8-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
851478-48-3P, (Indan-1-yl) (5-methyl-2,3,4,5-tetrahydro-1H-
                           851478-49-4P,
benzo[d]azepin-7-yl)amine
7-Benzyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
851478-50-7P, 8-Benzyl-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-
benzo[d]azepine
                851478-51-8P,
6-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol
851478-52-9P, 8-(3-Methoxybenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-
                851478-53-0P,
benzo[d]azepine
8-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol
851478-54-1P, 1-Methyl-8-phenethyl-2,3,4,5-tetrahydro-1H-
                851478-55-2P,
benzo[d]azepine
8-(2-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
851478-56-3P, 8-(3-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-
                851478-57-4P,
benzo[d]azepine
8-(4-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
851478-58-5P, 1-Methyl-8-(3-trifluoromethylbenzyl)-2,3,4,5-
tetrahydro-1H-benzo[d]azepine 851478-59-6P,
8-(2,6-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
851478-60-9P, 8-(2,4-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-
1H-benzo[d]azepine
                   851478-61-0P,
8-(2,5-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
851478-62-1P, 8-(3,5-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-
1H-benzo[d]azepine
                     851478-63-2P,
8-(3,4-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
851478-64-3P, 8-(2-Methoxybenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-
benzo[d]azepine
                  851478-65-4P,
8-(4-Methoxybenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
851478-66-5P, 1-Methyl-8-(1-phenylethyl)-2,3,4,5-tetrahydro-1H-
benzo[d]azepine
                  851478-67-6P,
(8-Methoxy-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-
                   851478-68-7P,
yl)phenylmethanone
(5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl)phenylmethanone
851478-69-8P, 8-Benzyl-7-fluoro-1-methyl-2,3,4,5-tetrahydro-1H-
benzo[d]azepine 851478-70-1P,
8-(3-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
   (drug candidate; preparation of benzazepine derivs. and methods of
   prophylaxis or treatment of 5-HT2C receptor associated diseases like
   obesity)
851477-53-7 CAPLUS
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RN

CN 1H-3-Benzazepin-7-amine, N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-5-methyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 851477-55-9 CAPLUS

CN 1H-3-Benzazepin-7-amine, N-[(3-fluorophenyl)methyl]-2,3,4,5-tetrahydro-5-methyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 851477-56-0 CAPLUS

CN 1H-3-Benzazepin-7-amine, N-[(4-fluorophenyl)methyl]-2,3,4,5-tetrahydro-5-methyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

● HCl

RN 851477-57-1 CAPLUS

CN 1H-3-Benzazepin-7-amine, N-(2,3-dihydro-1H-inden-1-yl)-2,3,4,5-tetrahydro-5-methyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

HCl

RN 851477-58-2 CAPLUS

CN 1H-3-Benzazepin-7-amine, N-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-5-methyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 851477-59-3 CAPLUS

CN 1H-3-Benzazepin-7-amine, N-[2-(3,4-dimethoxyphenyl)ethyl]-2,3,4,5-tetrahydro-5-methyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 851477-60-6 CAPLUS

CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-(phenylmethyl)-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 851477-63-9 CAPLUS

CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-phenyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

● HCl

RN 851477-64-0 CAPLUS

CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-(2-phenylethyl)-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 851477-65-1 CAPLUS

CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-(3-phenylpropyl)-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 851477-66-2 CAPLUS

CN 1H-3-Benzazepine-7-carboxamide, N-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-

tetrahydro-5-methyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 851477-67-3 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.

HCl

RN 851477-70-8 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-7-(phenylmethyl)-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.

HCl

RN 851477-73-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 851477-74-2 CAPLUS

CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-1-methyl-6-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph-CH}_2 \\ & \text{HN} & \\ & \text{Me} \end{array}$$

● HCl

RN 851477-79-7 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-[(3-methoxyphenyl)methyl]-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851477-78-6

CMF C19 H23 N O

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10/560,953
```

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 851477-81-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)-, (1R)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851477-80-0

CMF C18 H21 N

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 851477-84-4 CAPLUS

CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 851477-87-7 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(2-phenylethyl)-,
hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 851477-90-2 CAPLUS
CN 1H-3-Benzazepine, 8-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-,
(1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851477-89-9 CMF C18 H20 F N

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 851477-92-4 CAPLUS

CN 1H-3-Benzazepine, 8-[(3-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851477-91-3 CMF C18 H20 F N

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 851477-96-8 CAPLUS

CN 1H-3-Benzazepine, 8-[(4-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851477-95-7 CMF C18 H20 F N

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 851477-99-1 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-[[3-(trifluoromethyl)phenyl]methyl]-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851477-98-0 CMF C19 H20 F3 N

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 851478-02-9 CAPLUS

CN 1H-3-Benzazepine, 8-[(2,6-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851478-01-8 CMF C18 H19 F2 N

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 851478-05-2 CAPLUS

CN 1H-3-Benzazepine, 8-[(2,4-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851478-04-1 CMF C18 H19 F2 N

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 851478-07-4 CAPLUS

CN 1H-3-Benzazepine, 8-[(2,5-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851478-06-3 CMF C18 H19 F2 N

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

```
RN 851478-09-6 CAPLUS
CN 1H-3-Benzazepine, 8-[(3,5-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-
methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851478-08-5
CMF C18 H19 F2 N
```

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CM

RN 851478-12-1 CAPLUS
CN 1H-3-Benzazepine, 8-[(3,4-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CRN 851478-11-0

1

CMF C18 H19 F2 N

CM 2

CRN 76-05-1 CMF C2 H F3 O2

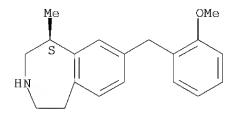
RN 851478-14-3 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-[(2-methoxyphenyl)methyl]-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851478-13-2 CMF C19 H23 N O

Absolute stereochemistry.



CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 851478-16-5 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-[(4-methoxyphenyl)methyl]-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851478-15-4

CMF C19 H23 N O

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 851478-18-7 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(1-phenylethyl)-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851478-17-6 CMF C19 H23 N

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 851478-19-8 CAPLUS

CN Methanone, phenyl(2,3,4,5-tetrahydro-8-methoxy-5-methyl-1H-3-benzazepin-7-yl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 851478-22-3 CAPLUS

CN Methanone, phenyl[(5S)-2,3,4,5-tetrahydro-5-methyl-1H-3-benzazepin-7-yl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 851478-24-5 CAPLUS
CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)-,
hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 851478-29-0 CAPLUS
CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-1-methyl-6-(phenylmethyl)-,
hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 851478-32-5 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-[(3-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 851478-36-9 CAPLUS

CN 1H-3-Benzazepine, 7-[(3-fluorophenyl)methoxy]-2,3,4,5-tetrahydro-1-methyl-(CA INDEX NAME)

RN 851478-38-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(2-phenoxyethoxy)- (CA INDEX NAME)

RN 851478-39-2 CAPLUS

CN 1H-3-Benzazepin-7-amine, N-[(4-fluorophenyl)methyl]-2,3,4,5-tetrahydro-5-methyl- (CA INDEX NAME)

RN 851478-40-5 CAPLUS

CN 1H-3-Benzazepin-7-amine, N-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-5-methyl- (CA INDEX NAME)

RN 851478-41-6 CAPLUS

CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-phenyl- (CA INDEX NAME)

RN 851478-42-7 CAPLUS

CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-(phenylmethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} Me & O \\ \parallel \\ C-NH-CH_2-Ph \end{array}$$

RN 851478-43-8 CAPLUS

CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-(2-phenylethyl)- (CA INDEX NAME)

RN 851478-44-9 CAPLUS

CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-(3-phenylpropyl)- (CA INDEX NAME)

RN 851478-45-0 CAPLUS

CN 1H-3-Benzazepine-7-carboxamide, N-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-5-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{O} \\ \text{C-NH-CH}_2 \end{array} \stackrel{\text{Ph}}{\longrightarrow}$$

RN 851478-46-1 CAPLUS

CN 1H-3-Benzazepin-7-amine, N-[2-(3,4-dimethoxyphenyl)ethyl]-2,3,4,5-tetrahydro-5-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{HN} \end{array}$$

RN 851478-47-2 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)- (CA INDEX NAME)

RN 851478-48-3 CAPLUS

CN 1H-3-Benzazepin-7-amine, N-(2,3-dihydro-1H-inden-1-yl)-2,3,4,5-tetrahydro-5-methyl- (CA INDEX NAME)

RN 851478-49-4 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-7-(phenylmethyl)-(CA INDEX NAME)

RN 851478-50-7 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(phenylmethyl)-(CA INDEX NAME)

RN 851478-51-8 CAPLUS

CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-1-methyl-6-(phenylmethyl)- (CA INDEX NAME)

RN 851478-52-9 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-[(3-methoxyphenyl)methyl]-1-methyl-(CA INDEX NAME)

$$\operatorname{CH}_2$$
 OMe

RN 851478-53-0 CAPLUS

CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)- (CA INDEX NAME)

RN 851478-54-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(2-phenylethyl)- (CA INDEX NAME)

RN 851478-55-2 CAPLUS

CN 1H-3-Benzazepine, 8-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-(CA INDEX NAME)

RN 851478-56-3 CAPLUS

CN 1H-3-Benzazepine, 8-[(3-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-(CA INDEX NAME)

$$\operatorname{CH}_2$$

RN 851478-57-4 CAPLUS

CN 1H-3-Benzazepine, 8-[(4-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-(CA INDEX NAME)

$$^{\text{Me}}$$
 $^{\text{CH}_2}$

RN 851478-58-5 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

RN 851478-59-6 CAPLUS

CN 1H-3-Benzazepine, 8-[(2,6-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 851478-60-9 CAPLUS

CN 1H-3-Benzazepine, 8-[(2,4-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \\ \text{HN} \end{array}$$

RN 851478-61-0 CAPLUS

CN 1H-3-Benzazepine, 8-[(2,5-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 851478-62-1 CAPLUS

CN 1H-3-Benzazepine, 8-[(3,5-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \\ \text{HN} \end{array}$$

RN 851478-63-2 CAPLUS

CN 1H-3-Benzazepine, 8-[(3,4-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

$$Me$$
 CH_2
 F
 F

RN 851478-64-3 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-[(2-methoxyphenyl)methyl]-1-methyl-(CA INDEX NAME)

RN 851478-65-4 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-[(4-methoxyphenyl)methyl]-1-methyl-(CA INDEX NAME)

RN 851478-66-5 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(1-phenylethyl)- (CA INDEX NAME)

RN 851478-67-6 CAPLUS

CN Methanone, phenyl(2,3,4,5-tetrahydro-8-methoxy-5-methyl-1H-3-benzazepin-7-yl)- (CA INDEX NAME)

RN851478-68-7 CAPLUS

CN Methanone, phenyl(2,3,4,5-tetrahydro-5-methyl-1H-3-benzazepin-7-yl)- (CA INDEX NAME)

RN 851478-69-8 CAPLUS

1H-3-Benzazepine, 7-fluoro-2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)-CN (CA INDEX NAME)

851478-70-1 CAPLUS RN

1H-3-Benzazepin-7-ol, 8-[(3-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-CN methyl- (CA INDEX NAME)

IT616202-78-9P, (S)-N-(Trifluoroethanoyl)-8-chloro-1-methyl-

2,3,4,5-tetrahydro-1H-3-benzazepine

RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic

preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of benzazepine derivs. and methods of prophylaxis or treatment of 5-HT2C receptor associated diseases like obesity)

RN 616202-78-9 CAPLUS

Ethanone, 1-[(1S)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-CN yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

IT 616202-12-1, N-(Trifluoroacetyl)-8-bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851477-82-2, (R)-N-tert-Butoxycarbonyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-851477-86-6, benzo[d]azepine N-(Trifluoroacetyl)-8-benzyl-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1Hbenzo[d]azepine 851478-23-4, N-Boc-8-benzoyl-1-methyl-1,2,4,5-tetrahydrobenzo[d]azepine 851478-26-7, (S)-N-(Trifluoroethanoyl)-7-methoxy-1-methyl-2,3,4,5tetrahydro-1H-benzo[d]azepine 851478-30-3, (S)-7-Benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-34-7, (S)-N-(Trifluoroethanoy1)-8-bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of benzazepine derivs. and methods of prophylaxis or treatment of 5-HT2C receptor associated diseases like obesity) 616202-12-1 CAPLUS RN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-CN 3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

$$F_3C-C \longrightarrow N \longrightarrow OMe$$

RN 851477-82-2 CAPLUS
CN 3H-3-Benzazepine-3-carboxylic acid.

N 3H-3-Benzazepine-3-carboxylic acid, 8-chloro-1,2,4,5-tetrahydro-1-methyl-, 1,1-dimethylethyl ester, (1R)- (CA INDEX NAME)

RN 851477-86-6 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-(phenylmethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

$$F_3C-C \xrightarrow{N} OMe$$

RN 851478-23-4 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 8-benzoyl-1,2,4,5-tetrahydro-1-methyl-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 851478-26-7 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

$$F_3C$$
 N OMe

851478-30-3 CAPLUS RN

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-, (1S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 851478-34-7 CAPLUS

Ethanone, 1-[(1S)-8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-CN benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

IT616202-81-4P, (S)-8-Chloro-1-methyl-2, 3, 4, 5-tetrahydro-1H-3-

851477-54-8P, benzazepine

(S)-N-tert-Butoxycarbonyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-851477-61-7P, benzo[d]azepine

(S)-8-(Furan-2-yl)-1-methyl-1,2,4,5-tetrahydrobenzo[d]azepine-3-carboxylic acid tert-butyl ester 851477-62-8P,

(S)-5-Methyl-1,2,4,5-tetrahydrobenzo[d]azepine-3,7-dicarboxylic acid 3-tert-butyl ester 851477-68-4P,

(S) -8-(N-Methoxy-N-methylcarbamoyl) -1-methyl-1, 2, 4, 5-

tetrahydrobenzo[d]azepine-3-carboxylic acid tert-butyl ester

851477-69-5P, (S)-8-Benzoyl-1-methyl-1,2,4,5-

tetrahydrobenzo[d]azepine-3-carboxylic acid tert-butyl ester

851477-71-9P, (S)-N-(Trifluoroethanoyl)-8-chloro-7-iodo-1-methyl-

```
2,3,4,5-tetrahydro-1H-benzo[d]azepine
                                           851477-72-0P,
     (S)-N-(Trifluoroethanoyl)-7-benzyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-
    benzo[d]azepine
                      851477-77-5P,
    7-Benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride
    851477-83-3P, N-(Trifluoroacetyl)-8-benzyl-7-methoxy-1-methyl-
    2, 3, 4, 5-tetrahydro-1H-benzo[d]azepine hydrochloride
     , N-(Trifluoroacetyl)-8-benzyl-1-methyl-2,3,4,5-tetrahydro-1H-
    benzo[d]azepin-7-ol
                         851477-88-8P,
     (S)-N-tert-Butoxycarbonyl-1-methyl-8-styryl-1,2,4,5-tetrahydro-3H-
                      851478-20-1P,
    benzo[d]azepine
    N-(Trifluoroacetyl)-7-methoxy-1-methyl-8-(1-phenylvinyl)-2,3,4,5-
    tetrahydro-1H-benzo[d]azepine 851478-21-2P,
    [N-(Trifluoroacetyl)-8-methoxy-5-methyl-2,3,4,5-tetrahydro-1H-
    benzo[d]azepin-7-yl]phenylmethanone 851478-25-6P,
     851478-27-8P, (S)-N-(Trifluoroethanoyl)-7-benzyloxy-1-
    methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-28-9P,
     (S)-7-Benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
    hydrochloride
                  851478-33-6P,
     (S)-N-(Trifluoroethanoy1)-8-(3-fluorobenzy1)-7-methoxy-1-methy1-2,3,4,5-
    tetrahydro-1H-benzo[d]azepine 851478-35-8P,
     (S)-N-(Trifluoroethanoyl)-8-(3-fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-
    1H-benzo[d]azepin-7-ol
    RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of benzazepine derivs. and methods of prophylaxis or treatment
       of 5-HT2C receptor associated diseases like obesity)
    616202-81-4 CAPLUS
RN
CN
    1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX
    NAME)
```

Absolute stereochemistry.

RN 851477-54-8 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 8-chloro-1,2,4,5-tetrahydro-1-methyl-, 1,1-dimethylethyl ester, (1S)- (CA INDEX NAME)

RN 851477-61-7 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 8-(2-furanyl)-1,2,4,5-tetrahydro-1-methyl-, 1,1-dimethylethyl ester, (1S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 851477-62-8 CAPLUS

CN 3H-3-Benzazepine-3,7-dicarboxylic acid, 1,2,4,5-tetrahydro-5-methyl-, 3-(1,1-dimethylethyl) ester, (5S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851477-68-4 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-8-[(methoxymethylamino)carbonyl]-1-methyl-, 1,1-dimethylethyl ester, (1S)- (CA INDEX NAME)

RN 851477-69-5 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 8-benzoyl-1,2,4,5-tetrahydro-1-methyl-, 1,1-dimethylethyl ester, (1S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 851477-71-9 CAPLUS

CN Ethanone, 1-[(1S)-8-chloro-1,2,4,5-tetrahydro-7-iodo-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

$$F_3$$
C N $C1$

RN 851477-72-0 CAPLUS

CN Ethanone, 1-[(1S)-8-chloro-1,2,4,5-tetrahydro-1-methyl-7-(phenylmethyl)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

RN 851477-77-5 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 851477-83-3 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-(phenylmethyl)-3H-3-benzazepin-3-yl]-, hydrochloride (1:1) (CA INDEX NAME)

$$F_3C-C$$

$$0$$
Me
$$CH_2-Ph$$

$$0$$
OMe

● HCl

RN 851477-85-5 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-hydroxy-1-methyl-8-(phenylmethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

RN 851477-88-8 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-1-methyl-8-(2-phenylethenyl)-, 1,1-dimethylethyl ester, (1S)- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 851478-20-1 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-(1-phenylethenyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

RN 851478-21-2 CAPLUS

CN Ethanone, 1-(8-benzoyl-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

$$F_3C-C \longrightarrow N \longrightarrow O$$

$$C-Ph$$

$$OMe$$

RN 851478-25-6 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 851478-27-8 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 851478-28-9 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

HC1

RN 851478-33-6 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-8-[(3-fluorophenyl)methyl]-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

$$F_{3}C$$
OMe

 $F_{3}C$
 O
 O

RN 851478-35-8 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-8-[(3-fluorophenyl)methyl]-1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 26 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:409482 CAPLUS

DOCUMENT NUMBER: 142:463621

TITLE: Benzazepine derivatives, their preparation and use for

prophylaxis or treatment of 5HT2C receptor-associated

diseases

INVENTOR(S): Smith, Brian; Schultz, Jeffrey; Gilson, Charles, III;

Estrada, Scott

PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

OTHER SOURCE(S):

GΙ

PATENT INFORMATION:

PATENT NO.					D	DATE		APPLICATION NO.					DATE			
WO 20	WO 2005042490				A1 20050512			WO 2004-US34914					20041021			
7	W: A	E, A	5, AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
	С	N, C	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	$\mathrm{GD}_{m{\prime}}$
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	$_{ m L}$	K, LI	R, LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,
	N	O, N2	Z, OM,	PG,	PH,	PL,	PT_{\prime}	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
	\mathbf{T}	J, Th	1, TN,	TR,	TT,	$\mathrm{TZ}_{m{r}}$	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
I	RW: B	W, G	ł, GM,	ΚE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	$\mathrm{TZ}_{m{\prime}}$	UG,	ZM,	ZW,	AM,
	A	Z, B	z, KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
	Е	E, ES	5, FI,	FR,	GB,	GR,	HU,	ΙE,	ΙT,	LU,	MC,	$\mathrm{NL}_{m{\prime}}$	PL_{\prime}	PT,	RO,	SE,
	S	I, SH	(, TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	$\mathrm{ML}_{m{r}}$	MR,	ΝE,
	S	N, TI), TG													
US 20	A1	A1 20071129 US 20					006-573196 2006042					420				
PRIORITY A	US 2003-513894P						P 2	20031022								
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CASREACT 142:463621; MARPAT 142:463621

$$R^{3}$$
 $N-R^{1}$
 R^{4}

C1
$$\frac{1}{H}$$
 $\frac{H}{N}$ $\frac{Me}{R^5}$ $N-H$ III

AB The invention relates to substituted 2,3,4,5-tetrahydro-3-benzazepine derivs. I, that are modulators of the 5HT2C receptor. In compds. I, R1 is H or C1-8 alkyl; R2 is C1-8 alkyl; R3 is H, aryl, arylalkyloxy, arylalkylamino, arylamino, or heteroaryl, where the N is optionally substituted and where the aryl is optionally substituted with up to two substituents selected from C1-8 alkyl, halo, perhaloalkyl, and alkoxy; R4 is H, arylalkyloxy, alkoxy, or aryloxy; provided that at least one of R3 and R4 is other than H, etc. The invention also relates to the preparation of I, pharmaceutical compns. containing I and a pharmaceutically acceptable carrier, as well as to the use of the compns. for the treatment of disorders involving 5HT2C receptors. N-Protection of 4-chlorophenethylamine as the trifluoroacetamide followed by iodination and N-allylation resulted in the formation of II. II underwent intramol. Heck reaction followed by hydrogenation, separation of enantiomers, and deprotection to give III [R5 = C1; (S)-enantiomer shown], which, upon N-Boc-protection, substitution with benzylamine, and deprotection, produced III (R5 = NHCH2Ph) as the hydrochloride. Several compds. were tested for 5HT2C agonist activity, with 12 of those having IC50 values between 1 nM and 1.3 μM and several others below 10 μM . Some compds. of the invention have 3-10 times greater 5HT2C agonist activity than 5HT2B agonist activity.

TΤ 851478-28-9P, (S)-7-Benzyloxy-1-methyl-2,3,4,5-tetrahydro-1Hbenzo[d]azepine hydrochloride 851544-34-8P 851544-39-3P 851544-41-7P 851544-44-0P 851544-47-3P 851544-49-5P 851544-51-9P 851544-53-1P, 8-Benzyloxy-1-methyl-2,3,4,5-tetrahydro-1Hbenzo[d]azepine hydrochloride 851544-60-0P, (S)-1-Methyl-8-phenyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-64-4P, 1-Methyl-7-(1-phenylethoxy)-2,3,4,5-tetrahydro-1Hbenzo[d]azepine hydrochloride 851544-66-6P, 1-Methyl-7-phenethyloxy-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851544-67-7P, hydrochloride 1-Methyl-7-(3-phenylpropoxy)-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-68-8P, 8-Benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine

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851544-73-5P, (R)-1-Methyl-8-phenyl-2,3,4,5-tetrahydro-1H-
benzo[d]azepine hydrochloride
                               851544-75-7P,
7-Methoxy-1-methyl-8-phenyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
hydrochloride
                851544-77-9P,
(S)-8-(2-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
hydrochloride
                851544-78-0P,
(S)-8-(3-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
hydrochloride
                851544-79-1P,
(S)-8-(4-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
                851544-80-4P,
hydrochloride
(S)-8-(2,6-Difluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
hydrochloride
                851544-81-5P,
(R)-8-(3-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
                851544-82-6P,
hydrochloride
(R) -8 - (4 - Fluorophenyl) -1 - methyl -2, 3, 4, 5 - tetrahydro -1 H-benzo [d] azepine
                851544-83-7P,
hydrochloride
(R) -8-(2,3-Difluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
hydrochloride
                851544-84-8P,
(S)-8-(2,5-Difluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
                851544-85-9P,
hydrochloride
(R) -1-Methyl-8-pyridin-3-yl-2,3,4,5-tetrahydro-1H-benzo[d] azepine
hydrochloride
               851544-86-0P,
1-Methyl-8-pyridin-2-yl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
hydrochloride
                851544-89-3P,
7-Benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
851544-90-6P, 1-Methyl-7-(1-phenylethoxy)-2,3,4,5-tetrahydro-1H-
                  851544-91-7P,
benzo[d]azepine
1-Methyl-7-phenethyloxy-2,3,4,5-tetrahydro-1H-benzo[d]azepine
851544-92-8P, 1-Methyl-7-(3-phenylpropoxy)-2,3,4,5-tetrahydro-1H-
                 851544-93-9P,
benzo[d]azepine
Benzyl[5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]amine
851544-94-0P, [5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-
yl] (1'-phenylethyl) amine
                          851544-95-1P,
N-Benzyl-N-methyl[5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]amine
851544-96-2P, N-[5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-
                   851544-97-3P,
yl]phenethylamine
N-[5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl] (3-
phenylpropyl)amine
                     851544-98-4P,
N-[5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]phenylamine
851544-99-5P, 1-Methyl-8-phenyl-2,3,4,5-tetrahydro-1H-
benzo[d]azepine
                  851545-00-1P,
7-Methoxy-1-methyl-8-phenyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
851545-01-2P, 8-(2-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-
                  851545-02-3P,
benzo[d]azepine
8-(3-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
851545-03-4P, 8-(4-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-
                 851545-04-5P,
benzo[d]azepine
8-(2,6-Difluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
851545-05-6P, 8-(2,3-Difluorophenyl)-1-methyl-2,3,4,5-tetrahydro-
1H-benzo[d]azepine
                     851545-06-7P,
8-(2,5-Difluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
851545-07-8P, 1-Methyl-8-pyridin-3-yl-2,3,4,5-tetrahydro-1H-
                 851545-08-9P,
benzo[d]azepine
1-Methyl-8-pyridin-2-yl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
```

(drug candidate; preparation of benzazepine derivs. and use as 5HT2C receptor agonists)

RN 851478-28-9 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 851544-34-8 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-(phenylmethyl)-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 851544-39-3 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-N,5-dimethyl-N-(phenylmethyl)-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

● HCl

RN 851544-41-7 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-[(1R)-1-phenylethyl]-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 851544-44-0 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-[(1S)-1-phenylethyl]-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 851544-47-3 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-phenyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

● HCl

RN 851544-49-5 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-(2-phenylethyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 851544-51-9 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-(3-phenylpropyl)-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 851544-53-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethoxy)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 851544-60-0 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-phenyl-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 851544-64-4 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(1-phenylethoxy)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 851544-66-6 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(2-phenylethoxy)-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c} \text{O-CH}_2\text{-CH}_2\text{-Ph} \\ \\ \text{Me} \end{array}$$

● HCl

RN 851544-67-7 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(3-phenylpropoxy)-, hydrochloride (1:1) (CA INDEX NAME)

HCl

RN 851544-68-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethoxy)- (CA INDEX NAME)

$$\begin{array}{c|c} & & \\ & \text{HN} & \\ & & \text{O-CH}_2\text{-Ph} \end{array}$$

RN 851544-73-5 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-phenyl-, hydrochloride (1:1), (1R)- (CA INDEX NAME)

● HCl

RN 851544-75-7 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-phenyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 851544-77-9 CAPLUS

CN 1H-3-Benzazepine, 8-(2-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 851544-78-0 CAPLUS

CN 1H-3-Benzazepine, 8-(3-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

● HCl

RN 851544-79-1 CAPLUS

CN 1H-3-Benzazepine, 8-(4-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 851544-80-4 CAPLUS

CN 1H-3-Benzazepine, 8-(2,6-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 851544-81-5 CAPLUS

CN 1H-3-Benzazepine, 8-(3-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 851544-82-6 CAPLUS

CN 1H-3-Benzazepine, 8-(4-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 851544-83-7 CAPLUS

CN 1H-3-Benzazepine, 8-(2,3-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1R)- (CA INDEX NAME)

● HCl

RN 851544-84-8 CAPLUS
CN 1H-3-Benzazepine, 8-(2,5-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl-,
hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

•x HCl

•x HCl

RN 851544-89-3 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)- (CA INDEX NAME)

RN 851544-90-6 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(1-phenylethoxy)- (CA INDEX NAME)

RN 851544-91-7 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(2-phenylethoxy)- (CA INDEX NAME)

$$\begin{array}{c|c} \text{O-CH}_2\text{-CH}_2\text{-Ph} \\ \\ \text{Me} \end{array}$$

RN 851544-92-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(3-phenylpropoxy)- (CA INDEX NAME)

RN 851544-93-9 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-(phenylmethyl)-(CA INDEX NAME)

RN 851544-94-0 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-(1-phenylethyl)-(CA INDEX NAME)

RN 851544-95-1 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-N,5-dimethyl-N-(phenylmethyl)-(CA INDEX NAME)

RN 851544-96-2 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-(2-phenylethyl)-(CA INDEX NAME)

RN 851544-97-3 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-(3-phenylpropyl)-(CA INDEX NAME)

RN 851544-98-4 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-phenyl- (CA INDEX NAME)

RN 851544-99-5 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-phenyl- (CA INDEX NAME)

RN 851545-00-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-phenyl- (CA INDEX NAME)

RN 851545-01-2 CAPLUS

CN 1H-3-Benzazepine, 8-(2-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 851545-02-3 CAPLUS

CN 1H-3-Benzazepine, 8-(3-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 851545-03-4 CAPLUS

CN 1H-3-Benzazepine, 8-(4-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 851545-04-5 CAPLUS

CN 1H-3-Benzazepine, 8-(2,6-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 851545-05-6 CAPLUS

CN 1H-3-Benzazepine, 8-(2,3-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 851545-06-7 CAPLUS

CN 1H-3-Benzazepine, 8-(2,5-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 851545-07-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(3-pyridinyl)- (CA INDEX NAME)

RN 851545-08-9 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(2-pyridinyl)- (CA INDEX NAME)

IT 616202-51-8P, N-Trifluoroacetyl-8-chloro-1-methyl-2,3,4,5-616202-78-9P, tetrahydro-1H-3-benzazepine (S)-N-Trifluoroacetyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3benzazepine 616202-81-4P, (S)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 851477-54-8P, (S)-N-tert-Butoxycarbonyl-8-chloro-1-methyl-2,3,4,5tetrahydro-1H-benzo[d]azepine 851478-25-6P, (S)-N-Trifluoroacetyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol 851478-27-8P, (S)-N-Trifluoroacetyl-7-benzyloxy-1-methyl-2,3,4,5tetrahydro-1H-benzo[d]azepine 851544-37-1P 851544-62-2P 851544-71-3P, N-tert-Butoxycarbonyl-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol 851544-72-4P, N-tert-Butoxycarbonyl-8-benzyloxy-1-methyl-2,3,4,5tetrahydro-1H-benzo[d]azepine 851544-74-6P, (R)-N-tert-Butoxycarbonyl-1-methyl-8-phenyl-1,2,4,5tetrahydrobenzo[d]azepine 851544-76-8P, N-Trifluoroacetyl-7-Methoxy-1-methyl-8-phenyl-2,3,4,5-tetrahydro-1Hbenzo[d]azepine 851544-87-1P, Trifluoromethanesulfonic acid N-tert-butoxycarbonyl-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-8-yl ester 851544-88-2P, N-tert-Butoxycarbonyl-1-methyl-8-pyridin-2y1-2,3,4,5-tetrahydro-1H-benzo[d]azepine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
 (intermediate; preparation of benzazepine derivs. and use as 5HT2C receptor agonists)
RN 616202-51-8 CAPLUS
CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)2,2,2-trifluoro- (CA INDEX NAME)

RN 616202-78-9 CAPLUS

CN Ethanone, 1-[(1S)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

$$F_3C$$
 N
 $C1$

RN 616202-81-4 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851477-54-8 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 8-chloro-1,2,4,5-tetrahydro-1-methyl-, 1,1-dimethylethyl ester, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851478-25-6 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 851478-27-8 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

$$F_3C$$
 N
 O
 Ph

RN 851544-37-1 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-1-methyl-8-[(phenylmethyl)amino]-, 1,1-dimethylethyl ester, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851544-62-2 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-1-methyl-8-phenyl-, 1,1-dimethylethyl ester, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851544-71-3 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-8-hydroxy-1-methyl-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 851544-72-4 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-1-methyl-8-(phenylmethoxy)-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 851544-74-6 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-1-methyl-8-phenyl-, 1,1-dimethylethyl ester, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851544-76-8 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-phenyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)

RN 851544-87-1 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-1-methyl-7-[[(trifluoromethyl)sulfonyl]oxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 851544-88-2 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-1-methyl-8-(2-pyridinyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

IT 616202-92-7 1019636-37-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of benzazepine derivs. and use as 5HT2C receptor agonists)

RN 616202-92-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1019636-37-3 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 8-chloro-1,2,4,5-tetrahydro-1-methyl-, 1,1-dimethylethyl ester (CA INDEX NAME)

IT 616202-12-1, N-Trifluoroacetyl-8-bromo-7-methoxy-1-methyl-

2,3,4,5-tetrahydro-1H-benzo[d]azepine 851477-82-2,

(R)-N-tert-Butoxycarbonyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-26-7,

(S)-N-Trifluoroacetyl-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine

RL: RCT (Reactant); RACT (Reactant or reagent)

(starting material; preparation of benzazepine derivs. and use as 5HT2C receptor agonists)

RN 616202-12-1 CAPLUS

CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

$$F_3C-C \longrightarrow N \longrightarrow OMe$$

RN 851477-82-2 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 8-chloro-1,2,4,5-tetrahydro-1-methyl-, 1,1-dimethylethyl ester, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851478-26-7 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 27 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:182631 CAPLUS

DOCUMENT NUMBER: 142:280072

TITLE: Processes for preparing 3-benzazepines

INVENTOR(S): Burbaum, Beverly W.; Gilson, Charles A., III; Aytes, Shelley; Estrada, Scott A.; Sengupta, Dipanjan; Smith,

Brian; Rey, Max; Weigl, Ulrich

PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 143 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.				KIN				APPLICATION NO.										
WO	2005	0191	79		A2		2005	0303	1							0040	616	
WO	2005				A3		2005			DD	D.C	D.D.	DET	D.77	DE	0.7	CIT	
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CASREACT 142:280072; MARPAT 142:280072

GΙ

OTHER SOURCE(S):

$$R^4$$
 R^3
 R^2
 $N-R^1$
 R^6
 R^8 ? R^8 ? R^7 ? R^7 ? R^7 ? R^8

AB A process for the preparation of 3-benzazepines I [R1 = H; R2 = alkyl, alkoxy, carboxy, etc.; R3-6 = H, halo, alk(en/yn)yl, etc.; R7a-7b = H, halo, alk(en/yn)yl, etc.; R8a-8b = H, halo, alk(en/yn)yl, etc.] is disclosed. For instance, 2-(4-chlorophenyl)ethylamine is acylated with 2-chloropropionyl chloride (CH3CN, Et3N). The resulting amide is cyclized in the presence of a metal hydride, e.g., AlCl3 to the corresponding benzazepin-2-one. Reduction of this amide is accomplished with BH3 in THF to give II. Alternative, but similar procedures are provided and there are examples of resolution of the final product by formation of the L-tartaric acid salts. I are useful as serotonin (5-HT) receptor agonists [no data] for the treatment of, e.g., central nervous system disorders such as obesity.

IT 616201-80-0P, 8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(processes for preparing 3-benzazepines as 5-HT receptor agonists) 616201-80-0 CAPLUS

RN 616201-80-0 CAPLUS CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

IT 846589-98-8P, (R)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hydrochloride

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(processes for preparing 3-benzazepines as 5-HT receptor agonists)

RN 846589-98-8 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

IT 847063-12-1P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (processes for preparing 3-benzazepines as 5-HT receptor agonists)

RN 847063-12-1 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)-, (2R,3R)-2,3-dihydroxybutanedioate (2:1) (CA INDEX NAME)

CM 1

CRN 616202-92-7 CMF C11 H14 C1 N

Absolute stereochemistry.

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

IT 616202-92-7, (R)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3benzazepine
RL: RCT (Reactant); RACT (Reactant or reagent)

(processes for preparing 3-benzazepines as 5-HT receptor agonists)

RN 616202-92-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(3 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 28 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:29313 CAPLUS

DOCUMENT NUMBER: 142:134482

TITLE: A preparation of benzazepine derivatives, useful as

5HT2C receptor modulators

INVENTOR(S): Smith, Brian; Gilson, Charles, III; Schultz, Jeffrey;

Smith, Jeffrey

PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 71 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA'	PATENT NO.			KIN	IND DATE			APPLICATION NO.					DATE					
WO	2005	0030	 96		A1	_	 2005	0113	Ţ	MO 2	004-	 US19	 670		2	0040	 616	
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		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KΡ,	KR,	ΚZ,	LC,	
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	2004		88		A1									20040616				
	2529.				A1			0113			004-					0040		
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	2004		70		A			0711			004-					0040		
	1805				A			0719			004-					0040		
	2007				T			0628			006-					0040		
	1012		Τ		A			1001			008-					0040		
EP	2189		DE	D.C.	A1			0526			009-			CD.		0040		
	R:							DE,										MIZ
MSZ	2005			LU,	MC,			PT,						AL,		0051		MV
	2005				A			0228			005- 005-					0051		
	2005				A			1227			005-					0051		
	2005				A			0313			005-					0051		
	2006				A			0622			006-					0060		
	2007				A1			0621			006-					0060		
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											006-				A3 2			
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 142:134482

AB The invention relates to a preparation of benzazepine derivs. of formula I [wherein: R1 is H or alkyl; R2 is alkyl, CH2O-alkyl, haloalkyl, or CH2OH; R3, R4, R5, and R6 are independently selected from H, alkyl, amino, CN, or nitro, etc.], useful as 5HT2C receptor modulators. For instance, benzazepine derivative II (5HT2C, IP accumulation assay, IC50 = 11.7 nM) was prepared via heterocyclization of 2-chloropropionamide derivative III and subsequent reduction

IT 824430-72-0P 824430-76-4P 824430-80-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of benzazepine derivs. useful as 5HT2C receptor modulators)

RN 824430-72-0 CAPLUS

CN 1H-3-Benzazepine, 8,9-dichloro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

RN824430-76-4 CAPLUS

1H-3-Benzazepine, 8,9-dichloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA CN INDEX NAME)

Absolute stereochemistry.

RN 824430-80-0 CAPLUS

CN 1H-3-Benzazepine, 9-bromo-8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1S)-(CA INDEX NAME)

Absolute stereochemistry.

824430-66-2P 824430-68-4P 824430-69-5P IT824430-71-9P 824430-74-2P 824430-82-2P 824430-83-3P 824430-84-4P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of benzazepine derivs. useful as 5HT2C receptor modulators)

RN824430-66-2 CAPLUS

1H-3-Benzazepine, 6,8-dichloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX CN NAME)

RN 824430-68-4 CAPLUS

CN 1H-3-Benzazepine, 6-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 824430-69-5 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-9-fluoro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 824430-71-9 CAPLUS

CN 1H-3-Benzazepine, 8,9-dichloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 824430-74-2 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-9-fluoro-2,3,4,5-tetrahydro-1-methyl-, (1S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 824430-82-2 CAPLUS

CN 1H-3-Benzazepine, 8,9-dichloro-2,3,4,5-tetrahydro-1,3-dimethyl-, (1R)-(CA INDEX NAME)

Absolute stereochemistry.

RN 824430-83-3 CAPLUS

CN 1H-3-Benzazepine, 8,9-dichloro-2,3,4,5-tetrahydro-1,3-dimethyl-, (1S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 824430-84-4 CAPLUS

CN 1H-3-Benzazepine, 9-bromo-8-chloro-2,3,4,5-tetrahydro-1,3-dimethyl-, (1S)-(CA INDEX NAME)

Absolute stereochemistry.

IT 616202-92-7P

RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of benzazepine derivs. useful as 5HT2C receptor modulators)

RN 616202-92-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

IT 616202-51-8 616202-81-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of benzazepine derivs. useful as 5HT2C receptor modulators)

RN 616202-51-8 CAPLUS

CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

RN 616202-81-4 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

TT 616201-80-0P 616202-78-9P 616202-89-2P 824430-70-8P 824430-73-1P 824430-75-3P

824430-78-6P 824430-81-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzazepine derivs. useful as 5HT2C receptor modulators)

RN 616201-80-0 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 616202-78-9 CAPLUS

CN Ethanone, 1-[(1S)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

RN 616202-89-2 CAPLUS

CN Ethanone, 1-[(1R)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

RN 824430-70-8 CAPLUS

CN Ethanone, 1-(8-chloro-9-fluoro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

$$F_3C-C$$
N
O

Me
F
C1

RN 824430-73-1 CAPLUS

CN Ethanone, 1-[(1S)-8,9-dichloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

RN 824430-75-3 CAPLUS

CN Ethanone, 1-[(1S)-8-chloro-9-fluoro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

$$F_3C \longrightarrow N$$

RN 824430-78-6 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 616202-92-7 CMF C11 H14 C1 N

Absolute stereochemistry.

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

RN 824430-81-1 CAPLUS

CN Ethanone, 1-[(1S)-9-bromo-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD

(7 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 29 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:170817 CAPLUS

DOCUMENT NUMBER: 140:417232

TITLE: Synthesis and structure/NMDA receptor affinity

relationships of 1-substituted tetrahydro-3-benzazepines

AUTHOR(S): Krull, Olaf; Wunsch, Bernhard
CORPORATE SOURCE: Institut fur Pharmazeutische und Medizinische Chemie,

Westfalische Wilhelms-Universitat Munster, Munster,

D-48149, Germany

SOURCE: Bioorganic & Medicinal Chemistry (2004), 12(6),

1439-1451

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:417232

AB A novel synthesis of 1-substituted tetrahydro-1H-3-benzazepines is described. Starting with (2-bromophenyl)acetaldehyde acetal, the nitrostyrene was prepared in three steps allowing the addition of various nucleophiles to yield the nitroacetals. The one-pot Zn/HCl reductive cyclization of the nitroacetals provided the 3-benzazepines, which were investigated for their affinity to the phencyclidine binding site of the NMDA receptor. A one-atomic spacer between the 3-benzazepine system and the Ph residue in position 1 seems to be favorable for high NMDA receptor binding. In this series the benzazepine substituted with the conformationally restricted and H-bond accepting acetanilide substituent in position 1 displays the highest NMDA receptor affinity (Ki=89 nM).

IT 691899-63-5P 691899-93-1P 691899-98-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and structure/NMDA receptor affinity relationships of 1-substituted tetrahydro-3-benzazepines)

RN 691899-63-5 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-(phenylmethyl) - (CA INDEX NAME)

RN 691899-93-1 CAPLUS

CN 1H-3-Benzazepine, 1-butyl-2,3,4,5-tetrahydro- (CA INDEX NAME)

RN 691899-98-6 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-(1-methylpropyl)- (CA INDEX NAME)

HN CH-Et

OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD

(9 CITINGS)

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 30 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:836783 CAPLUS

DOCUMENT NUMBER: 139:337897

TITLE: Preparation of benzazepines as 5HT2C receptor

modulators

INVENTOR(S): Smith, Jeffrey; Smith, Brian PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 96 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.				KIN	KIND DATE			APPLICATION NO.						DATE		
	20030863 20030863			A2 A3	_	2003 2004			WO 2	2003-	 US11	076		2	0030	411
	W: AE,	AG,	ΑL,	ΑM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
	CO,	CR,	CU,													
	GM,	HR,								KG,						
	LS,	LT,								MW,						
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	TZ,									ZM,						
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	KG,									CH,						
	FI,									NL,						
		BJ,	CF,		CI,								ΝE,			
	20030225	057		A1		2003			US 2	2003-	4109	91		2	0030	410
	6953787			В2		2005			a		0401			0		
	2481723			A1		2003			CA 2	2003-	2481	123		2	0030	$4 \perp \perp$
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	20032218	366		AI		2003			AU Z	2003-	ZZIB	66		2	0030	411
	20032218 1411881	300		B2 A2		2008 2004			ED 2	2003-	7102	22		2	0030	/11
	1411881			B1		2004			LP Z	.003-	1100	23		2	0030	411
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	IE,		LT,							TR,						гт,
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	294781	,,,		T		2005						20030411				
	1646493					2005	0727	CN 2003-808272				20030411				
CN 1	10048696	67		A C		2009	0513									
EP 1	1557409			A1		2005	0727		EP 2	2005-	2866			2	0030	411
	R: AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
	IE,	SI,	LT,	LV,												
JP 2	20055275	579		\mathbf{T}		2005	0915		JP 2	2003-	5833	32		2	0030	411
JP 4	4155926			В2		2008	0924									
PT 1	1411881			\mathbf{E}		2005	0930		PT 2	2003-	7183	23		2	0030	411
	2242165			Т3		2005				2003-					0030	
	252105			В		2006				2003-					0030	
	535381			Α		2006				2003-					0030	
	2317982			C2		2008				2004-					0030	
	1014866			A		2009				2009-					0030	
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US 2	20050020	15/3		A1		2005	0127		US 2	2004-	9179	19		2	0040	813

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IN	2004KN01415	A	20060512	IN	2004-KN1415		20040923
IN	215528	A1	20080229				
MX	2004009965	A	20050930	MX	2004-9965		20041011
KR	812925	B1	20080311	KR	2004-716198		20041011
ZA	2004008506	A	20060628	ZA	2004-8506		20041020
NO	2004004928	A	20041213	NO	2004-4928		20041111
NO	323528	B1	20070604				
JP	2006143751	A	20060608	JΡ	2006-58747		20060303
$_{ m JP}$	4191741	B2	20081203				
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IN	2007KN02412	A	20070824	IN	2007-KN2412		20070629
KR	2008009340	A	20080128	KR	2008-700551		20080109
KR	908166	B1	20090716				
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KR	2009007651	A	20090119	KR	2009-700060		20090102
KR	908167	B1	20090716				
PRIORITY	APPLN. INFO.:			US	2002-372058P	Ρ	20020412
				US	2002-405495P	P	20020823
				US	2002-434607P	Ρ	20021218
				US	2003-410991	Α	20030410
				CN	2003-808272	A3	20030411
				EP	2003-718323	A3	20030411
				JΡ	2003-583332	A3	20030411
				WO	2003-US11076	W	20030411
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				IN	2004-KN1415	A3	20040923
				KR	2004-716198	A3	20041011
				JΡ	2006-58747	A3	20060303
				KR	2008-700551	A3	20080109

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 139:337897
GI

I

AB The present invention relates to novel compds. I [R1 = H, C1-8-alkyl; R2 = C1-8-alkyl, CH2O-(C1-8-alkyl), C(:0)O-(C1-8-alkyl), C(:0)NH(C1-8-alkyl), OH, CH2OH; R2a = H; R2R2a = CH2CH2; R3, R4 = H, halo, perhaloalkyl, CN, OR5, SR5, NHR5, N(R5)2, OH, (un)substituted aryl (up to 2 substituents selected from C1-8-alkyl, halo, perhaloalkyl, alkoxy), (un)substituted heteroaryl (up to 2 substituents selected from C1-8-alkyl, halo); R3C:CR4 = 5- or 6-membered O-containing heterocycle; R5 = C1-8-alkyl, C1-8-alkyl, aryl, heteroaryl, arylalkyl, heteroa; rylalkyl, perhaloalkyl, allyl; R6 = H, C1-8-alkyl; provided that: (A) if R1 = R3 = H and R2 = Me, then R4 ≠

thiazole; (B) if R6 \neq H, then R3, R4 \neq H; (C) if R1 = R2 = Me and R4 = H, then R3 \neq NHR5, N(R5)2; (D) if R1 = R2 = Me and R4 = H, R3 \neq imidazole; (E) if R1 = Me and R3 = OH, then R2 \neq cyclopentyl, CH2-cyclohexyl, cyclopropylmethyl, cyclohexyl], or their pharmaceutically acceptable salts, solvates or hydrates, which act as 5HT2C receptor modulators. Thus, I (R1 = R2a = R6 = H, R2 = Me, R3 = Br, R4 = OMe) was prepared from 3-MeOC6H4CH2CH2NH2, via N-trifluoroacetylation, regioselective iodination, N-allylation, palladium-catalyzed cyclization, hydrogenation, regioselective bromination and deacetylation. These compds. are useful in pharmaceutical compns. whose use includes the treatment of obesity. Intracellular IP3 accumulation assay (IC50 = 4.2 nM) and inhibition of food intake in food-deprived rats were used to test the bioactivity of I (R1 = R2a = R6 = H, R2 = Me, R3 = Br, R4 = OMe).

IT 616202-65-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and N-deprotection of; preparation of benzazepines as $5\mathrm{HT2C}$ receptor

modulators)

RN 616202-65-4 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-(methoxymethyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

IT 616202-78-9P 616202-89-2P

RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and N-methylation or deacetylation of; preparation of benzazepines

as 5HT2C receptor modulators)

RN 616202-78-9 CAPLUS

CN Ethanone, 1-[(1S)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

RN 616202-89-2 CAPLUS

CN Ethanone, 1-[(1R)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

IT 616202-23-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and O-allylation of; preparation of benzazepines as $5\mathrm{HT2C}$ receptor

modulators)

RN 616202-23-4 CAPLUS

CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

IT 616202-64-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and O-methylation of; preparation of benzazepines as $5\mathrm{HT2C}$ receptor

modulators)

RN 616202-64-3 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid,

8-bromo-1,2,4,5-tetrahydro-1-(hydroxymethyl)-7-methoxy-, 1,1-dimethylethyl ester (CA INDEX NAME)

IT 616202-52-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and O-triflation of; preparation of benzazepines as $5\mathrm{HT2C}$ receptor

modulators)

RN 616202-52-9 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)

$$\mathbb{F}_{3}\mathbf{C}-\underbrace{\mathbf{C}}_{0}\underbrace{\mathbf{N}}_{0}\underbrace{\mathbf{N}}_{0}$$

IT 616202-53-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and coupling reaction of; preparation of benzazepines as 5HT2C receptor modulators)

RN 616202-53-0 CAPLUS

CN Methanesulfonic acid, 1,1,1-trifluoro-, 2,3,4,5-tetrahydro-1-methyl-3-(2,2,2-trifluoroacetyl)-1H-3-benzazepin-7-yl ester (CA INDEX NAME)

IT 616202-80-3P 616202-91-6P 616202-94-9P

RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deacetylation of; preparation of benzazepines as $5\mathrm{HT}2\mathrm{C}$ receptor

modulators)

RN 616202-80-3 CAPLUS

CN Ethanone, 1-[(1S)-8-chloro-1-ethyl-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

RN 616202-91-6 CAPLUS

CN Ethanone, 1-[(1R)-8-chloro-1-ethyl-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

$$F_3C$$
 N
 $C1$

RN 616202-94-9 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1R)-1,2,4,5-tetrahydro-1-methyl-8-(trifluoromethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

ΙT	616202 - 16-5P	616202-17 - 6P	616202-18 - 7P
	616202-19-8P	616202-22-3P	616202-24-5P
	616202-25-6P	616202-26-7P	616202-30-3P
	616202-34-7P	616202-35-8P	616202-41-6P
	616202-55-2P	616202-56-3P	616202-57-4P
	616202-61-0P	616202-62-1P	616202-63-2P
	616202-68-7P		

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deacetylation of; preparation of benzazepines as $5\mathrm{HT2C}$ receptor

modulators)

RN 616202-16-5 CAPLUS

CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-methyl-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

$$F_3C-C$$

$$Me$$

$$O-CH_2-CH=CH_2$$

$$Br$$

RN 616202-17-6 CAPLUS

CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

$$F_3C-C$$
 N
 Br
 Me

RN 616202-18-7 CAPLUS

CN Ethanone, 1-(8-bromo-7-ethoxy-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

RN 616202-19-8 CAPLUS

CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-methyl-7-(1-methylethoxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

RN 616202-22-3 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-(5,6,8,9-tetrahydro-3,5-dimethyl-7H-furo[2,3-h][3]benzazepin-7-yl)- (CA INDEX NAME)

$$F_3C-C \qquad N \qquad Me \qquad Me$$

RN 616202-24-5 CAPLUS

CN Ethanone, 1-[8-chloro-1,2,4,5-tetrahydro-1-methyl-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

$$F3C-C \longrightarrow N \longrightarrow C1$$

$$Me$$

RN 616202-25-6 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-(2-thienyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

RN 616202-26-7 CAPLUS

CN 1H-3-Benzazepine-7-carbonitrile, 2,3,4,5-tetrahydro-8-methoxy-5-methyl-3-(2,2,2-trifluoroacetyl)- (CA INDEX NAME)

RN 616202-30-3 CAPLUS

CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-(hydroxymethyl)-7-methoxy-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

RN 616202-34-7 CAPLUS

CN Ethanone, 1-(8-bromo-1-ethyl-1,2,4,5-tetrahydro-7-methoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \text{Et} \\ \hline & & & \\ F_3C-C & N \\ \hline & & \\ O & \\ \end{array}$$

RN 616202-35-8 CAPLUS

CN Ethanone, 1-(8-chloro-1-ethyl-1,2,4,5-tetrahydro-7-methoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

RN 616202-41-6 CAPLUS

CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-(1-methylethyl)-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

$$F_3C-C$$
 N
 $O-CH_2-CH=CH_2$

RN 616202-55-2 CAPLUS

CN Ethanone, 1-[7-(4-bromo-1-methyl-1H-pyrazol-5-yl)-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

RN 616202-56-3 CAPLUS

CN Ethanone, 1-[7-(3-chlorophenyl)-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

RN 616202-57-4 CAPLUS

CN Ethanone, 1-[7-(2-chlorophenyl)-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

RN 616202-61-0 CAPLUS

CN Ethanone, 1-[8-(2-chlorophenyl)-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

RN 616202-62-1 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-

(trifluoromethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

RN 616202-63-2 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-(1,1,2,2,2-pentafluoroethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

$$F_3C-C \longrightarrow N \longrightarrow CF_2-CF_3$$
OMe

RN 616202-68-7 CAPLUS

CN Ethanone, 1-(8-chloro-7-fluoro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

$$F_3C-C-N$$

$$Me$$

$$C1$$

IT 616202-15-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deacetylation or -O-alkylation of; preparation of benzazepines

as 5HT2C receptor modulators)

RN 616202-15-4 CAPLUS

CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

IT 616202-40-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deacetylation or O-alkylation of; preparation of benzazepines as

5HT2C receptor modulators)

RN 616202-40-5 CAPLUS

CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-7-hydroxy-1-(1-methylethyl)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

IT 616202-20-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deacetylation or O-allylation of; preparation of benzazepines as

5HT2C receptor modulators)

RN 616202-20-1 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7-hydroxy-8-iodo-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)

IT 616202-13-2P 616202-39-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deacetylation or O-demethylation of; preparation of benzazepines

as 5HT2C receptor modulators)

RN 616202-13-2 CAPLUS

CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

RN 616202-39-2 CAPLUS

CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-(1-methylethyl)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

$$i-Pr$$
 Br
 OMe

IT 616202-21-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deacetylation or palladium-catalyzed cyclization of; preparation

of benzazepines as 5HT2C receptor modulators)

RN 616202-21-2 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-8-iodo-1-methyl-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

$$F_3C - C \longrightarrow N \longrightarrow I$$

$$Me$$

$$O - CH_2 - CH \longrightarrow CH_2$$

IT 616202-54-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deacetylation or regionelective bromination of; preparation of

benzazepines as 5HT2C receptor modulators)

RN 616202-54-1 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-1-methyl-7-(1-methyl-1H-pyrazol-5-yl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \\ & \\ \text{N} \\ \\ \text{Me} \\ \\ \end{array}$$

IT 616202-14-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deacetylation, O-demethylation or coupling reactions of; preparation of benzazepines as 5HT2C receptor modulators)

RN 616202-14-3 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)

IT 616202-12-1P, N-(Trifluoroacetyl)-8-bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deacetylation, O-demethylation, cyanation or coupling reaction of; preparation of benzazepines as 5HT2C receptor modulators) 616202-12-1 CAPLUS

CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

$$\mathbb{F}_3\mathsf{C}-\overset{\mathsf{Me}}{\underset{\mathsf{O}}{\bigvee}} \overset{\mathsf{Br}}{\underset{\mathsf{OMe}}{\bigvee}}$$

IT 616202-60-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and palladium-catalyzed coupling reactions of; preparation of benzazepines as 5HT2C receptor modulators)

RN 616202-60-9 CAPLUS

RN

CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

$$F_3C-C$$
 N
 Br
 O

IT 616202-29-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and regioselective bromination of; preparation of benzazepines

as

5HT2C receptor modulators)

RN 616202-29-0 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-1-(hydroxymethyl)-7-methoxy-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

IT 616202-33-6P 616202-38-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and regioselective halogenation of; preparation of benzazepines as

5HT2C receptor modulators)

RN 616202-33-6 CAPLUS

CN Ethanone, 1-(1-ethyl-1,2,4,5-tetrahydro-7-methoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

RN 616202-38-1 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-(1-methylethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

$$F_3C-C$$
 N
 O
OMe

IT 616202-11-0P, N-(Trifluoroacetyl)-7-methoxy-1-methyl-2,3,4,5-

tetrahydro-1H-3-benzazepine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and regionelective halogenation or O-demethylation of; preparation $% \left(1\right) =\left(1\right) \left(1\right) +\left(1\right) \left(1\right) \left(1\right) +\left(1\right) \left(1\right)$

of benzazepines as 5HT2C receptor modulators)

RN 616202-11-0 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)

TT 616202-75-6P 616202-76-7P 616202-77-8P 616202-79-0P 616202-86-9P 616202-87-0P

616202-88-1P 616202-90-5P

RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzazepines as 5HT2C receptor modulators)

RN 616202-75-6 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 616202-76-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 616202-77-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-, (1S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 616202-79-0 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-1-ethyl-2,3,4,5-tetrahydro-7-methoxy-, (1S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 616202-86-9 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1R)-(CA INDEX NAME)

Absolute stereochemistry.

RN 616202-87-0 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1R)-(CA INDEX NAME)

Absolute stereochemistry.

RN 616202-88-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-, (1R)-(CA INDEX NAME)

Absolute stereochemistry.

RN 616202-90-5 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-1-ethyl-2,3,4,5-tetrahydro-7-methoxy-, (1R)-(CA INDEX NAME)

Absolute stereochemistry.

IT	616201-58-2P	616201-59-3P	616201-60-6P
	616201-61-7P	616201-62-8P	616201-63-9P
	616201 - 64-0P	616201-65 - 1P	616201-66 - 2P
	616201-67-3P	616201-68-4P	616201-69-5P
	616201-70-8P	616201-74-2P	616201-75-3P
	616201-76-4P	616201-77-5P	616201-81-1P
	616201-82-2P	616201-83-3P	616201-84-4P
	616201-85-5P	616201-86-6P	616201-87-7P
	616201-88-8P	616201-89-9P	616201-90-2P
	616201-91-3P	616201-92-4P	616201-93-5P
	616201-94-6P	616201-95-7P	616201-96-8P
	616201-97-9P	616201-98-0P	616201-99-1P
	616202-00-7P	616202-01-8P	616202-02-9P

616202-04-1P 616202-05-2P 616202-03-0P 616202-07-4P 616202-06-3P 616202-08-5P 616202-69-8P 616202-70-1P 616202-71-2P 616202-72-3P 616202-73-4P 616202-74-5P 616202-81-4P 616202-82-5P 616202-84-7P 616202-85-8P 616202-92-7P 616202-93-8P 616202-95-0P 616202-96-1P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of benzazepines as 5HT2C receptor modulators) 616201-58-2 CAPLUS RN 1H-3-Benzazepin-7-ol, 8-bromo-2,3,4,5-tetrahydro-1-methyl- (CA INDEX CN NAME)

RN 616201-59-3 CAPLUS CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl-7-(2-propen-1-yloxy)-(CA INDEX NAME)

$$\begin{array}{c} \text{O-CH}_2\text{-CH} \longrightarrow \text{CH}_2 \\ \text{Br} \end{array}$$

RN 616201-60-6 CAPLUS CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-(CA INDEX NAME)

RN 616201-61-7 CAPLUS CN 1H-3-Benzazepine, 8-bromo-7-ethoxy-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 616201-62-8 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl-7-(1-methylethoxy)-(CA INDEX NAME)

RN 616201-63-9 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1,3-dimethyl- (CA INDEX NAME)

RN 616201-64-0 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl-3-propyl-(CA INDEX NAME)

RN 616201-65-1 CAPLUS

CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-8-iodo-1-methyl- (CA INDEX NAME)

RN 616201-66-2 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-1-methyl-7-(2-propen-1-yloxy)-(CA INDEX NAME)

$$\begin{array}{c|c} \text{O-CH}_2\text{-CH} & \text{CH}_2 \\ \text{HN} & \text{I} \\ \text{Me} \end{array}$$

RN 616201-67-3 CAPLUS

CN 5H-Furo[2,3-h][3]benzazepine, 6,7,8,9-tetrahydro-3,5-dimethyl- (CA INDEX NAME)

RN 616201-68-4 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-7-(2-propen-1-yloxy)- (CA INDEX NAME)

$$\begin{array}{c|c} \text{O-CH}_2\text{-CH} & \text{CH}_2 \\ \\ \text{Me} & \end{array}$$

RN 616201-69-5 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(2-thienyl)-(CA INDEX NAME)

RN 616201-70-8 CAPLUS

CN 1H-3-Benzazepine-7-carbonitrile, 2,3,4,5-tetrahydro-8-methoxy-5-methyl-(CA INDEX NAME)

RN 616201-74-2 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro-7-methoxy- (CA INDEX NAME)

RN 616201-75-3 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-(1-methylethyl)-(CA INDEX NAME)

RN 616201-76-4 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-bromo-2,3,4,5-tetrahydro-1-(1-methylethyl)- (CA INDEX NAME)

RN 616201-77-5 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-(1-methylethyl)-7-(2-propen-1-yloxy)- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{O-CH}_2\text{-CH} \\ & \text{CH}_2\end{array}$$

RN 616201-81-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(1-methyl-1H-pyrazol-5-yl)-(CA INDEX NAME)

RN 616201-82-2 CAPLUS

CN 1H-3-Benzazepine, 7-(4-bromo-1-methyl-1H-pyrazol-5-yl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 616201-83-3 CAPLUS

CN 1H-3-Benzazepine, 7-(3-chlorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 616201-84-4 CAPLUS

CN 1H-3-Benzazepine, 7-(2-chlorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 616201-85-5 CAPLUS

CN 1H-3-Benzazepin-1-ol, 8-chloro-2,3,4,5-tetrahydro- (CA INDEX NAME)

RN 616201-86-6 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 616201-87-7 CAPLUS

CN 1H-3-Benzazepine, 8-fluoro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & \\ & &$$

RN 616201-88-8 CAPLUS

CN 1H-3-Benzazepine, 7-fluoro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 616201-89-9 CAPLUS

CN 1H-3-Benzazepine, 7-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 616201-90-2 CAPLUS

CN 1H-3-Benzazepine, 7,8-dichloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 616201-91-3 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1,3-dimethyl- (CA INDEX NAME)

RN 616201-92-4 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(trifluoromethoxy)- (CA INDEX NAME)

RN 616201-93-5 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-1-methyl-7-(trifluoromethoxy)-(CA INDEX NAME)

RN 616201-94-6 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-3-propyl-(CA INDEX NAME)

RN 616201-95-7 CAPLUS

CN 1H-3-Benzazepine, 1-ethyl-2,3,4,5-tetrahydro-8-iodo-7-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} \text{OMe} \\ \\ \text{HN} \\ \\ \text{Et} \end{array}$$

RN 616201-96-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-(3-methoxyphenyl)-1-methyl- (CA INDEX NAME)

RN 616201-97-9 CAPLUS

CN 1H-3-Benzazepine, 7-(2,6-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 616201-98-0 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-7-(2-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl-(CA INDEX NAME)

RN 616201-99-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 616202-00-7 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 616202-01-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 616202-02-9 CAPLUS

CN 1H-3-Benzazepine, 8-(2-chlorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 616202-03-0 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-

(trifluoromethyl) - (CA INDEX NAME)

RN 616202-04-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(1,1,2,2,2-pentafluoroethyl)- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \\ \text{HN} \\ \\ \text{OMe} \end{array}$$

RN 616202-05-2 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(trifluoromethyl)- (CA INDEX NAME)

RN 616202-06-3 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-(methoxymethyl)-(CA INDEX NAME)

RN 616202-07-4 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro- (CA INDEX NAME)

RN 616202-08-5 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-7-fluoro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 616202-69-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-1-methyl- (CA INDEX NAME)

RN 616202-70-1 CAPLUS

CN 1H-3-Benzazepine, 1-ethyl-2,3,4,5-tetrahydro-8-(trifluoromethyl)- (CA INDEX NAME)

RN 616202-71-2 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-1-ethyl-2,3,4,5-tetrahydro- (CA INDEX NAME)

$$\begin{array}{c|c} & & \\ & &$$

RN 616202-72-3 CAPLUS

CN 1H-3-Benzazepine, 1-ethyl-2,3,4,5-tetrahydro-8-iodo- (CA INDEX NAME)

RN 616202-73-4 CAPLUS

CN 1H-3-Benzazepine, 7,8-dichloro-1-ethyl-2,3,4,5-tetrahydro- (CA INDEX NAME)

RN 616202-74-5 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-1-ethyl-7-fluoro-2,3,4,5-tetrahydro- (CA INDEX NAME)

RN 616202-81-4 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 616202-82-5 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1,3-dimethyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 616202-84-7 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(trifluoromethyl)-, (1S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 616202-85-8 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 616202-92-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX

NAME)

Absolute stereochemistry.

RN 616202-93-8 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1,3-dimethyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 616202-95-0 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(trifluoromethyl)-, (1R)-(CA INDEX NAME)

Absolute stereochemistry.

RN 616202-96-1 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

IT 616202-83-6P

RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of benzazepines as 5HT2C receptor modulators)

RN 616202-83-6 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-1-methyl-8-(trifluoromethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

IT 616201-80-0P, (±)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation, N-alkylation and $5\mathrm{HT2C}$ receptor modulating activity of; preparation

of benzazepines as 5HT2C receptor modulators)

RN 616201-80-0 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

IT 616201-72-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation, N-protection and 5HT2C receptor modulating activity of; preparation

of benzazepines as 5HT2C receptor modulators)

RN 616201-72-0 CAPLUS

CN 1H-3-Benzazepine-1-methanol, 8-bromo-2,3,4,5-tetrahydro-7-methoxy- (CA INDEX NAME)

$$_{
m HN}$$
 $_{
m Br}$ $_{
m CH2-OH}$

IT 616201-56-0P, (±)-8-Chloro-7-methoxy-1-methyl-2,3,4,5tetrahydro-1H-3-benzazepine 616201-73-1P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation, enantiomer resolution and 5HT2C receptor modulating activity of;

preparation of benzazepines as 5HT2C receptor modulators)

RN 616201-56-0 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-7-methoxy-1-methyl- (CA INDEX NAME)

RN 616201-73-1 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-1-ethyl-2,3,4,5-tetrahydro-7-methoxy- (CA INDEX NAME)

IT 616202-67-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, enantiomer resolution and deacetylation of; preparation of benzazepines as 5HT2C receptor modulators)

RN 616202-67-6 CAPLUS

CN Ethanone, 1-(8-chloro-1-ethyl-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

IT 616202-51-8P

RN

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, enantiomer resolution and deacetylation or regionselective fluorination of; preparation of benzazepines as $5\mathrm{HT2C}$ receptor modulators) 616202-51-8 CAPLUS

CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

TT 616201-55-9P, (±)-8-Bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-57-1P,

(±)-8-Iodo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation, reductive N-alkylation, enantiomer resolution and 5HT2C receptor

modulating activity of; preparation of benzazepines as 5HT2C receptor modulators)

RN 616201-55-9 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl- (CA INDEX NAME)

RN 616201-57-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{OMe} \\ & \text{HN} \\ & & \text{I} \end{array}$$

IT 616202-59-6

RL: RCT (Reactant); RACT (Reactant or reagent) (regioselective iodination and N-deacetylation of; preparation of benzazepines as 5HT2C receptor modulators)

RN 616202-59-6 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-1-methyl-7-(trifluoromethoxy)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS

RECORD (20 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 31 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:247316 CAPLUS

DOCUMENT NUMBER: 134:280722

TITLE: Preparation of fused cycloheptane and fused

azacycloheptane compounds for treating integrin

receptors mediated diseases

INVENTOR(S): Tasker, Andrew; Rutledge, Melvin C.; Liu, Longbin;

Han, Nianhe; Comingues, Celia; Grenazer-Laber, Ellen;

Chen, Zhidon; Moreno, Ofir A.

PATENT ASSIGNEE(S): Amgen, Inc., USA

SOURCE: PCT Int. Appl., 262 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.				KIND DATE		APPLICATION NO.						DATE					
	WO 2001023357 WO 2001023357					WO 2000-US26537						20000927					
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	вв,	BG,	BR.	BY,	BZ.	CA,	CH,	CN.
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		CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	$\overline{\text{TG}}$	•	·	•	
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CA	CA 2386799			C 20070417													
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EP	EP 1216230		A2	A2 20020626 EP 2000-966950					20000927								
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 134:280722

GΙ

AB The title compds. EB(Alk)pQ(Alk)qAG [p, q = 0-1; Alk = alkyl; A, Q = a bond, S, O, etc.; B = a bond, O, aryl, etc.; E = H, alkyl, aryl, etc.; G = benzo[e]azepin-5-yl, benzo[d]imidazolo[1,2-a]azepin-5-yl, etc.] that are effective in the prophylaxis and treatment of diseases, such as integrin receptors mediated diseases, in particular, diseases or conditions mediated by integrin receptors, such as $\alpha\nu\beta3$, $\alpha\nu\beta5$, $\alpha\nu\beta6$ and the like, were prepared E.g., a multi-step synthesis of I which showed IC50 of \leq 30 μM in the HUVEC proliferation assay and/or HUVEC adhesion assay was given.

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IT
    1139881-02-9
                      1139882-49-7
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                      1139882-52-2
                                        1139882-53-3
    1139882-80-6
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                      1139884-82-4
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                                        1139886-71-7
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    1139886-73-9
                      1139886-74-0
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RL: PRPH (Prophetic)

(Preparation of fused cycloheptane and fused azacycloheptane compounds for treating integrin receptors mediated diseases)

RN 1139881-02-9 CAPLUS

CN 1H-3-Benzazepine-1-butanoic acid, 3-[3,3-dimethyl-5-(2-oxazolylamino)pentyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)

$$\begin{array}{c} \text{HO}_2\text{C}-\text{(CH}_2\text{)}_3\\ \text{Me}\\ \text{NH}-\text{CH}_2-\text{CH}_2-\text{C}+\text{CH}_2-\text{CH}_2-\text{N}\\ \text{Me} \end{array}$$

RN 1139882-49-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[2-(1H-benzimidazol-2-ylamino)ethyl]amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 1139882-50-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-(2-benzoxazolylamino)butyl]amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)

RN 1139882-51-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[2-(2-benzoxazolylamino)ethyl]amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 1139882-52-2 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[4-(3H-imidazo[4,5-b]pyridin-2-ylamino)butyl]amino]carbonyl]- (CA INDEX NAME)

HO₂C-CH₂

$$\begin{array}{c}
H \\
N \\
N
\end{array}$$
 $\begin{array}{c}
N \\
N \\
N
\end{array}$
 $\begin{array}{c}
N \\
N \\
N
\end{array}$

RN 1139882-53-3 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[3-(2-piperidinyl)propyl]amino]carbonyl]- (CA INDEX NAME)

RN 1139882-80-6 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-methyl-3-[[[4-(2-pyridinylamino)butyl]amino]carbonyl]- (CA INDEX NAME)

RN 1139882-85-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-methyl-3-[[[3-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)propyl]amino]carbonyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ N & & & \\ N & & \\ N & & \\ & & \\ N & & \\$$

RN 1139882-90-8 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-methyl-3-[[[4-[(4-methyl-2-pyridinyl)amino]butyl]amino]carbonyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\$$

RN 1139882-99-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[2-(1H-benzimidazol-2-ylamino)ethyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-(phenylmethoxy)- (CA INDEX NAME)

RN 1139883-01-4 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-(1H-benzimidazol-2-ylamino)butyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-(phenylmethoxy)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 1139883-03-6 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[2-(2-benzoxazolylamino)ethyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-(phenylmethoxy)- (CA INDEX NAME)

$$\begin{array}{c|c} O & O & CH_2-Ph \\ \hline O & NH-CH_2-CH_2-NH-C-N \\ \hline & CH_2-CO_2H \\ \end{array}$$

RN 1139883-05-8 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[3-(3H-imidazo[4,5-b]pyridin-2-yl)propyl]amino]carbonyl]-7-(phenylmethoxy)- (CA INDEX NAME)

$$\begin{array}{c|c} H & \text{O} & \text{CH}_2\text{-}\text{Ph} \\ \hline \\ N & \text{CH}_2\text{-}\text{CO}_2\text{H} \\ \end{array}$$

RN 1139883-06-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[(2-amino-5-benzoxazolyl)methyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-(phenylmethoxy)-(CA INDEX NAME)

$$\begin{array}{c|c} Ph-CH_2-O & & O \\ N-C-NH-CH_2 & & N \\ \hline \\ CH_2-CO_2H & & O \end{array}$$

RN 1139883-07-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[3-(3H-imidazo[4,5-b]pyridin-5-yl)propyl]amino]carbonyl]-7-(phenylmethoxy)- (CA INDEX NAME)

Ph-CH₂-O
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RN 1139883-08-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[4-(1H-imidazol-2-ylamino)butyl]amino]carbonyl]-7-(phenylmethoxy)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ &$$

RN 1139883-09-2 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-(phenylmethoxy)-3[[[4-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]butyl]amino]carbonyl]- (CA
INDEX NAME)

RN 1139883-11-6 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-[(4,5-dihydro-1H-imidazol-2-yl)amino]butyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-(phenylmethoxy)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 1139883-12-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[[2-(3H-imidazo[4,5-b]pyridin-2-ylamino)ethyl]amino]carbonyl]- (CA INDEX NAME)

$$\begin{array}{c|c} HO_2C-CH_2 \\ \hline \\ N \\ \hline \\ N \\ \end{array}$$

$$NH-CH_2-CH_2-NH-C-N$$

RN 1139883-13-8 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[[4-

(oxazolo[4,5-b]pyridin-2-ylamino)butyl]amino]carbonyl]- (CA INDEX NAME)

RN 1139883-14-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[[2-(oxazolo[4,5-b]pyridin-2-ylamino)ethyl]amino]carbonyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 1139883-17-2 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[[4-(2-oxazolylamino)butyl]amino]carbonyl]- (CA INDEX NAME)

RN 1139883-52-5 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-(1H-benzimidazol-2-ylamino)butyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 1139883-53-6 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[2-(1H-benzimidazol-2-

ylamino)ethyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 1139883-54-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[2-(2-benzoxazolylamino)ethyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 1139883-55-8 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[4-(3H-imidazo[4,5-b]pyridin-2-ylamino)butyl]amino]carbonyl]-7-methyl- (CA INDEX NAME)

HO₂C-CH₂
O
$$N$$
NH- (CH₂) 4-NH-C-N
 M
 M

RN 1139883-56-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-methyl-3-[[[4-(oxazolo[4,5-b]pyridin-2-ylamino)butyl]amino]carbonyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{HO}_2\text{C}-\text{CH}_2\\ \\ \text{O}\\ \\ \text{N} \end{array}$$

RN 1139883-57-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[3-(1H-benzimidazol-2-yl)propyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{HO}_2\text{C}-\text{CH}_2\\ & \text{O}\\ & \text{N}\\ & \text{N} \end{array}$$

RN 1139883-58-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[(5-benzoxazolylmethyl)amino]carbonyl]-2,3,4,5-tetrahydro-7-methyl- (CA INDEX NAME)

RN 1139883-59-2 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[(2-amino-1H-benzimidazol-6-yl)methyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-methyl- (CA INDEX NAME)

Me
$$N - C - NH - CH_2 - NH$$
 $N - C - NH - CH_2 - NH$
 $N - C - NH - CH_2 - NH$
 $N - C - NH - CH_2 - NH$
 $N - C - NH - CH_2 - NH$

RN 1139883-60-5 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[3-(3H-imidazo[4,5-b]pyridin-5-yl)propyl]amino]carbonyl]-7-methyl- (CA INDEX NAME)

RN 1139883-61-6 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-[(4,5-dihydro-1H-imidazol-2-

yl)amino]butyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 1139883-62-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-methyl-3-[[(3-oxazolo[5,4-b]pyridin-5-ylpropyl)amino]carbonyl]- (CA INDEX NAME)

RN 1139883-63-8 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-(2-benzoxazolylamino)butyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-(phenylmethoxy)- (CA INDEX NAME)

RN 1139883-64-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[4-(oxazolo[4,5-b]pyridin-2-ylamino)butyl]amino]carbonyl]-7-(phenylmethoxy)- (CA INDEX NAME)

RN 1139883-66-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[2-(oxazolo[4,5-b]pyridin-2-ylamino)ethyl]amino]carbonyl]-7-(phenylmethoxy)- (CA INDEX NAME)

RN 1139883-67-2 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[(2-amino-1H-benzimidazol-6-y1)methyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-(phenylmethoxy)- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Ph-CH}_2-\text{O} & \text{N} & \text{C-NH-CH}_2 \\ \hline & \text{N-C-NH-CH}_2 & \text{N} & \text{NH}_2 \\ \hline & \text{CH}_2-\text{CO}_2\text{H} & \text{N} & \text{N} & \text{N} \end{array}$$

RN 1139883-68-3 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[4-(2-oxazolylamino)butyl]amino]carbonyl]-7-(phenylmethoxy)- (CA INDEX NAME)

RN 1139883-69-4 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[4-[(4-methyl-2-pyridinyl)amino]butyl]amino]carbonyl]-7-(phenylmethoxy)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ &$$

RN 1139883-71-8 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-(1H-benzimidazol-2-ylamino)butyl]amino]carbonyl]-7-chloro-2,3,4,5-tetrahydro- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 1139883-72-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[2-(2-benzoxazolylamino)ethyl]amino]carbonyl]-7-chloro-2,3,4,5-tetrahydro- (CA INDEX NAME)

RN 1139883-73-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[(3-oxazolo[4,5-b]pyridin-2-ylpropyl)amino]carbonyl]- (CA INDEX NAME)

RN 1139884-75-5 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-(1H-benzimidazol-2-ylamino)butyl]amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)

HO₂C-CH₂

$$\begin{array}{c}
H \\
N \\
N
\end{array}$$
NH- (CH₂) 4-NH-C-N

RN 1139884-82-4 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[2-(3H-imidazo[4,5-b]pyridin-2-ylamino)ethyl]amino]carbonyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ H & & & \\ N & & & \\ \end{array}$$

RN 1139884-83-5 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[4-(oxazolo[4,5-b]pyridin-2-ylamino)butyl]amino]carbonyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 1139884-84-6 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[3-(1H-benzimidazol-2-yl)propyl]amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)

RN 1139884-85-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[3-(3H-imidazo[4,5-b]pyridin-2-yl)propyl]amino]carbonyl]- (CA INDEX NAME)

RN 1139884-87-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[(1H-benzimidazol-6-ylmethyl)amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 1139884-88-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[(5-benzoxazolylmethyl)amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)

RN 1139884-89-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[(2-amino-1H-benzimidazol-6-yl)methyl]amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)

$$\begin{array}{c|c} O & N \\ N & C - NH - CH_2 \\ \hline \\ CH_2 - CO_2H \end{array}$$

RN 1139884-90-4 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[(2-amino-5-benzoxazolyl)methyl]amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ &$$

RN 1139884-91-5 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[(3-oxazolo[5,4-b]pyridin-5-ylpropyl)amino]carbonyl]- (CA INDEX NAME)

RN 1139884-92-6 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[5-(2-piperidinyl)pentyl]amino]carbonyl]- (CA INDEX NAME)

RN 1139884-93-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[4-[(4-methyl-2-pyridinyl)amino]butyl]amino]carbonyl]- (CA INDEX NAME)

RN 1139885-64-5 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[2-(3H-imidazo[4,5-b]pyridin-2-ylamino)ethyl]amino]carbonyl]-7-(phenylmethoxy)- (CA INDEX NAME)

$$\begin{array}{c|c} H & O & O - CH_2 - Ph \\ \hline N & NH - CH_2 - CH_2 - NH - C - N \\ \hline & CH_2 - CO_2H \\ \end{array}$$

RN 1139885-65-6 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[3-(5-amino-1H-imidazol-2-yl)propyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-(phenylmethoxy)- (CA INDEX

NAME)

RN 1139885-69-0 CAPLUS

CN 1H-3-Benzazepine-1-propanoic acid, 3-[2-[[(6-amino-4-methyl-2-pyridinyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)

RN 1139885-74-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1139885-97-4 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[4-(1H-imidazol-2-ylamino)butyl]amino]carbonyl]- (CA INDEX NAME)

$$\begin{array}{c|c}
 & \text{HO}_2\text{C}-\text{CH}_2\\
 & \text{O}\\
 & \text{N}\\
 & \text{N}\\
 & \text{H}
\end{array}$$

RN 1139886-04-6 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[4-(3H-imidazo[4,5-b]pyridin-2-ylamino)butyl]amino]carbonyl]-7-(phenylmethoxy)- (CA INDEX NAME)

RN 1139886-21-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[3-(5-amino-2-oxazolyl)propyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-methyl- (CA INDEX NAME)

RN 1139886-22-8 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[3-(5-amino-1H-imidazol-2-yl)propyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-methyl- (CA INDEX NAME)

$$H_2N$$
 H_2N
 H_2N
 H_2N
 H_2N
 H_2N
 H_2N
 H_2N
 H_2N
 H_3
 H_4
 H_5
 H_5
 H_6
 H_6
 H_6

RN 1139886-23-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-methyl-3-[[[4-

[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]butyl]amino]carbonyl]- (CA INDEX NAME)

RN 1139886-24-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[(1H-benzimidazol-6-ylmethyl)amino]carbonyl]-2,3,4,5-tetrahydro-7-(phenylmethoxy)- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Ph-CH}_2\text{-O} & \\ & \\ & \\ \text{CH}_2\text{-CO}_2\text{H} \end{array}$$

RN 1139886-25-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[(1H-benzimidazol-6-ylmethyl)amino]carbonyl]-7-chloro-2,3,4,5-tetrahydro- (CA INDEX NAME)

RN 1139886-26-2 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

H2N HO2C-CH2

O

NH- (CH2)
$$4$$
-NH-C-N

C1

RN 1139886-27-3 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[(3-oxazolo[5,4-b]pyridin-5-ylpropyl)amino]carbonyl]- (CA INDEX NAME)

RN 1139886-28-4 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[[4-(1H-imidazol-2-ylamino)butyl]amino]carbonyl]- (CA INDEX NAME)

$$\begin{array}{c|c}
 & \text{HO}_2\text{C}-\text{CH}_2 \\
 & \text{O} \\
 & \text{N} \\
 & \text{N} \\
 & \text{N} \\
 & \text{H}
\end{array}$$

$$\begin{array}{c|c}
 & \text{N} \\
 & \text{C}
\end{array}$$

RN 1139886-29-5 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[[4-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]butyl]amino]carbonyl]- (CA INDEX NAME)

RN 1139886-30-8 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[[3-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)propyl]amino]carbonyl]- (CA INDEX NAME)

RN 1139886-32-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[[4-[(4-methyl-2-pyridinyl)amino]butyl]amino]carbonyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\$$

RN 1139886-65-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[3-(2-benzoxazolyl)propyl]amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)

RN 1139886-69-3 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[(3-oxazolo[4,5-b]pyridin-5-ylpropyl)amino]carbonyl]-7-(phenylmethoxy)- (CA INDEX NAME)

RN 1139886-70-6 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[3-(2-benzoxazolyl)propyl]amino]carbonyl]-7-chloro-2,3,4,5-tetrahydro- (CA INDEX NAME)

RN 1139886-71-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[[3-(3H-imidazo[4,5-b]pyridin-2-yl)propyl]amino]carbonyl]- (CA INDEX NAME)

RN 1139886-73-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[(2-amino-1H-benzimidazol-6-yl)methyl]amino]carbonyl]-7-chloro-2,3,4,5-tetrahydro- (CA INDEX NAME)

RN 1139886-74-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[(2-amino-5-benzoxazolyl)methyl]amino]carbonyl]-7-chloro-2,3,4,5-tetrahydro-(CA INDEX NAME)

RN 1139886-75-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[[3-(3H-imidazo[4,5-b]pyridin-5-yl)propyl]amino]carbonyl]- (CA INDEX NAME)

RN 1139887-00-5 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[4-(2-oxazolylamino)butyl]amino]carbonyl]- (CA INDEX NAME)

RN 1139887-01-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1139887-03-8 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[4-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]butyl]amino]carbonyl]- (CA INDEX NAME)

RN 1139887-04-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-[(5-amino-1H-imidazol-2-yl)amino]butyl]amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)

RN 1139887-05-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-[(4,5-dihydro-1H-imidazol-2-

yl)amino]butyl]amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)

RN 1139887-25-4 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-methyl-3-[[[2-(oxazolo[4,5-b]pyridin-2-ylamino)ethyl]amino]carbonyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 1139887-28-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[3-(2-benzoxazolyl)propyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \hline \\ & & \\ & & \\ \end{array} \begin{array}{c} O \\ \\ \\ \\ \end{array} \begin{array}{c} O \\ \\ \end{array} \begin{array}{c} O \\ \\ \\ \end{array} \begin{array}{c} O \\ \\ \end{array} \begin{array}{c} O \\ \\ \end{array} \begin{array}{c} O \\ \\ \\ \end{array} \begin{array}{c} O \\ \\ \end{array} \begin{array}{c} O$$

RN 1139887-29-8 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[(2-amino-5-benzoxazolyl)methyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-methyl- (CA INDEX NAME)

Me
$$N - C - NH - CH_2$$
 $N - NH_2$ $CH_2 - CO_2H$

RN 1139887-30-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-methyl-3-[[[4-(2-oxazolylamino)butyl]amino]carbonyl]- (CA INDEX NAME)

RN 1139887-31-2 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[2-(1H-benzimidazol-2-ylamino)ethyl]amino]carbonyl]-7-chloro-2,3,4,5-tetrahydro- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 1139887-33-4 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[(5-benzoxazolylmethyl)amino]carbonyl]-7-chloro-2,3,4,5-tetrahydro- (CA INDEX NAME)

RN 1139887-34-5 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[[5-(2-piperidinyl)pentyl]amino]carbonyl]- (CA INDEX NAME)

RN 1139887-35-6 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-3-[[[4-[(4,5-dihydro-1H-imidazol-

2-yl)amino]butyl]amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 1139887-36-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[[4-(2-pyridinylamino)butyl]amino]carbonyl]- (CA INDEX NAME)

RN 1139887-91-4 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-(2-benzoxazolylamino)butyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-methyl- (CA INDEX NAME)

RN 1139887-92-5 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[(1H-benzimidazol-6-ylmethyl)amino]carbonyl]-2,3,4,5-tetrahydro-7-methyl- (CA INDEX NAME)

Me
$$N - C - NH - CH_2$$
 $N - CH_2 - CO_2H$

RN 1139887-93-6 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-methyl-3-[[[5-(2-piperidinyl)pentyl]amino]carbonyl]- (CA INDEX NAME)

RN 1139887-94-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-methyl-3-[[[3-(2-piperidinyl)propyl]amino]carbonyl]- (CA INDEX NAME)

RN 1139887-96-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[4-(1H-imidazol-2-ylamino)butyl]amino]carbonyl]-7-methyl- (CA INDEX NAME)

$$\begin{array}{c}
\text{HO}_2\text{C}-\text{CH}_2\\
\text{O}\\
\text{II}\\
\text{NH}-\text{(CH}_2)_4-\text{NH}-\text{C}-\text{N}\\
\text{Me}
\end{array}$$

RN 1139887-97-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[3-(1H-benzimidazol-2-yl)propyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-(phenylmethoxy)- (CA INDEX NAME)

$$\begin{array}{c|c} H & O & O & CH_2 - Ph \\ \hline & N & CH_2 - CO_2H \end{array}$$

RN 1139887-98-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[3-(2-benzoxazolyl)propyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-(phenylmethoxy)-(CA INDEX NAME)

RN 1139887-99-2 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[(3-oxazolo[4,5-b]pyridin-2-ylpropyl)amino]carbonyl]-7-(phenylmethoxy)- (CA INDEX NAME)

$$\begin{array}{c|c} O & O & CH_2-Ph \\ \hline O & N & CH_2-CO_2H \\ \end{array}$$

RN 1139888-00-8 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[(6-benzoxazolylmethyl)amino]carbonyl]-2,3,4,5-tetrahydro-7-(phenylmethoxy)- (CA INDEX NAME)

$$\begin{array}{c|c} Ph-CH_2-O & & O \\ N-C-NH-CH_2 & O \\ \hline \\ CH_2-CO_2H & & \end{array}$$

RN 1139888-02-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-(phenylmethoxy)-3-[[[5-(2-piperidinyl)pentyl]amino]carbonyl]- (CA INDEX NAME)

RN 1139888-03-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-(phenylmethoxy)-3-[[[3-(2-piperidinyl)propyl]amino]carbonyl]- (CA INDEX NAME)

RN 1139888-04-2 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[3-(5-amino-2-oxazolyl)propyl]amino]carbonyl]-2,3,4,5-tetrahydro-7-(phenylmethoxy)- (CA INDEX NAME)

RN 1139888-05-3 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-(phenylmethoxy)-3-[[[4-(2-pyridinylamino)butyl]amino]carbonyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 1139888-09-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-(phenylmethoxy)-3[[[3-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)propyl]amino]carbonyl](CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ N & & \\ N & & \\ \end{array}$$

RN 1139888-11-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-(2-benzoxazolylamino)butyl]amino]carbonyl]-7-chloro-2,3,4,5-tetrahydro- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & \\ & & \\ &$$

RN 1139888-12-2 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[[4-(3H-imidazo[4,5-b]pyridin-2-ylamino]butyl]amino]carbonyl]- (CA INDEX NAME)

RN 1139888-13-3 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[3-(1H-benzimidazol-2-yl)propyl]amino]carbonyl]-7-chloro-2,3,4,5-tetrahydro- (CA INDEX NAME)

RN 1139888-15-5 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 7-chloro-2,3,4,5-tetrahydro-3-[[[3-(2-piperidinyl)propyl]amino]carbonyl]- (CA INDEX NAME)

RN 1139888-16-6 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[3-(5-amino-1H-imidazol-2-yl)propyl]amino]carbonyl]-7-chloro-2,3,4,5-tetrahydro- (CA INDEX NAME)

RN 1139888-54-2 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[(3-oxazolo[4,5-b]pyridin-2-ylpropyl)amino]carbonyl]- (CA INDEX NAME)

RN 1139888-75-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[2-(3H-imidazo[4,5-b]pyridin-2-ylamino)ethyl]amino]carbonyl]-7-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} H & \text{N} & \text{NH-CH}_2\text{-CH}_2\text{-NH-C} & \text{N} \\ \hline \\ M & \text{N} & \text{NH-CH}_2\text{-CH}_2\text{-NH-C} & \text{N} \\ \hline \end{array}$$

RN 1139888-76-8 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[3-(3H-imidazo[4,5-b]pyridin-2-yl)propyl]amino]carbonyl]-7-methyl- (CA INDEX NAME)

RN 1139888-79-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-7-methyl-3-[[(3-oxazolo[4,5-b]pyridin-2-ylpropyl)amino]carbonyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 1139888-94-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[2-(oxazolo[4,5-b]pyridin-2-ylamino)ethyl]amino]carbonyl]- (CA INDEX NAME)

RN 1139888-95-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[3-(3H-imidazo[4,5-b]pyridin-5-yl)propyl]amino]carbonyl]- (CA INDEX NAME)

RN 1139888-97-3 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[4-(2-pyridinylamino)butyl]amino]carbonyl]- (CA INDEX NAME)

IT332879-23-9P 332879-25-1P 332879-26-2P 332879-27-3P 332879-29-5P 332879-65-9P 332879-66-0P 332880-12-3P 332880-14-5P 332880-16-7P 332880-21-4P 332880-32-7P 332880-36-1P 332880-51-0P 332880-34-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of fused cycloheptane and fused azacycloheptane compds. for treating integrin receptors mediated diseases)

RN 332879-23-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[2-oxo-2-[[4-(2-pyridinylamino)butyl]amino]ethyl]- (CA INDEX NAME)

RN 332879-25-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[2-oxo-2-[[3-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)propyl]amino]ethyl]- (CA INDEX NAME)

RN 332879-26-2 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[5-(2-pyridinylamino)pentyl]amino]carbonyl]- (CA INDEX NAME)

RN 332879-27-3 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[3-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)propyl]amino]carbonyl]- (CA INDEX NAME)

RN 332879-29-5 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[1-oxo-7-(2-pyridinylamino)heptyl]- (CA INDEX NAME)

RN 332879-65-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[4[[(aminoiminomethyl)amino]methyl]phenyl]methyl]-2,3,4,5-tetrahydro- (CA
INDEX NAME)

$$H_{2}N-C-NH-CH_{2}$$
 $H_{2}N-C-NH-CH_{2}$

RN 332879-66-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4[(aminoiminomethyl)amino]butyl]amino]carbonyl]-2,3,4,5-tetrahydroINDEX NAME)

(CA

RN 332880-12-3 CAPLUS

CN 1H-3-Benzazepine-1-propanoic acid, 2,3,4,5-tetrahydro-3-[[[3-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)propyl]amino]carbonyl]- (CA INDEX NAME)

RN 332880-14-5 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[2-oxo-2-[[5-(2-pyridinylamino)pentyl]amino]ethyl]- (CA INDEX NAME)

RN 332880-16-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[3[[(aminoiminomethyl)amino]methyl]phenyl]methyl]-2,3,4,5-tetrahydro- (CA
INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \text{H}_2\text{N-C-NH-CH}_2 \\ \end{array}$$

RN 332880-21-4 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[2-[[4-(2-pyridinylamino)butyl]amino]acetyl]- (CA INDEX NAME)

RN 332880-32-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[2'-[(2-pyridinylamino)methyl][1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)

RN 332880-34-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[2-(2-pyridinylamino)ethyl]amino]carbonyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ N & & & & \\ \hline & N & & \\ NH-CH_2-CH_2-NH-C & \\ \end{array}$$

RN 332880-36-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[2-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)ethyl]amino]carbonyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 332880-51-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[3-(1,8-naphthyridin-2-yl)propyl]amino]carbonyl]- (CA INDEX NAME)

TT 332879-22-8P 332881-70-6P 332881-73-9P 332882-85-6P 332882-87-8P 332911-01-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of fused cycloheptane and fused azacycloheptane compds. for treating integrin receptors mediated diseases)

RN 332879-22-8 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-, methyl ester (CA INDEX NAME)

RN 332881-70-6 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[2-oxo-2-[[4-(2-pyridinylamino)butyl]amino]ethyl]-, methyl ester (CA INDEX NAME)

RN 332881-73-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[1-oxo-7-(2-pyridinylamino)heptyl]-, methyl ester (CA INDEX NAME)

RN 332882-85-6 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[4-[[[(1,1-dimethylethoxy)carbonyl][[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]amino]methyl]phenyl]methyl]-2,3,4,5-tetrahydro-, methyl ester (CA INDEX NAME)

RN 332882-87-8 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[4-[[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]amino]methyl]phenyl]methyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)

RN 332911-01-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-[[bis[[(1,1-dimethylethoxy)carbonyl]amino]methylene]amino]butyl]amino]carbonyl]-2,3,4,5-tetrahydro-, methyl ester (CA INDEX NAME)

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 32 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:98457 CAPLUS

DOCUMENT NUMBER: 134:147611

TITLE: Preparation of tetrahydrobenzo[d]azepines as

metabotropic glutamate receptor 1 antagonists Adam, Geo; Binggeli, Alfred; Maerki, Hans-Peter; INVENTOR(S):

Mutel, Vincent; Wilhelm, Maurice; Wostl, Wolfgang

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

Eur. Pat. Appl., 85 pp. SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	A2	20010207	EP 2000-116091	20000727
EP 1074549	A3	20020731		
EP 1074549	В1	20031119		
R: AT, BE, C	H, DE, DK	, ES, FR, G	B, GR, IT, LI, LU, N	L, SE, MC, PT,
IE, SI, L	T, LV, FI	, RO		
AT 254614	${f T}$	20031215	AT 2000-116091	20000727
ES 2209728	T T3	20040701	ES 2000-116091	20000727
CA 2314798		20010206	CA 2000-2314798	20000801
US 6218385	В1	20010417	US 2000-630702	20000801
NZ 506096	A	20020828	NZ 2000-506096	20000801
ZA 2000003927	A	20010206	ZA 2000-3927	
AU 2000048979	A	20010208	AU 2000-48979	20000802
AU 774485	B2	20040701		
HR 200000520	A2	20010630	HR 2000-520	20000802
SG 93251	A1	20021217	SG 2000-4344	
IN 2000MA00616	A	20050304	IN 2000-MA616	20000802
NO 2000003966	A	20010207	NO 2000-3966	20000804
CN 1283623	A	20010214	CN 2000-122523	20000804
CN 1146455	C	20040421		
TR 2000002298	A2	20010321	TR 2000-2298	20000804
JP 2001089472	A	20010403	JP 2000-236848	20000804
JP 3260350	В2	20020225		
MX 2000007661	A	20020312	MX 2000-7661	20000804
HU 2000003112	A2	20021128	HU 2000-3112	20000804
HU 2000003112	A3	20030728		
RU 2240317	C2	20041120	RU 2000-120522	
BR 2000003375	A	20010313	BR 2000-3375	20000807
PRIORITY APPLN. INFO.:			EP 1999-115557	
ASSIGNMENT HISTORY FOR				TAM
OTHER SOURCE(S):	MARPAT	134:147611		

GΙ

$$R$$
 N
 CN
 H_2N
 N
 N
 N
 N

AB The title compds. (I) [wherein R1 = H, alkyl, O, halo, OR, cycloalkoxy, (un) substituted cycloalkylalkoxy, cyanoalkoxy, (fluoro) alkoxy, aminoalkoxy, alkenyloxy, phenylalkoxy, heterocyclylalkoxy, sulfonyloxyalkoxy, SR, carboxyalkylthio, NR2, hydroxyalkylamino, or heterocyclylalkylamino; n = 1-6; R = independently H, alkyl, or alkenyl;R2 = NO2 or CN; R3 = H, alkyl, O, S, SR, alkylsulfonyl, cycloalkyl, CONR2, NR2, alkyl, OR, or (un) substituted piperazino, carbamoylalkyl, alkoxyalkyl, fluoroalkyl, trifluoroacetoxyalkyl, carboxyalkyl, phenylthioalkyl, hetercyclylalkoxy, acylamino, alkylamino, phenoxyalkylamino, heterocyclylalkylamino, fluoroalkoxy, etc.; R4 = H, alkyl, alkenyl, NO2, OR, NR2, or (un) substituted fluoroalkoxy, fluoroalkyl, phenylalkyl, alkoxyalkanol, aminoalkyl, carboxyalkyl, alkylsulfonyloxyalkyl, fluoroalkenyl, heterocyclylalkyl, heterocyclylalkylamino, alkoxycarbonylamino, alkoxycarbonylhydrazino, aminofluoroalkenylamino; or R4 and R1 or R3 and R4 form an addnl. ring; R5 and R6 = independently H, alkyl, alkoxy, NH2, HO2, SO2NH2, or halo; or R5 and R6 = OCH2O; R7 and R8 = independently H, alkyl, alkoxy, NH2, NO2, or halo; R9 and R10 = independently H or alkyl; R11 and R12 = independently H, alkyl, OH, alkoxy, alkoxycarbonyloxy, or alkanoyloxy; R13 and R14 = independently H, T, or alkyl; R15 and R16 = independently H, T, alkyl, OH, alkoxy, alkoxycarbonyloxy, or alkanoyloxy; or R15 and R16 = O; X = N or C; Y = N, NH, or CH] were prepared For example, addition of Et 2-cyano-3,3-bis (methylthio) acrylate to 2,3,4,5-tetrahydro-1H-benzo[d]azepine-HCl using TEA and K2CO3 in EtOH gave 2-cyano-3-methylsulfanyl-3-(1,2,4,5-tetrahydrobenzo[d]azepin-3yl)acrylic acid Et ester (64%). The benzazepinylacrylate ester was treated with NH2C(NH)NH2•HNO3 and 1,8-diazabicyclo[5.4.0]undec-7-ene in DMF to give II (R = H). Ethylation of II (R = H) with EtI in DMF in the presence of $\mbox{K2CO3}$ afforded the preferred metabotropic glutamate receptor 1 (mGluR1) antagonist II (R = Et), which gave an IC50 values of

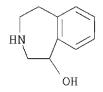
IT

 $0.009~\mu M$ and $0.003~\mu M$, resp. in functional and binding assays for the characterization of mGluR1 antagonist properties. I are useful in the prevention or control of acute and/or chronic neurol. disorders and as radiolabeled mGluR1 receptor antagonists in binding assays (no data). 19301-11-2

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of tetrahydrobenzo[d]azepine mGluR1 antagonists by addition of chloroheterocycles or halobenzenes to tetrahydrobenzo[d]azepines or by cycloaddn. of guanidines to 3-methylthio-3-(tetrahydrobenzo[d]azepin-3-yl)acrylates)

RN 19301-11-2 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD

(8 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 33 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2000:199548 CAPLUS

DOCUMENT NUMBER: 133:58698

TITLE: Enantioselective synthesis of tetrahydroisoquinolines

and benzazepines by silane terminated Heck reactions

with the chiral ligands (+)-TMBTP and (R)-BITIANP

AUTHOR(S): Tietze, Lutz F.; Thede, Kai; Schimpf, Ralph;

Sannicolo, Franco

CORPORATE SOURCE: Institut fur Organische Chemie der Universitat

Gottingen, Gottingen, D-37077, Germany

SOURCE: Chemical Communications (Cambridge) (2000), (7),

583-584

CODEN: CHCOFS; ISSN: 1359-7345 Royal Society of Chemistry

PUBLISHER: Royal Socie
DOCUMENT TYPE: Journal
LANGUAGE: English

OTHER SOURCE(S): CASREACT 133:58698

GΙ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The intramol. Heck reaction of the iodoaryl compound I (R = MeO, n = 1) with a (Z)-allylsilane moiety in the presence of the chiral ligand (+)-TMBTP [(+)-II] leads to the benzazepine III (R = H) with 92% ee, whereas I (R = MeO, n = 1) with an (E)-allylsilane moiety in the presence of the chiral ligand (R)-BITIANP [(R)-IV] gives III (R = SiMe3) with 91% ee; in a similar way, I (R = H, MeO; n = 0) were transformed in the presence of (+)-II into the tetrahydroisoquinolines V (R = H, MeO) with 86 and 84% ee, resp.

 IT
 154138-48-4P
 157105-52-7P
 157183-88-5P

 278171-54-3P
 278171-55-4P
 278171-56-5P

 278171-57-6P
 278171-58-7P
 278171-59-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(asym. synthesis of tetrahydroisoquinolines and -benzazepines by silane-terminated Heck reactions with chiral ligands)

RN 154138-48-4 CAPLUS

CN Ethanone, 1-(1-ethenyl-1,2,4,5-tetrahydro-7,8-dimethoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

$$F_3C-C$$

OMe

OMe

RN 157105-52-7 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-7,8-dimethoxy-1-[(1E)-2-(trimethylsilyl)ethenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 157183-88-5 CAPLUS

CN Ethanone, 1-[(1S)-1-ethenyl-1,2,4,5-tetrahydro-7,8-dimethoxy-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

$$F_3C$$
 OMe OMe

RN 278171-54-3 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1R)-1,2,4,5-tetrahydro-7,8-dimethoxy-1-[(1E)-2-(trimethylsilyl)ethenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

$$\begin{array}{c|c} \text{OMe} \\ \text{F_3C} & \text{N} \\ \text{O} & \text{E} \\ \text{SiMe_3} \end{array}$$

RN 278171-55-4 CAPLUS

CN Ethanone, 1-[(1R)-1-ethenyl-1,2,4,5-tetrahydro-7,8-dimethoxy-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

$$F_3C$$
OMe

OMe

RN 278171-56-5 CAPLUS

CN Ethanone, 1-[(1R)-1-ethenyl-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

$$F_3C$$
 N
 R
 CH_2

RN 278171-57-6 CAPLUS

CN Ethanone, 1-[(1S)-1-ethenyl-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

$$F_3C$$
 N S CH_2

RN 278171-58-7 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1R)-1,2,4,5-tetrahydro-1-[(1E)-2-(trimethylsilyl)ethenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

$$F_3C$$
 N
 R
 E
 $SiMe_3$

RN 278171-59-8 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-1-[(1E)-2-(trimethylsilyl)ethenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

$$F_3C$$
 N
 S
 E
 $SiMe_3$

OS.CITING REF COUNT: 52 THERE ARE 52 CAPLUS RECORDS THAT CITE THIS

RECORD (53 CITINGS)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 34 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1997:630262 CAPLUS

DOCUMENT NUMBER: 127:314361

ORIGINAL REFERENCE NO.: 127:61365a,61368a

TITLE: Normal-phase liquid chromatography-particle-beam mass

spectrometry in drug metabolism studies of the dopamine receptor antagonist Odapipam and the

muscarine M1 receptor agonist Xanomeline

AUTHOR(S): Andersen, J. Vanggaard; Hansen, K. T.

CORPORATE SOURCE: Department of Drug Metabolism, Health Care Discovery

and Development, Novo Nordisk A/S, Malov, DK-2760,

Den.

SOURCE: Xenobiotica (1997), 27(9), 901-912

CODEN: XENOBH; ISSN: 0049-8254

PUBLISHER: Taylor & Francis

DOCUMENT TYPE: Journal LANGUAGE: English

AB The metabolism of Odapipam has been studied with phenobarbital-induced rat liver microsomes, followed by anal. with normal-phase HPLC in combination with particle-beam mass spectrometry. During the incubation of Odapipam, five different metabolites were formed. The EI+ mass spectra of the metabolites indicated the formation of N-desmethyl-Odapipam,

1-hydroxy-Odapipam, the two isomers of 3'-hydroxy-Odapipam and a

metabolite which was dehydrogenated in the dihydrobenzofuran moiety. The intrinsic hepatic extraction ratio and metabolism of Xanomeline has been studied in

the perfused rat liver. Increasing the input concentration resulted in measurable concns. of Xanomeline in the perfusate, although the extraction ratio was still >0.9 at 140 μM . Anal. of the perfusate by normal-phase HPLC and particle-beam mass spectrometry showed the formation of at least six metabolites. The EI+ mass spectrum of the metabolites indicated the formation of ω -3 hydroxy-, ω -2 hydroxy-, ω -1 hydroxy-, ω -1 keto-Xanomeline in addition to ω -1

hydroxy-N-desmethyl-Xanomeline and an N-oxide of Xanomeline. The results show that normal-phase HPLC based on silica material is superior to reversed-phase-based systems in terms of selectivity. Furthermore, the use of non-aqueous solvents in combination with particle-beam mass spectrometry is advantageous compared with reversed-phase HPLC since changing between different solvents in normal-phase HPLC results only in minor changes in the particle-beam interface parameters such as nebulizer position, helium pressure and interface temperature

IT 197728-68-0

RL: ANT (Analyte); BSU (Biological study, unclassified); MFM (Metabolic formation); ANST (Analytical study); BIOL (Biological study); FORM (Formation, nonpreparative)

(normal-phase HPLC-particle-beam mass spectrometry in drug metabolism studies of dopamine receptor antagonist Odapipam and muscarine M1 receptor agonist Xanomeline)

RN 197728-68-0 CAPLUS

CN 1H-3-Benzazepine-1,7-diol, 8-chloro-5-(2,3-dihydro-7-benzofuranyl)-2,3,4,5-tetrahydro-3-methyl-, (1S,5S)- (CA INDEX NAME)

Absolute stereochemistry.

OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 35 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1996:447104 CAPLUS

DOCUMENT NUMBER: 125:142587

ORIGINAL REFERENCE NO.: 125:26689a,26692a

TITLE: Process for preparation of (alkenyl)benzazepinones INVENTOR(S): Berger, Joel G.; Chang, Wei K.; Kozlowski, Joseph A.;

Zhou, Guowei

PATENT ASSIGNEE(S): Schering Corp., USA

SOURCE: U.S., 13 pp., Cont.-in-part of U.S. 5,241,065.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.				KIND		DATE			APPL	ICAT	ION	DATE					
					_												
US 553	US 5530125			Α		19960625			US 1	994-	2908		19940819				
US 524	1065			A		19930831 US 1992-841603							19920225				
WO 931	6997			A1		1993	0902		WO 1993-US1425					19930223			
W	ΑU,	BB,	BG,	BR,	CA,	CZ,	FΙ,	HU,	JP,	KR,	LK,	MG,	MN,	MW,	NO,	NΖ,	
	PL,	RO,	RU,	SD,	SK,	UA,	US										
RV	: AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	
	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	ML,	MR,	SN,	TD,	TG				
PRIORITY APPLN. INFO.:						US 1992-841603						1	A2 19920225				
						WO 1993-US1425							W 19930223				
														-			

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): CASREACT 125:142587; MARPAT 125:142587

$$R^{1}$$
 R^{4}
 I
 R^{1}
 R^{2}
 R^{4}
 R^{2}
 R^{4}
 R^{2}
 R^{4}
 R^{2}
 R^{4}
 R^{4}

AB A process for the preparation of α -substituted arylethylamines I (R, R1 = substituent; R4 = alkenyl, cycloalkenyl; p = 0-3) comprises the treatment of an arylacetamide with a strong base in an inert aprotic organic solvent, followed by reaction with a zerovalent transition metal catalyst and then with a compound of the formula R X, (R4 = 1-alkenyl, 1-cycloalkenyl; X = leaving group). The α -substituted arylacetamides are useful as intermediates in the preparation (by reduction) of α -substituted arylethylamines, e.g., 1-substituted-2,3,4,5-tetrahydro-1H-3-benzazepines, having pharmacol. activity. Certain benzazepines wherein the 1-substituent R4 = 1-(1-cycloalkenyl) are new. For example, the alkenylation of 7-chloro-1,3,4,5-tetrahydro-8-methoxy-3-methyl-2H-3-

benzazepin-2-one with cyclohexenyl triflate in the presence of tetrakis(triphenylphosphine)palladium gave

7-chloro-1-(1-cyclohexen-1-yl)-1,3,4,5-tetrahydro-8-methoxy-3-methyl-2H-3-benzazepin-2-one (II).

IT 179419-72-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(preparation of (alkenyl)benzazepinones via transition metal-catalyzed regioselective alkenylation of benzazepinones)

RN 179419-72-8 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-5-(1,2-dimethyl-1-propen-1-yl)-2,3,4,5-tetrahydro-3-methyl- (CA INDEX NAME)

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD

(3 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 36 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1995:305899 CAPLUS

DOCUMENT NUMBER: 122:213955

ORIGINAL REFERENCE NO.: 122:39111a,39114a

TITLE: Bridged benzazepines as selective D-1 receptor

antagonists

INVENTOR(S): Berger, Joel G.; Chang, Wei K.; Clader, John W.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: U.S., 19 pp. Cont.-in-part of U.S. Ser. No. 587,894,

abandoned. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.				KIND		DATE			APPL	ICAT	ION 1		DATE				
						_											
US	US 5374722			A		1994	1220	1	US 1993-27167						19930316		
WO	WO 9205157				A1 19920402				Ī	WO 1	991-		19910920				
	W:	ΑU,	BB,	BG,	BR,	CA,	CS,	FI,	HU,	JP,	KΡ,	KR,	LK,	MC,	MG,	MW,	NO,
		PL,	RO,	SD,	SU,	US											
	RW:	ΑT,	BE,	BF,	ΒJ,	CF,	CG,	CH,	CI,	CM,	DE,	DK,	ES,	FR,	GΑ,	GB,	GN,
		GR,	ΙT,	LU,	ML,	MR,	NL,	SE,	SN,	TD,	TG						
PRIORITY APPLN. INFO.:							US 1990-587894]	B2 19900925				
									WO 1991-US6705						W 19910920		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 122:213955

GΙ

AB I is useful as an agent in the treatment of psychoses and drug dependence and for providing an analgesic effect. Minimal ED in rats in the conditioned avoidance response suppression test at 1 h. posttreatment after oral and 0.5 h. after s.c. administration by I derivs.: from 3 to >30 and 0.3 to >10, resp. Inhibition consts. Ki related to IC50 = concentration

of test drug (I derivs.) necessary to displace 50% of specifically bound titrated compds. from D-1 and D-2 receptors were determined: from 1.1 to 2080 and from 147-42,800, resp. Thus, I derivs. bind strongly to the D-1 receptor site, and are not specifically bound to the D-2 site. Pharmaceutical formulations were given.

IT 118615-86-4P 143030-43-7P 143030-45-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(bridged benzazepines as selective D-1 receptor antagonists)

RN 118615-86-4 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(3-methyl-2-buten-1-yl)- (CA INDEX NAME)

$$Me - N$$
 $CH_2-CH = CMe_2$

RN 143030-43-7 CAPLUS

CN 1H-3-Benzazepine, 7-chloro-2,3,4,5-tetrahydro-8-methoxy-3-methyl-1-(3-methyl-2-buten-1-yl)- (CA INDEX NAME)

Me N OMe
$$CH_2-CH=CMe_2$$

RN 143030-45-9 CAPLUS

CN 1H-3-Benzazepin-7-ol, 5-(2-buten-1-yl)-8-chloro-2,3,4,5-tetrahydro-3-methyl- (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 37 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1995:288466 CAPLUS

DOCUMENT NUMBER: 122:68762

ORIGINAL REFERENCE NO.: 122:12899a,12902a

TITLE: (+)-N-Trichloroacetyl-7,8-dimethoxy-1-vinyl-2,3,4,5-

tetrahydro-1H-3-benzazepine at 153 K

AUTHOR(S): Pohl, Ehmke; Herbst-Irmer, Regine; Schimpf, Ralph;

tietze, Lutz F.

CORPORATE SOURCE: Inst. Anorg. Chem., Univ. Goettingen, Goettingen,

37077, Germany

SOURCE: Acta Crystallographica, Section C: Crystal Structure

Communications (1994), C50(12), 1978-80

CODEN: ACSCEE; ISSN: 0108-2701

PUBLISHER: Munksgaard
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The crystal structure anal. of the title compound, C16H18Cl3NO3, was carried out at low temperature to determine the absolute configuration of the compound Crystallog.

data and atomic coordinates are given.

IT 157105-53-8

RL: PRP (Properties)

(crystal structure and absolute configuration at low temperature of)

RN 157105-53-8 CAPLUS

CN 1H-3-Benzazepine, 1-ethenyl-2,3,4,5-tetrahydro-7,8-dimethoxy-3-

(trichloroacetyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$H_2C$$
 OMe OMe

L20 ANSWER 38 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:533936 CAPLUS

DOCUMENT NUMBER: 121:133936

ORIGINAL REFERENCE NO.: 121:24212h,24213a

TITLE: Regio- and enantioselective silicon-terminated

intramolecular Heck reactions
AUTHOR(S):

Tietze, Lutz F.; Schimpf, Ralph

CORPORATE SOURCE: Institut fuer Organische Chemie, Universitaet

Goettingen, Goettingen, D-37077, Germany

SOURCE: Angewandte Chemie (1994), 106(10), 1138-9 (See also

Angew. Chem., Int. Ed. Engl., 1994, 33(10), 1089-91)

CODEN: ANCEAD; ISSN: 0044-8249

DOCUMENT TYPE: Journal LANGUAGE: German

OTHER SOURCE(S): CASREACT 121:133936

GΙ

$$R^{1}$$
 R^{2}
 R^{1}
 R^{2}
 R^{2}

AB Palladium complex-catalyzed Heck reactions of I (R = H, SiMe3; X = NCOCF3, n=1, R1=R2=H, n=2, R1=R2=Me0; X=CH2, R1=Me0, R2=H) were compared. Thus, I (R = SiMe3) afforded cyclic compds. II (R = H or SiMe3), the ratio depending on the substrate and catalyst.

IT 157183-88-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reduction of)

RN 157183-88-5 CAPLUS

CN Ethanone, 1-[(1S)-1-ethenyl-1,2,4,5-tetrahydro-7,8-dimethoxy-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

IT 157105-53-8P

Absolute stereochemistry.

$$\begin{array}{c|c} & \text{H2C} \\ \hline & \text{OMe} \\ \hline & \text{OMe} \\ \hline \end{array}$$

IT 157105-52-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 157105-52-7 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-7,8-dimethoxy-1-[(1E)-2-(trimethylsilyl)ethenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)

L20 ANSWER 39 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:244692 CAPLUS

DOCUMENT NUMBER: 120:244692

ORIGINAL REFERENCE NO.: 120:43368h,43369a TITLE: Preparation of

1,4-dihydro-4-phenyl-3,5-pyridinedicarboxylic acids as

calcium antagonists

INVENTOR(S): Nagasaka, Tatsuo; Kosugi, Yoshuki; Kawahara, Toshio;

Kakimoto, Masanori; Tamura, Koichi; Hirata, Akikage

PATENT ASSIGNEE(S): Wakunaga Seiyaku Kk, Japan SOURCE: Jpn. Kokai Tokkyo Koho, 33 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05339263	A	19931221	JP 1992-147518	19920608
PRIORITY APPLN. INFO.:			JP 1992-147518	19920608
OTHER SOURCE(S):	MARPAT	120:244692		

GΙ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. (I; X, Y = NO2, halo; R1 = Q - Q7; R2 = alkyl, alkenyl, aralkyl, acyl, toluenesulfonyl, esterified CO2H; R3 = H, alkoxy; R4 = H, alkyl; R5 = H, Ph, aralkyl, alkoxy, optionally alkenyloxy- or PhO-substituted alkyl; R6 = H, alkyl, Ph; R7 = alkyl, aralkyl, Ph), useful for the treatment of angina pectoris and hypertension, are prepared Thus, chlorination of I (X = R1 = H, Y = 3-NO2) with SOC12 in DM -CH2C12 followed by esterification with quinolinol derivative Q1-OH (R2 = PhCH2) gave I (X = H, Y = 3-NO2, R1 = Q1, R2 = PhCH2). In Rosenberger's assay for determination of Ca antagonist activity, I (X = H, Y = 3-NO2, R1 = Q, R2 = PhCH2)

at 10-8 M inhibited 76.5% KCl-induced contraction of guinea pig's ileum vs. 97.5% nifedipine.

IT 35613-12-8, 1,2,4,5-Tetrahydro-3-methyl-3H-benzazepin-1-ol RL: RCT (Reactant); RACT (Reactant or reagent)

(esterification of, with pyridinedicarboxylic acid derivative)

RN 35613-12-8 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-3-methyl- (CA INDEX NAME)

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD

L20 ANSWER 40 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:244631 CAPLUS

DOCUMENT NUMBER: 120:244631

ORIGINAL REFERENCE NO.: 120:43353a,43356a

TITLE: Efficient synthesis of

2,3,4,5-tetrahydro-1H-3-benzazepines by intramolecular

Heck reaction

AUTHOR(S): Tietze, Lutz F.; Schimpf, Ralph

CORPORATE SOURCE: Inst. Org. Chem., Univ. Goettingen, Goettingen,

D-3400, Germany

SOURCE: Synthesis (1993), (9), 876-80

CODEN: SYNTBF; ISSN: 0039-7881

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 120:244631

GΙ

AB A new facile method for the preparation of the pharmacol. interesting 3-benzazepine skeleton is described. N-[(iodophenyl)ethyl]-N-allylamine easily available from iodinated benzene derivs. are alkylated with allyl halides to afford compds. N-[2-(2-iodophenyl)ethyl]-N-allylamines I (R1, R2 = H, Me). Pd-catalyzed Heck-type cyclization of I leads to 3-benzazepines such as II; hydrogenation of II gives the corresponding racemic alkyl-substituted benzazepine.

TT 154138-53-1P 154138-54-2P 154138-55-3P 154138-56-4P 154138-57-5P 154138-58-6P RL: SPN (Synthetic preparation): PRFP (Preparation)

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 154138-53-1 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7,8-dimethoxy-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)

$$F_3C-C-N OMe$$
OMe

RN 154138-54-2 CAPLUS

CN Ethanone, 1-(1-ethyl-1,2,4,5-tetrahydro-7,8-dimethoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

RN 154138-55-3 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7,8-dimethoxy-1-(1-methylethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

$$i-Pr$$
OMe
OMe

RN 154138-56-4 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-1-(1-methylethyl)- (CA INDEX NAME)

RN 154138-57-5 CAPLUS

CN 1H-3-Benzazepine-7,8-diol, 2,3,4,5-tetrahydro-1-(1-methylethyl)-, hydrobromide (1:1) (CA INDEX NAME)

• HBr

RN 154138-58-6 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7,8-dihydroxy-1-(1-

methylethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

IT 154138-48-4P 154138-51-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation, Heck reaction of N-[(iodophenyl)ethyl]-N-allylamine)

RN 154138-48-4 CAPLUS

CN Ethanone, 1-(1-ethenyl-1,2,4,5-tetrahydro-7,8-dimethoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

RN 154138-51-9 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7,8-dimethoxy-1-(1-methylethenyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{F}_3\text{C}-\text{C} & \text{N} & \text{OMe} \\ \hline \\ \text{O} & \text{C}-\text{Me} \\ \hline \\ \text{CH}_2 \end{array}$$

OS.CITING REF COUNT: 22 THERE ARE 22 CAPLUS RECORDS THAT CITE THIS RECORD (22 CITINGS)

L20 ANSWER 41 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:134315 CAPLUS

DOCUMENT NUMBER: 120:134315

ORIGINAL REFERENCE NO.: 120:23651a,23654a

TITLE: 2,3,4,5-Tetrahydro-1H-3-benzazepines having

antipsychotic activity

INVENTOR(S): Berger, Joel G.; Chang, Wei K.; Kozlowski, Joseph A.;

Zhou, Guowei

PATENT ASSIGNEE(S): Schering Corp., USA

SOURCE: U.S., 14 pp. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PAT	ENT 1	10.			KIN	D	DATE			APPL	ICAT	ION	NO.		D	ATE		
US	52410)65			A		 1993	0831		us 1	992-	 8416	03		1	9920:	225	
ZA	93012	261			A		1993	0823		ZA 1	993-	1261			1	9930:	223	
CA	21307	797			A1		1993	0902		CA 1	993-	2130	797		1	9930:	223	
CA	21307	797			С		2006	0704										
OW	93169	997			A1		1993	0902	1	WO 1	993-	US14	25		1	9930	223	
	W:	AU,	BB,	BG,	BR,	CA,	CZ,	FI,	HU,	JP,	KR,	LK,	MG,	MN,	MW,	NO,	NZ,	
		PL_{r}	RO,	RU,	SD,	SK,	UA,	US										
	RW:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB_{r}	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	ML,	MR,	SN,	TD,	TG				
AU	93372	221			Α		1993	0913		AU 1	993-	3722	1		1	9930:	223	
EP	62803	30			A1		1994	1214		EP 1	993-	9060	34		1	9930:	223	
EP	62803	30			В1		2002	1211										
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	ΙT,	LI,	LU,	MC,	NL,	PT,	SE
JP	07504	1196			\mathbf{T}		1995	0511		JP 1	993-	5149	43		1	9930:	223	
$_{ m IL}$	10482	28			Α		1999	0817		IL 1	993-	1048	28		1	9930:	223	
AT	22951	L O			${f T}$		2002	1215		AT 1	993-	9060	34		1	9930:	223	
ES	21838	310			Т3		2003	0401		ES 1	993-	9060	34		1	9930:	223	
US	55301	L25			Α		1996	0625		US 1	994-	2908	94		1	9940	819	
PRIORITY	Z APPI	LN.	INFO	.:						US 1	992-	8416	03	i	A 1	9920:	225	
									1	WO 1	993-	US14	25	Ī	W 1	9930:	223	

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): CASREACT 120:134315; MARPAT 120:134315

$$R_{n}^{1}$$
 R_{n}^{1}
 R_{n

AB The title compds. I (R = alkyl, alkenyl, aryl, aralkyl, cycloalkyl,

cycloalkyl alkyl; R1 = alkenyl, alkoxy, H0, alkenyloxy, cycloalkyl, N02, halogen, Ph, PhO; R4 = 1-cycloalkenyl; Y = 0, H2), useful as antipsychotic agents, are prepared from aryl acetamides in the presence of a strong base followed by reaction with zero-valent transition metal catalysts and then with cycloalkenyl group R4X (X = leaving group). Thus,

7-chloro-8-methoxy-3-methyl-1,3,4,5-tetrahydro-2H-3-benzazepin-2-one was reacted with Li diisopropylamide in the presence of Pd (PPH3)4 followed by addition of 1-cyclohexenyl triflate, producing II.

IT 152807-92-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (antipsychotic activity of)

RN 152807-92-6 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-5-(1,2-dimethyl-1-propenyl)-2,3,4,5-tetrahydro-3-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 42 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1993:539050 CAPLUS

DOCUMENT NUMBER: 119:139050

ORIGINAL REFERENCE NO.: 119:24931a,24934a

TITLE: Dopamine receptor binding properties of some 2,3,4,5-tetrahydro-1H-3-benzazepin-7-ols with

nonaromatic substituents in the 5-position

nonaromatic substituents in the 5-position

THOD (C):

Chang Wei M.: Datana Mariania: Favia Wig

AUTHOR(S): Chang, Wei K.; Peters, Marjorie; Fevig, Vicki P.; Kozlowski, Joseph A.; Zhou, Gouwei; Lowe, Derek B.;

Guzik, Henry; McQuade, Robert D.; Duffy, Ruth; et al.

CORPORATE SOURCE: Schering-Plough Res. Inst., Bloomfield, NJ, 07003, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (1992), 2(5),

399-402

CODEN: BMCLE8; ISSN: 0960-894X

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 119:139050

GΙ

AB The title compds. I (R = H, Pr, EtS, cyclohexyl) related to the selective dopamine D-1 antagonist SCH 23390, but bearing non-aromatic substituents in the 5-position possess considerable affinity and selectivity for D-1 vs. D-2 receptors.

IT 118615-62-6P 118615-83-1P 149435-02-9P

149454-12-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and SAR of)

RN 118615-62-6 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propen-1-yl)- (CA INDEX NAME)

Me \sim OH \sim CH₂-CH= CH₂

RN 118615-83-1 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propyn-1-yl)- (CA INDEX NAME)

Me N OH
$$CH_2-C \equiv CH$$

RN 149435-02-9 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-5-[3-(dimethylamino)propyl]-2,3,4,5-tetrahydro-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)

Me N OH (CH2)
$$3-NMe2$$

● HCl

RN 149454-12-6 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-propyl- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Cl} \\ & \text{Me} & \text{OH} \\ & & \text{Pr-n} \end{array}$$

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L20 ANSWER 43 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1992:571248 CAPLUS

DOCUMENT NUMBER: 117:171248

ORIGINAL REFERENCE NO.: 117:29605a,29608a

TITLE: Peri-condensed benzazepines

INVENTOR(S): Berger, Joel G.; Chang, Wei K.; Clader, John W.

PATENT ASSIGNEE(S): Schering Corp., USA SOURCE: PCT Int. Appl., 67 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA	TENT	NO.			KIN	D DATE		I	APPL	ICAT	ION I	NO.		I	DATE	
WO	9205	 157			A1	 1992	0402	ī	WO 1	991-	 US67	 05		1	 L9910	920
	W:	AU,	BB_{r}	BG,	BR_{r}	CA, CS,	FI,	HU,	JP,	KΡ,	KR,	LK,	MC,	MG,	MW,	NO,
		PL,	RO,	SD,	SU,	US										
	RW:	AT,	BE_{r}	BF_{r}	ΒJ,	CF, CG,	CH,	CI,	CM,	DE,	DK,	ES,	FR,	GΑ,	GB,	GN,
		GR_{r}	IT_{r}	LU,	ML_{r}	MR, NL,	SE,	SN,	TD_{r}	TG						
AU	9185	365			Α	1992	0415	I	AU 1	991-	8536	5		1	19910	920
EP	5513	12			A1	1993	0721	H	EP 1	991-	9167	93		1	19910	920
	R:	AT_{r}	BE_{r}	CH,	DE_{r}	DK, ES,	FR,	GB,	GR_{r}	IT,	LI,	LU,	NL_{r}	SE		
JP	0550	6246			\mathbf{T}	1993	0916	Ü	JP 1	991-	5153	85		1	L9910	920
JP	0601	5530			В	1994	0302									
ZA	9107	573			Α	1992	0624	2	ZA 1	991-	7573			1	L9910	923
US	5374	722			Α	1994	1220	Ţ	JS 1	993-	2716	7		1	L9930	316
PRIORIT	Y APP	LN.	INFO	. :				Ţ	JS 1	990-	5878	94		A2 1	L9900	925
								V	WO 1	991-	US 67	05		A 1	19910	920

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 117:171248

GΙ

AB Compds. of formula I [R = H, alkyl, allyl, n = 0, 1; R1, R2 = H, OH, C1-4 alkyl or Ar; R3, R4 = H, C1-4 alkyl, G = H, R5R6NCO, ArNHCO (R5, R6 = H, C1-4 alkyl, aryl); Ar = Ph, substituted Ph; Y, Z = H, halo, C1-4 alkyl, C1-4 alkoxy, C1-4 haloalkyl] were prepared for use as antipsychotics, in treatment of drug dependency, and as analgesics. Thus, hydrogenation of naphthazepinedione II (X = X1 = O; G = Me) over Pd-C gave monoketones II (X1 = H2) which was reduced by BH3-THF to give methoxy derivative II (X = X1 = H2; G = Me) followed by chlorination with SO2C12 to give dichloro derivative III (G = Me). Cleavage of III by 48% HBr gave phenol III (G = H), the

most preferred compound

 \mathbf{IT} 118615-86-4P 143030-45-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and cyclization by methanesulfonic acid)

RN118615-86-4 CAPLUS

1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(3-methyl-2-CN buten-1-yl) - (CA INDEX NAME)

RN 143030-45-9 CAPLUS

1H-3-Benzazepin-7-ol, 5-(2-buten-1-yl)-8-chloro-2,3,4,5-tetrahydro-3-CN methyl- (CA INDEX NAME)

$$Me^{-N}$$
 $C1$
 OH
 CH_2-CH
 $CH-Me$

143030-43-7P IT

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and demethylation of)

RN143030-43-7 CAPLUS

1H-3-Benzazepine, 7-chloro-2,3,4,5-tetrahydro-8-methoxy-3-methyl-1-(3-CN methyl-2-buten-1-yl)- (CA INDEX NAME)

$$Me^{-N}$$
 $C1$
 OMe
 $CH_2-CH=CMe_2$

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD

(3 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 44 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1989:75345 CAPLUS

DOCUMENT NUMBER: 110:75345

ORIGINAL REFERENCE NO.: 110:12449a,12452a

Substituted benzazepines, their preparation, TITLE:

pharmaceutical compositions containing them, and their

use as antipsychotics

Berger, Joel G.; Chang, Wei K.; Peters, Marjorie INVENTOR(S):

PATENT ASSIGNEE(S): Schering Corp., USA SOURCE: Eur. Pat. Appl., 45 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATEI	NT NO.			KINI	DATE	APPLICATION NO.		DATE
EP 2	85919			A1	19881012	EP 1988-104758		19880324
EP 2	85919			В1	19941012			
J	R: ES,	GR						
ZA 8	802080			Α	19890426	ZA 1988-2080		19880323
WO 8	807526			A1	19881006	WO 1988-US899		19880324
Ţ	W: AU,	DK,	FI,	HU,	JP, KR, NO,	US		
I	RW: AT,	BE,	CH,	DE,	FR, GB, IT,	LU, NL, SE		
AU 8	815964			Α	19881102	AU 1988-15964		19880324
AU 61	19744			В2	19920206			
EP 3	57641			A1	19900314	AU 1988-15964 EP 1988-903596		19880324
I	R: AT.	BF.	CH.	DF.	FR. GB. IT.	LT, LU, NL, SE		
JP 02	2502723			\mathbf{T}	19900830	JP 1988-503399		19880324
JP 0	6062574			В	19940817	JP 1988-503399		
HU 53	3882			A2	19901228	HU 1988-2812		19880324
HU 20	05744			В	19920629	HU 1988-2812 IL 1988-85855 CA 1988-562352 AT 1988-104758		
IL 8	5855			Α	19930221	IL 1988-85855		19880324
CA 13	321195			С	19930810	CA 1988-562352		19880324
AT 11	12766			${f T}$	19941015	AT 1988-104758		19880324
						NO 1988-5096		19881115
NO 1	74507			В	19940207			
NO 1	74507			С	19940518			
DK 8	806526			Α	19881123	DK 1988-6526		19881123
DK 1	65688			В	19930104			
DK 1	806526 65688 65688			С	19930524			
US 50	015639			Α	19910514	US 1989-322801		19890313
FI 8	904566			Α	19890927	FI 1989-4566		19890927
US 52	247080			A	19930921	US 1989-322801 FI 1989-4566 US 1991-646574		19910221
CORITY A						US 1987-32135	A	19870327
						WO 1988-US899 US 1989-322801	A	19880324
						US 1989-322801	A3	19890313

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

MARPAT 110:75345 OTHER SOURCE(S):

GΙ

$$R^4$$
 R^5
 R^1
 R^2
 R^2

AΒ The title compds. [I; R1 = H, cycloalkyl, cycloalkenyl, cyano, R6X, R7O2C, R7CO2, R72NCO2, R7C.tplbond.C, R72NCO, imidazolyl, pyrrolyl, (un) substituted alkyl, alkenyl, etc.; R2 = H, OH, alkoxy; R1R2 = atoms to complete a carbocycle or heterocycle; R3 = H, alkyl, CH2CHCH2, cyclopropylmethyl; R4 = H, (halo)alkyl, alkoxy, halo; R5 = R80, R72N, R,9CO2CR1020; R6 = H, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl, (un) substituted alkyl; R7 = H, alkyl, alkoxy(alkyl), aryl, aralkyl; R8 = H, R7CO, R72NCO; R9 = alkyl, aryl, aralkyl; R10 = H, alkyl; X = O, S, R7N] and their pharmaceutically acceptable salts were prepared as dopamine D1 receptor antagonists, useful as antipsychotics, antidepressants, and analgesics. 3,4-C1 (MeO) C6H3CH2CH2NHMe was N-alkylated with (EtO) 2CHCH2Br and the product was cyclized by heating at 70° with MeSO3H to give I (R1 = EtO, R2 = H, R3 = Me, R4 = C1, R5 = MeO). The latter was deetherified by heating 10 h with EtSNa in DMF to give I (R1 = EtO, R2 = H, R3 = Me, R4 = C1, R5 = OH) (II). In the conditioned avoidance response test in rats II suppressed the response with a min. ED of 1 mg/kg s.c.

IT 118615-45-5P 118615-97-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of antipsychotics)

RN 118615-45-5 CAPLUS

CN 1H-3-Benzazepine, 7-chloro-2,3,4,5-tetrahydro-8-methoxy-3-methyl-1-(2-propen-1-yl)- (CA INDEX NAME)

Me
$$\sim$$
 N OMe \sim CH₂-CH $=$ CH₂

RN 118615-97-7 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 7-chloro-1,2,4,5-tetrahydro-1-hydroxy-8-methoxy-, ethyl ester (CA INDEX NAME)

 1T
 118615-60-4P
 118615-62-6P
 118615-69-3P

 118615-70-6P
 118615-71-7P
 118615-72-8P

 118615-83-1P
 118615-85-3P
 118615-87-5P

 118615-88-6P
 118615-89-7P
 118615-90-0P

 118615-91-1P
 118652-79-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as antipsychotic and antidepressant)

RN 118615-60-4 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-5-[3-(dimethylamino)propyl]-2,3,4,5-tetrahydro-3-methyl- (CA INDEX NAME)

RN 118615-62-6 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propen-1-yl)- (CA INDEX NAME)

Me
$$\sim$$
 OH \sim CH₂-CH \sim CH₂

RN 118615-69-3 CAPLUS

CN Propanoic acid, 2-methyl-, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propen-1-yl)-1H-3-benzazepin-7-yl ester (CA INDEX NAME)

RN 118615-70-6 CAPLUS

CN Acetic acid, 2-methoxy-, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propen-1-yl)-1H-3-benzazepin-7-yl ester (CA INDEX NAME)

RN 118615-71-7 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(3-methyl-2-buten-1-yl)-, 7-acetate (CA INDEX NAME)

RN 118615-72-8 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, [[8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propen-1-yl)-1H-3-benzazepin-7-yl]oxy]methyl ester (CA INDEX NAME)

RN 118615-83-1 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propyn-1-yl)- (CA INDEX NAME)

RN 118615-85-3 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propen-1-yl)-, 7-acetate, hydrochloride (1:1) (CA INDEX NAME)

Me N OAC
$$CH_2-CH=CH_2$$

● HCl

RN 118615-87-5 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(3-methyl-2-butenyl)-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 118615-86-4 CMF C16 H22 Cl N O

$$\begin{array}{c|c} \text{Cl} & \text{Cl} \\ \text{OH} & \text{OH} \\ \text{CH}_2\text{-CH} = \text{CMe}_2 \end{array}$$

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 118615-88-6 CAPLUS

CN Propanoic acid, 2-methyl-, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propen-1-yl)-1H-3-benzazepin-7-yl ester, hydrochloride (1:1) (CA INDEX NAME)

Me N
$$C1$$
 O O $C-Pr-i$ CH_2-CH CH_2

● HCl

RN 118615-89-7 CAPLUS

CN Acetic acid, 2-methoxy-, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propen-1-yl)-1H-3-benzazepin-7-yl ester, hydrochloride (1:1) (CA INDEX NAME)

Me
$$N$$
 $C1$
 O
 O
 $C-CH_2-OMe$
 $CH_2-CH=CH_2$

● HCl

RN 118615-90-0 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(3-methyl-2-buten-1-yl)-, 7-acetate, hydrochloride (1:1) (CA INDEX NAME)

$$Me^{-N}$$
 $C1$
 OAc
 $CH_2-CH=CMe_2$

● HCl

RN 118615-91-1 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, [[8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propen-1-yl)-1H-3-benzazepin-7-yl]oxy]methyl ester, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 118652-79-2 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-methyl-2-propen-1-yl)- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{C1} \\ & \text{OH} \\ & \text{CH}_2-\text{C-Me} \\ & \text{CH}_2 \end{array}$$

OS.CITING REF COUNT:

THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)

L20 ANSWER 45 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1987:84373 CAPLUS

DOCUMENT NUMBER: 106:84373

ORIGINAL REFERENCE NO.: 106:13845a,13848a

TITLE: Strategic considerations in the radiosynthesis of

substituted 1-phenyl-2,3,4,5-tetrahydro-1H-3-

benzazepine-7,8-diols

AUTHOR(S): Blackburn, Dale; Villani, Anthony; Senderoff, Steve;

Landvatter, Scott; Garnes, Keith

CORPORATE SOURCE: Smith Kline and French Lab., Philadelphia, PA, 19101,

USA

SOURCE: Synth. Appl. Isot. Labeled Compd. Proc. Int. Symp.,

2nd (1986), Meeting Date 1985, 309-10. Editor(s): Muccino, Richard Robert. Elsevier: Amsterdam, Neth.

CODEN: 55BUAT

DOCUMENT TYPE: Conference LANGUAGE: English

GΙ

AB Benzazepines I (R-R3 = H; R = R2 = H, R1 = C1, R3 = OH; R = R2 = Me, R1 = R3 = H; R = allyl, R1 = C1, R2 = H, R3 = OH) labeled with 14C and 3H were prepared

IT 106621-73-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and resolution of)

RN 106621-73-2 CAPLUS

CN 1H-3-Benzazepine-1-14C, 2,3,4,5-tetrahydro-7,8-dimethoxy-1,3-dimethyl-1-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L20 ANSWER 46 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1987:84066 CAPLUS

DOCUMENT NUMBER: 106:84066

ORIGINAL REFERENCE NO.: 106:13788h,13789a

TITLE: Synthesis and dopaminergic binding of 2-aryldopamine

analogs: phenethylamines, 3-benzazepines, and

9-(aminomethyl)fluorenes

AUTHOR(S): Ladd, David L.; Weinstock, Joseph; Wise, Margaret;

Gessner, George W.; Sawyer, John L.; Flaim, Kathryn E.

CORPORATE SOURCE: Dep. Med. Chem., Smith Kline and French Lab.,

Philadelphia, PA, 19101, USA

SOURCE: Journal of Medicinal Chemistry (1986), 29(10), 1904-12

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 106:84066

GΙ

$$HO$$
 CH_2NH_2
 HO
 III

AB A series of 2-aryldopamine analogs, the phenethylamines I (R = H, HO; R1 = H, Pr), the 3-benzazepines II (R = H, HO; R2 = H, C1) and the aminoethylfluorenes III (R = H, HO) were synthesized and evaluated for their effects on D1 and D2 dopamine receptors. Thus 2,3-(MeO)2C6H3Ph underwent chloromethylation with CH2O and HCl to give 2,3,4-Ph(MeO)2C6H2CH2Cl, which was treated with NaCN followed by catalytic reduction and demethylation to give I (R = R1 = H). I and II exhibited weak binding to both D1 and D2 receptors. III also exhibited weak D2 binding; however, III (R = HO) exhibited D1 binding comparable to apomorphine. The binding activity was correlated with the calculated torsion angle of the biphenyl portion of these mols. Good D1 dopamine binding occurs when the aromatic rings approach coplanarity; poor binding occurs when the aromatic

RN 103692-43-9 CAPLUS CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-7,8-dimethoxy-6-(4-methoxyphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

L20 ANSWER 47 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1985:203882 CAPLUS

DOCUMENT NUMBER: 102:203882

ORIGINAL REFERENCE NO.: 102:31953a,31956a

TITLE: Benzazepine derivatives, and their use

INVENTOR(S): Reiffen, Manfred; Heider, Joachim; Hauel, Norbert; Austel, Volkhard; Eberlein, Wolfgang; Kobinger, Walter; Lillie, Christian; Noll, Klaus; Pieper,

Helmut; et al.

PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.

SOURCE: U.S., 38 pp. Cont.-in-part of U.S. Ser. No. 523,630,

abandoned.
CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				_	
US 4490369	A	19841225	US 1983-547940		19831102
DE 3119874	A1	19821209	DE 1981-3119874		19810519
DE 3242599	A1	19840524	DE 1982-3242599		19821118
PRIORITY APPLN. INFO.:			DE 1981-3119874	Α	19810519
			US 1982-377599	A2	19820512
			DE 1982-3242599	Α	19821118
			US 1983-523630	A2	19830815

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

Ι

OTHER SOURCE(S): CASREACT 102:203882

GΙ

AB About 145 examples of the title compds. I [A = CH2CH2, CH:CH, NHCO, CH2CO, CR7:N (R7 = alkyl); A1 = CH2, CO, CS, COCO, N:CH, CH(OH)CO, CH(OH)CH2, C(:NOH)CO, CH(NHR8)CO (R8 = H, substituted alkyl), CH2; E, G = (un)substituted alkylene; R1 = H, halo, CF3, NH2, OH, alkyl, alkoxy; R2 = H, halo, OH, alkyl, alkoxy; R1R2 = alkylenedioxy; R3 = H, halo, OH, -CN, NO2, CF3, alkyl, alkoxy; R4 = H, alkyl, OH alkoxy, NH2, alkylamino, substituted amino; R3R4 = alkylenedioxy; R5 = H, Cl, Br, -CN, OH, alkyl, alkoxy; R6 = H, alkyl, phenylalkyl, alkanoyl, alkoxycarbonyl, alkenyl], useful as bradycardiacs, were prepared Thus, 3,4-dimethoxyphenylacetic acid was treated with thionyl chloride, then with aminoacetaldehyde di-Me acetal, and cyclized in the presence of HCl and HOAc to give 7,8-dimethoxy-1,3-dihydro-2H-3-benzazepin-2-one. The last was treated

with 1-bromo-3-chloropropane, then hydrogenated to give 1-[7,8-dimethoxy-1,3,4,5-tetrahydro-2H-3-benzazepin-2-on-3-yl]-3-[N-methyl-N-(2-(3,4-dimethoxyphenyl)ethyl)amino]propane dihydrochloride (II). At 1.0 mg/kg i.v., II gave a 55% reduction in heart rate in anesthetized cats with a half life of 120 min.

IT 92452-57-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and bradycardiac activity of)

RN 92452-57-8 CAPLUS

CN 1H-3-Benzazepin-1-ol, 3-[3-[[2-(3,4-dimethoxyphenyl)ethyl]methylamino]propyl]-2,3,4,5-tetrahydro-7,8-dimethoxy-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{OMe} \\ \text{OMe} \\ \text{OMe} \end{array}$$

●2 HCl

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 48 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1984:571128 CAPLUS

DOCUMENT NUMBER: 101:171128

ORIGINAL REFERENCE NO.: 101:25879a,25882a

TITLE: Benzazepine derivatives and their use as heart

regulators

INVENTOR(S): Reiffen, Manfred; Heider, Joachim; Austel, Volkhard;

Hauel, Norbert; Kobinger, Walter; Lillie, Christian

PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.

SOURCE: Ger. Offen., 79 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	
DE 3242599 US 4490369 EP 109636	A1	19840524 19841225 19840530		19821118 19831102
EP 109636 EP 109636 R: AT, BE, CH,	В1	19851121 19890118	I.II NI. SF	
AT 40118	T	19890215		19831114
FI 8304174			FI 1983-4174	
			CS 1983-8456	
CS 239947 DD 215540	A5	19841114	DD 1983-256756	19831116
DK 8305274	A	19840519	DK 1983-5274	
NO 8304222	A	19840521	NO 1983-4222	19831117
AU 8321461	A	19840524	AU 1983-21461	19831117
AU 568101	B2	19871217		
GB 2130213	A	19840531	GB 1983-30731	19831117
GB 2130213	В	19860326		
JP 59106466	A	19840620	JP 1983-217069	19831117
JP 04041144	В	19920707		
ни 32565	A2	19840828	HU 1983-3968	19831117
HU 193189	В	19870828		
ZA 8308572	A	19850731	ZA 1983-8572	
CA 1211107	A1	19860909	CA 1983-441425	
PL 139449	В1	19870131	PL 1983-244610	
IL 70258	A	19870831	IL 1983-70258	
PRIORITY APPLN. INFO.:				A 19810519
			US 1982-377599	A2 19820512
			DE 1982-3242599	A 19821118
			US 1983-523630	A2 19830815
			EP 1983-111348	A 19831114

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 101:171128

GΙ

The title compds. including I [R,R1 = H, Br, Cl, F, F3C, alkoxy, amino; R2 = H, alkyl, alkenyl; R3 = (un)substituted Ph; X = CH2CH2, CH:CH, CH2CO, COCO, CH:N, N:CH, CH(OH)CH2, CH(OH)CO, etc.; X1 = CO, CS; Z = (un)substituted alkylene; Z1 = alkylene, hydroxyalkylene, oxoalkylene] were prepared Thus, 1,3,4,5-tetrahydro-7,8-dimethoxy-2H-3-benzazepin-2-one was alkylated with Br(CH2)3Cl and the resulting 3-(3-chloropropyl) derivative was condensed with 3,4-(MeO)2C6H3(CH2)3NHMe to give the (aminopropyl)benzazepinone II. In rats 5.0 mg II/kg i.v. reduced the heart rate by 183 beats/min.

IT 92452-57-8P 92452-58-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 92452-57-8 CAPLUS

CN 1H-3-Benzazepin-1-ol, 3-[3-[[2-(3,4-dimethoxyphenyl)ethyl]methylamino]propyl]-2,3,4,5-tetrahydro-7,8-dimethoxy-, dihydrochloride (9CI) (CA INDEX NAME)

•2 HCl

RN 92452-58-9 CAPLUS

CN 1H-3-Benzazepin-1-ol, 3-[3-[[2-(3,4-dimethoxyphenyl)ethyl]methylamino]propyl]-2,3,4,5-tetrahydro-7,8-dimethoxy-(CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{OMe} \\ \text{OMe} \\ \text{OMe} \\ \end{array}$$

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L20 ANSWER 49 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1984:174687 CAPLUS

DOCUMENT NUMBER: 100:174687

ORIGINAL REFERENCE NO.: 100:26565a,26568a

1-Aryloxy-2,3,4,5-tetrahydro-3-benzazepines and their TITLE:

use as pharmaceuticals.

INVENTOR(S): Effland, Richard Charles; Klein, Joseph Thomas; Davis,

PATENT ASSIGNEE(S): Hoechst-Roussel Pharmaceuticals, Inc., USA

SOURCE: Eur. Pat. Appl., 70 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	ENT NO.			KINI)	DATE		APPLICATION NO.		DATE
	96838 96838			A1 B1		19831228 19870401		EP 1983-105610		19830608
		BE,	CH,	DE,	FR,	GB, IT,	LI,	LU, NL, SE		
US	4988690			A				US 1982-387916		19820614
AT :	26263			\mathbf{T}		19870415		AT 1983-105610		19830608
FI	8302092			A		19831215		FI 1983-2092		19830610
FI	78473			В		19890428				
FI	78473			С		19890810				
DK	8302709			A		19831215		DK 1983-2709		19830613
JP	59005165			A		19840112		JP 1983-104343		19830613
JP	03065342			В		19911011				
	30053			A2		19840228		HU 1983-2096		19830613
HU	190902			В		19861228				
ZA	8304309			A		19840328		ZA 1983-4309		19830613
CA	1214460			A1		19861125		CA 1983-430280		19830613
	68964			Α		19880331		IL 1983-68964		19830613
	8315764			Α		19831222		AU 1983-15764		19830614
	570920			В2		19880331				
	4794181			A		19881227		US 1986-819439		19860116
	4935418			Α		19900619		US 1988-236104		19880823
	5059688			A		19911022		US 1990-513400		19900423
PRIORITY	APPLN.]	NFO.	:					US 1982-387916	A	
								EP 1983-105610	A	19830608
								US 1983-541767		19831013
								US 1986-819439		19860116
								US 1988-236104	A3	19880823

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 100:174687

GΙ

Benzazepines I [R = H, alkyl, cycloalkylalkyl, (un)substituted aralkyl; R1, R2 = H, alkoxy; R3 = H, halogen, alkyl, alkoxy, CF3, NO2, cyano, NH2] were prepared Thus, benzazepine II (R4 = H, R5 = SO2C6H4Me-4) was treated with PhOH to give II (R4 = Ph, R5 = SO2C6H4Me-4), which was reduced to give II (R4 = Ph, R5 = H). II (R4 = Ph, R5 = H) oxalate had an ED50 of 0.85 mg/kg i.p. against tetrabenazine-induced ptosis in mice. I (same R's) were also analgesics and antihypertensives.

IT 14165-92-5 19301-11-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(arylation of)

RN 14165-92-5 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-7,8-dimethoxy- (CA INDEX NAME)

RN 19301-11-2 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro- (CA INDEX NAME)

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L20 ANSWER 50 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

1984:121065 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 100:121065

ORIGINAL REFERENCE NO.: 100:18429a,18432a

TITLE: Benzoazacycloalkylspiroimidazolidines and their

pharmaceutical compositions

INVENTOR(S): Malen, Charles; Peglion, Jean Louis; Duhault, Jacques;

Boulanger, Michelle

PATENT ASSIGNEE(S): ADIR, Fr.

SOURCE: Ger. Offen., 24 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
DE 3315106	A1	19831103	DE 1983-3315106		19830427
FR 2525603	A1	19831028	FR 1982-7203		19820427
FR 2525603	В1	19840914			
AT 8301253	A	19860515	AT 1983-1253		19830408
DD 209833	A5	19840523	DD 1983-250187		19830425
BE 896572	A1	19831026	BE 1983-210633		19830426
DK 8301835	A	19831028	DK 1983-1835		19830426
NO 8301473	A	19831028	NO 1983-1473		19830426
SE 8302347	A	19831028	SE 1983-2347		19830426
AU 8313953	A	19831103	AU 1983-13953		19830426
NL 8301476	A	19831116	NL 1983-1476		19830426
JP 59010584	A	19840120	JP 1983-73687		19830426
HU 31731	A2	19840528	HU 1983-1432		19830426
ZA 8302927	A	19840627	ZA 1983-2927		19830426
GB 2133401	A	19840725	GB 1983-11508		19830427
GB 2133401	В	19851023			
PRIORITY APPLN. INFO.:			FR 1982-7203	Α	19820427
OTHER SOURCE(S):	CASRE	ACT 100:1210	65; MARPAT 100:121065	õ	

GΙ

$$R^{1}$$
 C^{1}
 C^{1

Spiroimidazolidines I (R1 = H, halo, OH, MeO; R2 = H, alkyl, phenylalkyl, ABalkanoyl, p-MeC6H4SO2; n = 1, 2), useful as aldose reductase inhibitors and thus in treatment of diabetes mellitus complications (no data), were prepared Condensing 5,2-Cl(BrCH2)C6H3CO2Et with PhCH2NHCH2CO2Et in refluxing Et2O containing NEt3 gave 61% 4,2-Cl(EtO2C)C6H3CH2N(CH2Ph)CH2CO2Et which cyclized with NaOEt in refluxing EtOH 1 h to give 80% isoquinolone II (R = CO2Et). This was decarbethoxylated in refluxing aqueous alc. 10N HCl in 12 h to give 69% II (R = H), which was cyclized with KCN and (NH4)2CO3 in EtOH at 115 $^{\circ}$ (autoclave) to give 76% I (R1 = 6-Cl, R2 = CH2Ph, n = 1).

IT 19301-11-2

RL: RCT (Reactant); RACT (Reactant or reagent)
 (acetylation of)

RN 19301-11-2 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro- (CA INDEX NAME)

IT 56014-59-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and oxidation of)

RN 56014-59-6 CAPLUS

CN Ethanone, 1-(1,2,4,5-tetrahydro-1-hydroxy-3H-3-benzazepin-3-yl)- (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 51 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1983:34483 CAPLUS

DOCUMENT NUMBER: 98:34483
ORIGINAL REFERENCE NO.: 98:5393a,5396a
TITLE: The synthesis of

7,8-dimethoxy-1-(3,4-dimethoxybenzyl)-2,3-dihydro-1H-3-

benzazepine and related compounds

AUTHOR(S): Newton, Roger F.; Sainsbury, Malcolm; Stanley, Paul L.

R.

CORPORATE SOURCE: Glaxo Group Res. Ltd., Ware/Herts., SG12 0DJ, UK

SOURCE: Heterocycles (1982), 19(11), 2037-40

Ι

II

CODEN: HTCYAM; ISSN: 0385-5414

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 98:34483

GΙ

AB The title compound (I) was prepared from phenylacetonitrile derivative II (R = cyano) (III) in a series of reactions. III was reduced, the II (R = CH2NH2) product reacted with BrCH2CH(OEt)2 to yield II [R = CH2NHCH2CH(OEt)2], and the latter was cyclized in HCl to give I.

IT 84122-17-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 84122-17-8 CAPLUS

CN 1H-3-Benzazepine, 1-[(3,4-dimethoxyphenyl)methyl]-2,3,4,5-tetrahydro-7,8-dimethoxy- (CA INDEX NAME)

L20 ANSWER 52 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1981:156775 CAPLUS

DOCUMENT NUMBER: 94:156775

ORIGINAL REFERENCE NO.: 94:25629a,25632a

TITLE: Substituted 1-thienyl and

furyl-2,3,4,5-tetrahydro-1H-3-benzazepine compounds

INVENTOR(S): Holden, Kenneth G.; Yim, Nelson C. PATENT ASSIGNEE(S): Smith Kline and French Canada Ltd., Can.

SOURCE: Can., 35 pp.

SOURCE: Can., 35 pp.
CODEN: CAXXA4

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
CA 1090797	A1	19801202	CA 1978-305809		19780620
AU 7837471	A	19800103	AU 1978-37471		19780626
AU 515236	В2	19810326			
PRIORITY APPLN. INFO.:			CA 1978-305809	A	19780620
GT					

$$R^{20}$$
 R^{1}
 R^{20}
 R^{30}
 R^{4}
 R^{4}
 R^{20}
 R^{30}
 R^{1}
 R^{20}
 R^{1}
 R^{20}
 R^{1}
 R^{20}
 R^{1}
 R^{20}
 R^{1}
 R^{20}
 R^{20}

- AB Benzazepines I (R = H, CH2Ph, CH2CH2Ph, alkanoyl, alkyl, CH2CH2OH, alkenyl; R1 = H, halogen, CF3, SMe, SCF3, Me, OMe; R2, R3 = H, alkyl, alkanoyl; R2R3 = CH2, CH2CH2; R4 = H, halogen, CH2CN, Me, CO2Me; X = O, S) were prepared Thus 2-thiophenecarboxaldehyde was treated with Me3S+I- to give 2-epoxyethylthiophene, which was treated with 3,4-(MeO)2C6H3CH2CH2NH2 and cyclized with acid to give II (R2 = R3 = Me). Demethylation of II (R2 = R3 = Me) with BBr3 gave II.HBr (R2 = R3 = H), which caused a 30% decrease in renal vascular resistance at 30 μ g/kg i.v. in dogs and was diuretic at 10 μ g/kg min i.v. in dogs.
- RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and debenzylation of)

- RN 68277-45-2 CAPLUS
- CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-7,8-dimethoxy-3-(phenylmethyl)-(CA INDEX NAME)

IT 77222-50-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and demethylation of)

RN 77222-50-5 CAPLUS

CN Benzoic acid, 4-(2-furanyl)-3-[(2,3,4,5-tetrahydro-7,8-dimethoxy-1H-3-benzazepin-1-yl)methyl]-, methyl ester (CA INDEX NAME)

IT 14165-92-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with methylthiophene)

RN 14165-92-5 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-7,8-dimethoxy- (CA INDEX NAME)

IT 14165-92-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with thiophene)

RN 14165-92-5 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-7,8-dimethoxy- (CA INDEX NAME)

L20 ANSWER 53 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1981:65492 CAPLUS

DOCUMENT NUMBER: 94:65492

ORIGINAL REFERENCE NO.: 94:10673a,10676a TITLE: 1-(2'-Thienyl)- and

1-(2'-furyl)-2,3,4,5-tetrahydro-1H-3-benzazepines and

their salts

PATENT ASSIGNEE(S): Smithkline Corp., USA

SOURCE: Austrian, 10 pp. CODEN: AUXXAK

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AT 359511	В	19801110	AT 1978-4915	19780706
AT 7804915	Α	19800415		
PRIORITY APPLN. INFO.:			AT 1978-4915	19780706
GI				

The title compds. [I; R = H, aliphatic group, HOCH2CH2, PhCH2, PhCH2CH2; R1 = H, halogen, CF3, SMe, SCF3, Me, OMe; R2, R3 = H, alkyl, acyl; R2R3 = CH2, CH2CH2; R4 = (substituted) 2-thienyl or 2-furyl] were prepared for use as antihypertensives (test data tabulated) and anti-Parkinson agents. Thus, I (R-R3 = H, R4 = OH) reacted with thiophene in CF3CO2H to give 81% I (R-R3 = H, R4 = 2-thienyl).

IT 56014-60-9

RL: RCT (Reactant); RACT (Reactant or reagent)
 (methoxylation-hydrogenation of)

RN 56014-60-9 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-3-(phenylmethyl)- (CA INDEX NAME)

IT 14165-92-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with thiophene)

RN 14165-92-5 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-7,8-dimethoxy- (CA INDEX NAME)

IT 68277-45-2

RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with furan)

RN 68277-45-2 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-7,8-dimethoxy-3-(phenylmethyl)-(CA INDEX NAME)

$$\begin{array}{c|c} \text{OMe} \\ \text{OH} \end{array}$$

L20 ANSWER 54 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1981:47240 CAPLUS

DOCUMENT NUMBER: 94:47240
ORIGINAL REFERENCE NO.: 94:7709a,7712a
TITLE: Synthesis of the

3,4,6,7-tetrahydro-1H-1,5-methano-2,5-benzoxazonine ring system by cyanogen bromide-mediated rearrangement

of a 10b-methyl-5H-oxazolo[2,3-a] isoquinoline

derivative

AUTHOR(S): Bremner, John B.; Winzenberg, Kevin N.

CORPORATE SOURCE: Dep. Chem., Univ. Tasmania, Hobart, 7001, Australia

SOURCE: Heterocycles (1980), 14(8), 1085-8

CODEN: HTCYAM; ISSN: 0385-5414

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 94:47240

GΙ

RN

AB The methanobenzoxazonines I (R = MeO, CN) were prepared in 76% and 4% yield, resp., by the reaction of the oxazoloisoquinoline II with cyanogen bromide in the presence of MeOH and K2CO3. Acid hydrolysis of I (R = MeO), followed by reduction with LiAlH4, afforded

3-(2-hydroxyethyl)-7.8-dimethoxy-2.3.4.5-tetrahydro-1H-3-benzazepin-1-ol

3-(2-hydroxyethyl)-7,8-dimethoxy-2,3,4,5-tetrahydro-1H-3-benzazepin-1-ol in good yield.

IT 76254-18-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) 76254-18-7 CAPLUS

CN 3H-3-Benzazepine-3-ethanol, 1,2,4,5-tetrahydro-1-hydroxy-7,8-dimethoxy-(CA INDEX NAME)

$$\begin{array}{c|c} \text{OH} & \text{OMe} \\ \\ \text{HO-CH}_2\text{-CH}_2 & \text{N} & \text{OMe} \end{array}$$

L20 ANSWER 55 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1980:446454 CAPLUS

DOCUMENT NUMBER: 93:46454
ORIGINAL REFERENCE NO.: 93:7671a,7674a

TITLE: Substituted 1-thienyl- and

fury1-2,3,4,5-tetrahydro-1H-3-benzazepine derivatives

with cardiovascular activity

PATENT ASSIGNEE(S): Smithkline Corp., USA SOURCE: Neth. Appl., 34 pp.

CODEN: NAXXAN

DOCUMENT TYPE: Patent LANGUAGE: Dutch FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 7807819	A	19800123	NL 1978-7819	19780721
PRIORITY APPLN. INFO.:			NL 1978-7819	19780721
GI				

Benzazepines I (R = H, CH2Ph, CH2CH2Ph, acyl, alkyl, alkenyl, CH2CH2OH; R1 = H, halogen, CF3, SMe, SCF3, Me, OMe; R2, R3 = H, alkyl, alkanoyl; R2R3 = CH2, CH2CH2; R4 = optionally substituted thienyl, furyl) were prepared Thus 2-formylthiophene was treated with trimethylsulfonium iodide to give 2-oxiranylthiophene which was treated with homoveratrylamine to give II. Cyclization of II with acid gave I (R = R1 = H, R2 = R3 = Me, R4 = 2-thienyl). Demethylation of the latter compound gave I (R-R3 = H, R4 = 2-thienyl), which at 30 mg/kg in dogs caused a 30% decrease in renal blood vessel resistance. I also have antihypertensive, anti-Parkinson, and diuretic activity.

IT 68277-45-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and hydrogenolysis of)

RN 68277-45-2 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-7,8-dimethoxy-3-(phenylmethyl)-(CA INDEX NAME)

$$\begin{array}{c|c} \text{OMe} \\ \text{OH} \\ \end{array}$$

IT 14165-92-5

RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with thiophene)

RN 14165-92-5 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-7,8-dimethoxy- (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L20 ANSWER 56 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1979:121445 CAPLUS

DOCUMENT NUMBER: 90:121445

ORIGINAL REFERENCE NO.: 90:19223a,19226a

TITLE: 2,3,4,5-Tetrahydro-1H-3-benzazepine-7,8-diones

INVENTOR(S): Holden, Kenneth George PATENT ASSIGNEE(S): Smithkline Corp., USA

SOURCE: U.S., 8 pp. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4108989	A	19780822	US 1977-783574	19770401
US 4172890	A	19791030	US 1978-904823	19780511
PRIORITY APPLN. INFO.:			US 1977-783574	A3 1 9770401
ASSIGNMENT HISTORY FOR U	JS PATEN	T AVAILABLE	IN LSUS DISPLAY	FORMAT
OTHER SOURCE(S):	MARPAT	90:121445		
GI				

- AB Benzazepinediones I [R = H, halo; R1 = C1-C5 alkyl or alkanoyl, PhCH2, PhCH2CH2, CO2CH2Ph, HOCH2CH2; R2 = (un)substituted phenyl] or their salts having dopaminergic activity (no data) were prepared Thus, I.HBr (R = R1 = H, R2 = Ph) was prepared by oxidation of the 7,8-dihydroxy compound with 2,3-dichloro-5,6-dicyano-1,4-benzoquinone in MeOH. Approx. 15 other I were similarly prepared The starting diols are prepared by known methods.

 IT 14165-92-5
 - RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with thiophene)
- RN 14165-92-5 CAPLUS
- CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-7,8-dimethoxy- (CA INDEX NAME)

L20 ANSWER 57 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1978:597353 CAPLUS

DOCUMENT NUMBER: 89:197353

ORIGINAL REFERENCE NO.: 89:30671a,30674a TITLE: 1-Thienyl- and

1-furyl-2,3,4,5-tetrahydro-1H-3-benzazepines INVENTOR(S): Holden, Kenneth George; Yim, Nelson Chia Fai

PATENT ASSIGNEE(S): Smithkline Corp., USA SOURCE: Ger. Offen., 31 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
	DE 2804285	 A1	19780803	DE 1978-2804285		19780201
				DE 1970 2004205		19100201
	DE 2804285	C2	19880107			
	US 4111957	A	19780905	US 1977-764672		19770202
	GB 1599705	A	19811007	GB 1978-2628		19780123
	FR 2379534	A1	19780901	FR 1978-2275		19780127
	FR 2379534	В1	19811127			
	JP 53095989	A	19780822	JP 1978-9812		19780130
	JP 63041912	В	19880819			
	US 4187314	A	19800205	US 1978-909073		19780524
	IL 54975	A	19821231	IL 1978-54975		1 9780622
	CH 636871	A5	19830630	CH 1978-7339		19780705
	FR 2383929	A1	19781013	FR 1978-20342		19780707
	FR 2383929	В1	19810731			
	JP 62161764	A	19870717	JP 1986-288630		19861203
EOI	RITY APPLN. INFO.	:		US 1977-764672	Α	1 9770202

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): CASREACT 89:197353; MARPAT 89:197353

GΙ

AB The title compds. I (R = H, C1-5 alkyl or alkanoyl, C3-5 alkenyl, OH, PhCH2, PhCH2CH2; R1 = H, halo, CF3, Me, MeO, MeS, F3CS; R2 = R3 = H, lower alkyl or alkanoyl; R2R3 = CH2, CH2CH2; R4 = H, halo, CH2CN, Me, CO2Me; X = O, S) were prepared for use as pharmaceuticals. Thus, treating homoveratrylamine with 2-epoxyethylthiophene, and then cyclizing in HC1-HOAc gave 96% I (R = R1 = R4 = H, R2 = R3 = Me, X = S, 2-thienyl). I

are useful as renal vasodilators, diuretics, and anti-Parkinson's agents (animal tests described).

IT 68277-45-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deblocking of)

RN 68277-45-2 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-7,8-dimethoxy-3-(phenylmethyl)-(CA INDEX NAME)

IT 14165-92-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with thiophene)

RN 14165-92-5 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-7,8-dimethoxy- (CA INDEX NAME)

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L20 ANSWER 58 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1976:592530 CAPLUS

DOCUMENT NUMBER: 85:192530

ORIGINAL REFERENCE NO.: 85:30786h,30787a

TITLE: Seven-membered heterocycles. 20th Communication.

1-Aralkylated tetrahydro-2-benzazepines. Part III.

Synthesis from $\beta\text{-tetralones}$

AUTHOR(S): Berney, Daniel; Schuh, Karlheinz

CORPORATE SOURCE: Sandoz Res. Unit, Wander Ltd., Bern, Switz. SOURCE: Helvetica Chimica Acta (1976), 59(6), 2059-67

CODEN: HCACAV; ISSN: 0018-019X

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 85:192530

 GI

$$\mathbb{C}$$
 \mathbb{R}^2 \mathbb{R}^2 \mathbb{R}^1 \mathbb{R}^1 \mathbb{R}^1 \mathbb{R}^1 \mathbb{R}^1

AB Benzazepinones I (X = NHCO, R1 = R2 = H, OMe, R2 = H, NO2; R = C1, R1 = R2 = H) were prepared by Schmidt reaction of the tetralones I (X = C0). Beckmann reaction of I (X = C0) gave I (X = C0NH). LiAlH4 reduction gave I (X = NHCH2, CH2NH), which was subjected to N-methylation, reduction of the NO2 group and Pschorr reaction of I (X = NMeCH2, CH2NMe, R2 = NH2) to give the phenanthroazepines II (X = NMeCH2, CH2NMe). IT 61034-82-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and Pschorr reaction of)

RN 61034-82-0 CAPLUS

CN Benzenamine, 2-[(2,3,4,5-tetrahydro-3-methyl-1H-3-benzazepin-1-yl)methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

CMF C17 H18 Cl N

RN 61034-76-2 CAPLUS

1,5-Naphthalenedisulfonic acid, compd. with
1-[(4-chlorophenyl)methyl]-2,3,4,5-tetrahydro-1H-3-benzazepine (1:1) (CA INDEX NAME)

CM 1

CRN 61034-75-1

CM 2

CRN 81-04-9 CMF C10 H8 O6 S2

RN 61034-77-3 CAPLUS CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-[(2-nitrophenyl)methyl]- (CA INDEX NAME)

INDEX NAME)

CM 1

CRN 61034-78-4 CMF C18 H21 N

$$\begin{array}{c|c} & & \\ \text{Me} & & \\ & &$$

CM 2

CRN 81-04-9 CMF C10 H8 O6 S2

RN 61034-80-8 CAPLUS

CN 1H-3-Benzazepine, 1-[(4-chlorophenyl)methyl]-2,3,4,5-tetrahydro-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 61034-81-9 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-methyl-1-[(2-nitrophenyl)methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L20 ANSWER 59 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1975:170644 CAPLUS

DOCUMENT NUMBER: 82:170644

ORIGINAL REFERENCE NO.: 82:27261a,27264a

TITLE: Azabenzocycloheptenones. XVIII. Amines and amino ketones of the tetrahydro-3-benzazepin-1-one series AUTHOR(S): Lennon, Mary; McLean, Angus; Proctor, George R.;

Sinclair, Ian W.

CORPORATE SOURCE: Dep. Pure Appl. Chem., Univ. Strathclyde, Glasgow, UK SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999)

(1975), (7), 622-6

CODEN: JCPRB4; ISSN: 0300-922X

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 82:170644
GI For diagram(s), see printed CA Issue.

AB N-tolylsulfonyl alc. I with Na in NH3 gave amino alc. II which after conversion to the N-benzyloxycarbonyl derivative was oxidized with dipyridine-chromium oxide to give the (benzyloxycarbonyl)benzazepinone III. Deprotection gave the parent compound IV. Reductive methylation of the hydroxy amine II gave the N-Me derivative, which on oxidation with active MnO2 gave the N-methylbenzazepinone V.

TT 19301-11-2P 35613-12-8P 56014-59-6P 56014-60-9P 56014-61-0P 56014-65-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 19301-11-2 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro- (CA INDEX NAME)

RN 35613-12-8 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-3-methyl- (CA INDEX NAME)

RN 56014-59-6 CAPLUS

CN Ethanone, 1-(1,2,4,5-tetrahydro-1-hydroxy-3H-3-benzazepin-3-yl)- (CA INDEX NAME)

RN 56014-60-9 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-3-(phenylmethyl)- (CA INDEX NAME)

RN 56014-61-0 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-1-hydroxy-, phenylmethyl ester (CA INDEX NAME)

RN 56014-65-4 CAPLUS

CN 1H-3-Benzazepinium, 2,3,4,5-tetrahydro-1-hydroxy-3,3-dimethyl-, iodide (1:1) (CA INDEX NAME)

• I-

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L20 ANSWER 60 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1975:72813 CAPLUS

DOCUMENT NUMBER: 82:72813

ORIGINAL REFERENCE NO.: 82:11631a,11634a
TITLE: Benzazepines

INVENTOR(S): Walter, Lewis A.; Chang, Wei K.

PATENT ASSIGNEE(S): Scherico Ltd.

SOURCE: Patentschrift (Switz.), 8 pp.

CODEN: SWXXAS

DOCUMENT TYPE: Patent LANGUAGE: German FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 555831 PRIORITY APPLN. INFO.:	 A	19741115	CH 1967-2477	19670217 19670217

GI For diagram(s), see printed CA Issue.

AB Ten benzazepines I (R = H, Me; R1 = allyl, Me, CH2CH2OH, NR1 = N+Me2I-; R2 = H, Me; R3 = HO, MeO; R4 = H, HO, MeO; Z = H2) and I (R = R1 = R2 = R4 = H, R3 = MeO, Z = O) (II), useful as antibacterials, antidepressants, analgesics, and antihypertensives (no data) were prepared by cyclization of hydroxybis(phenethyl)amines or phenethylcarboxamides. Thus, styrene oxide heated with Ph-CH2CH2NH2 12 hr on a steam bath gave PhCH(OH)CH2NHCH2CH2Ph which cyclized with H2SO4 to give I (R = R1 = R2 = R3 = R4 = H, Z = H2). m-MeOC6H4CH2CH2NH2 and Et mandelate gave

N-(m-methoxyphenethyl)mandelamide, cyclized with polyphosphoric acid to give II, which was reduced to the Z=H2 analog with LiAlH4. Reactive sites of I permitted further substitution.

IT 20012-03-7P 20012-04-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

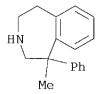
(preparation of)

RN 20012-03-7 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl- (CA INDEX NAME)

RN 20012-04-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

L20 ANSWER 61 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1973:418604 CAPLUS

DOCUMENT NUMBER: 79:18604
ORIGINAL REFERENCE NO.: 79:2987a,2990a

TITLE: 1-Phenyl-2,3,4,5-tetrahydro-1H-3-benzazepines

PATENT ASSIGNEE(S): Scherico Ltd. SOURCE: Fr. M., 25 pp. CODEN: FMXXAJ

DOCUMENT TYPE: Patent LANGUAGE: French FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE
FR 8369 19710222 FR 1967-96572 19670227

OTHER SOURCE(S): MARPAT 79:18604
GI For diagram(s), see printed CA Issue.

AB Benzazepines I (R = R1 = R4 = H, R2 = R3 = H, OMe; R = allyl, CH2CH2OH, R1-R4 = H; R = Me.MeI, H.HBr, R1 = R4 = H, R2 = R3 = OMe; R = R2-R4 = H, R1 = Me; R-R3 = H, R4 = Me; R-R2 = R4 = H, R3 = OMe) were prepared Thus styrene oxide was treated with PhCH2CH2NH2 to give PhCH2CH2NHCH2CH(OH)Ph, which was cyclized to I (R-R4 = H) with H2SO4.

IT 20012-03-7P 20012-04-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 20012-03-7 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl- (CA INDEX NAME)

RN 20012-04-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

L20 ANSWER 62 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1972:85676 CAPLUS

DOCUMENT NUMBER: 76:85676

ORIGINAL REFERENCE NO.: 76:13779a,13782a

TITLE: Synthesis of 1-oxo- and 1-hydroxyazabenzocycloalkanes

AUTHOR(S): Schlademan, James; Partch, Richard

CORPORATE SOURCE: Clarkson Coll. Technol., Potsdam, NY, USA

SOURCE: Journal of the Chemical Society, Perkin Transactions

1: Organic and Bio-Organic Chemistry (1972-1999)

(1972), (2), 213-15

CODEN: JCPRB4; ISSN: 0300-922X

DOCUMENT TYPE: Journal LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB The intramol. Friedel-Crafts cyclization of 7 substituted glycines was studied; e.g. 2,3,4,5-tetrahydro-3-(phenylsulfonyl)-1H-3-benzazepin-1-one

(I, n = 2) was obtained from Ph(CH2) 2N(SO2Ph) CH2COCl at -10°,

3,4,5,6-tetrahydro-3-(phenylsulfonyl)-3-benzazocin-1(2H)-one (I, n = 3)

from Ph(CH2)3N(SO2Ph)CH2COCl at 15°, and

1,2,3,4-tetrahydro-2-(phenylsulfonyl)isoquinolin-4-one (I, n = 1) from

PhCH2N(SO2Ph)CH2COCl at -10°.

IT 35613-12-8P 35613-13-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 35613-12-8 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-3-methyl- (CA INDEX NAME)

RN 35613-13-9 CAPLUS

CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-3-methyl-, compd. with 2,4,6-trinitrophenol (1:1) (CA INDEX NAME)

CM 1

CRN 35613-12-8 CMF C11 H15 N O

CM 2

CRN 88-89-1 CMF C6 H3 N3 O7

$$\begin{array}{c|c} \mathsf{O_2N} & & \mathsf{NO_2} \\ \hline & \mathsf{OH} \\ & \mathsf{NO_2} \end{array}$$

L20 ANSWER 63 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1971:141586 CAPLUS

DOCUMENT NUMBER: 74:141586

ORIGINAL REFERENCE NO.: 74:22875a,22878a

TITLE: 2,3,4,5-Tetrahydro-1H-3-benzazepines as pharmaceutical

intermediates

INVENTOR(S): Hoegerle, Karl; Habicht, Ernst

PATENT ASSIGNEE(S): CIBA-Geigy A.-G.

SOURCE: Patentschrift (Switz.), 5 pp.

CODEN: SWXXAS

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
CH 500194	A	19701215	CH 1968-500194		19680215
PRIORITY APPLN. INFO.:			CH 1968-2261	A	19680215

GI For diagram(s), see printed CA Issue.

AB The title products (I), which are suitable as pharmaceutical intermediates, are prepared Thus, styrene or a derivative is treated with ethylenimine and Na to obtain a 1-phenyl-2-aziridinoethane (II) which HCl in MeOH yields a N-(2-chloroethyl)phenethylamine hydrochloride. This is heated with AlCl3 or another Lewis acid to obtain I.

IT 23166-93-0P 23266-24-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 23166-93-0 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(1-methylethyl)- (CA INDEX NAME)

RN 23266-24-2 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L20 ANSWER 64 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1970:425331 CAPLUS

DOCUMENT NUMBER: 73:25331

ORIGINAL REFERENCE NO.: 73:4210h,4211a

TITLE: 1-Phenyl-2,3,4,5-tetrahydro-1H-3-benazepines

INVENTOR(S): Walter, Lewis A.; Chang, Wei K.

PATENT ASSIGNEE(S): Scherico Ltd.

SOURCE: Brit. Amended, 13 pp.

CODEN: BSXXAH

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1118688		19690415	GB 1967-7632	19670217

AB Same disclosure. This amendment excludes

1-phenyl-2,3,4,5-tetrahydro-1H-3-benzazepine and

1-phenyl-7,8-diethoxy-2,3,4,5-tetrahydro-1H-3-benzazepine from the prepns. and claims.

IT 20012-03-7P 20012-04-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 20012-03-7 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl- (CA INDEX NAME)

RN 20012-04-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

L20 ANSWER 65 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1970:31646 CAPLUS

DOCUMENT NUMBER: 72:31646
ORIGINAL REFERENCE NO.: 72:5785a,5788a

TITLE: 2,3,4,5-Tetrahydro-1H-3-benzazepines

INVENTOR(S): Yardley, John P.; Smith, Herchel; Rees, Richard W.

PATENT ASSIGNEE(S): American Home Products Corp.

SOURCE: Ger. Offen., 53 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
	DE 1921861	A	19691120	DE 1969-1921861		19690429
	GB 1268943	A	19720329	GB 1969-1268943		19690418
	JP 50000678	В	19750110	JP 1969-33149		19690428
	BE 732314	A	19691029	BE 1969-732314		19690429
	NL 6906604	A	19691031	NL 1969-6604		19690429
	FR 2007563	A5	19700113	FR 1969-13713		19690429
	US 3849403	A	19741119	US 1972-239394		19720329
)	RITY APPLN.	INFO.:		US 1968-725135	A	19680429

GI For diagram(s), see printed CA Issue.

AB Title compds. (I) were prepared by LiAlH4 reduction of the diones (II). o-C6H4(CMe2CO2H)2 (18 g) and 75 ml concentrated aqueous NH3 was heated to boiling,

concentrated, and the temperature increased to 290° during 1 hr to give 12 g II (R = R1 = Me, R2 = H), m. 142-4° (Me2CO), which (10 g) in 200 ml tetrahydrofuran (THF) was refluxed 44 hr with 12.5 g LiAlH4 in 400 ml 3:1 Et2O-THF to give 8.7 g I (R = R3 = H, R1 = R2 = Me) (III), m. 77-80° (purified by sublimation); HCl salt m. 275° (CH2Cl2-Me2CO). Similarly prepared via II were the following I (R = R3 = H) (R1 and R2 given; no phys. consts. reported): Me, Et; iso-Pr, iso-Pr; n-hexyl, n-hexyl; (R1R2 =) (CH2)2; (R1R2 =) (CH2)6.

 α,α' -o-Phenylenediisobutyric anhydride (IV) (5 g) in 100 ml Et20 was treated with 5 g H2N(CH2)3NMe2 2 hr at room temperature, Et20 distilled in

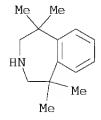
vacuo, and the residue heated 20 min at 250° to give 6 g II [R = R1 = Me, R2 = (CH2)3NMe2] (V), HCl salt m. 191-2° (Me2CO). LiAlH4 reduction of V gave I [R = H, R1 = R2 = Me, R3 = (CH2)3NMe2], di-HCl salt hemihydrate m. 240-1° (MeOH-Et2O). III from 5 g III.HCl and 3 g finely powdered 3,5-dimethylpyrazolecarboxamidine nitrate was heated 25 min at 130-2° and the temperature increased to 180° during 25 min to give 0.7 g I.HNO3.1.25H2O [R = H, R1 = R2 = Me, R3 = C(:NH)NH2], m. 184° (Me2CO-hexane), and 1 g 4,5-benzo-

3,3,6,6-tetramethyl-1-azacycloheptenyl nitrate. Anhydrous Cl3CCHO (1.35 g) was added during 20 min to 1.3 g III in 3 ml CHCl3 in an ice-Me2CO bath, the mixture stirred under N 1 day at room temperature and refluxed 30 min to

1.2 g I (R = H, R1 = R2 = Me, R3 = CHO), m. $116-17^{\circ}$ (hexane). The following I (R = H, R1 = R2 = Me) were prepared from III or its HCl salt by standard methods (R3, m.p., and m.p. HCl salt given): CH2-CO2Bu-tert, $50-2^{\circ}$ (aqueous MeOH), ; NO (VI), $109-11^{\circ}$ (Me2CO), ; Bz (VII), $135-7^{\circ}$ (hexane), ; allyl, , 224° (Me2CO); CH2C.tplbond.CH, , $226-7^{\circ}$ (Me2CO -CH2Cl2); CH2CH:CMe2, , $210-11^{\circ}$ (decomposition)

give

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(Me2CO); CH2CH:CHCl, , ; (CH2)2C6H4NO2-p (VIII), , ; (CH2)2OH (IC), , . VII (3.5 g) in 5 ml AcOH treated at 0-5° with 11 ml fuming HNO3
in 6 ml AcOH gave 4 g I (R = NO2, R1 = R2 = Me, R3 = Bz), m.
168-71° (Me2CO-hexane)8 which was hydrogenated over Pd-C to give I
(R = NH2, R1 = R2 = Me, R3 = Bz), HCl salt m. 243-5°. VI was
reduced with LiAlH4 to give I (R = H, R1 = R2 = Me, R3 = NH2), HCl salt m.
218-20° (Me2CO-Et2O). LiAlH4 reduction of the corresponding I (R3 =
acyl) gave the following I (R1 = R2 = Me) (R, R3, m.p., and m.p. HCl salt
given): H, Me, o 216-18° (Me2CO); H, CH2Ph, , 245°
(Me2CO-CH2Cl2); NH2, CH2Ph (X), 90-2° (hexane), ; H, cyclopropylmethyl, , ; H, n-C5H11, , ; H, (CH2)3OMe,
                                                            , ; H, (CH) 3Cl
(XI), , . Treatment of XI in a pressure vessel 8 hr at 80^{\circ} with
a large excess of the corresponding amine gave the following I (R = H, R1
= R2 = Me) (R3 given; no phys. consts. reported): (CH2)3NH2; (CH2)3NHMe;
( CH2) 3NEt2. X was diazotized and hydrolyzed and the product purified on
neutral Al2O3 to give I (R = OH, R1 = R2 = Me, R3 = CH2Ph) (XII), HCl salt
m. 250-5^{\circ} (decomposition) (MeOH-Et2O). Heated with Ac2O, IX and XII
gave, resp., the following I (R1 = R2 = Me) (R \text{ and } R3 \text{ given}): H,
(CH2)20Ac; AcO, CH2Ph. PhNMe3+Cl- (17.2 g) in 25 ml absolute MeOH was treated
with a solution of 2.25 g. Na in MeOH, NaCl filtered off, and 25 g XII in
PhMe added to the filtrate to give I (R = MeO, R1 = R2 = Me, R3 = CH2Ph)
R2 = Me, R3 = H) (R given): OH; OMe. Hydrogenation over Pd-C gave the
following I (R1 = R2 = Me) (starting compound, R, and R3 given): VIII, H,
(CH2)2C6H4NH2-p; XII, OH, H. Ph(CH2)2NH2 (2.2 g) was added to 2 g IV in
50 ml Et2O to give 3.2 g o-Ph-(CH2) 2NHCOCMe2C6H4CMe2CO2H, m. 122-3
(Me2CO-hexane), which (2 g) was heated 30 min at 230^{\circ} under N, the
cooled melt refluxed 40 hr with 2 g LiAlH4 in 160 ml 1:1 Et2O-THF, and the
product in Et20 treated with HCl in iso-PrOH to give 1.24 g I.HCl [R = H,
R1 = R2 = Me, R3 = (CH2)2Ph, m. 215-18° (Me2CO-hexane). I are
nontoxic analgesics.
                24782-75-0P
24782-74-9P
                                 24782-76-1P
24782-77-2P
                24782-78-3P
                                 24782-79-4P
24782-82-9P
                24782-83-0P
                                 24782-84-1P
24782-85-2P
                24782-86-3P
                                 24782-87-4P
24782-88-5P
                24782-89-6P
                                 24782-90-9P
24782-91-0P
                24782-94-3P
                                 24802-72-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
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(preparation of)

24782-74-9 CAPLUS

IT

RN

CN

RN 24782-75-0 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,1,5,5-tetramethyl-, hydrochloride
(1:1) (CA INDEX NAME)

1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,1,5,5-tetramethyl- (CA INDEX NAME)

● HCl

RN 24782-76-1 CAPLUS

CN 3H-3-Benzazepine-3-carboxaldehyde, 1,2,4,5-tetrahydro-1,1,5,5-tetramethyl-(CA INDEX NAME)

RN 24782-77-2 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,1,3,5,5-pentamethyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 24782-78-3 CAPLUS

CN 3H-3-Benzazepine-3-carboximidamide, 1,2,4,5-tetrahydro-1,1,5,5-tetramethyl-, nitrate (1:1) (CA INDEX NAME)

CM 1

CRN 46857-12-9 CMF C15 H23 N3

CM 2

CRN 7697-37-2 CMF H N O3

$$O = N - OH$$

RN 24782-79-4 CAPLUS

CN 3H-3-Benzazepine-3-acetic acid, 1,2,4,5-tetrahydro-1,1,5,5-tetramethyl-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 24782-82-9 CAPLUS

CN Methanone, phenyl(1,2,4,5-tetrahydro-1,1,5,5-tetramethyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)

RN 24782-83-0 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,1,5,5-tetramethyl-3-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 24782-84-1 CAPLUS

CN Methanone, phenyl(1,2,4,5-tetrahydro-1,1,5,5-tetramethyl-7-nitro-3H-3-benzazepin-3-yl)- (CA INDEX NAME)

RN 24782-85-2 CAPLUS

CN Methanone, (7-amino-1,2,4,5-tetrahydro-1,1,5,5-tetramethyl-3H-3-benzazepin-3-yl)phenyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 24782-86-3 CAPLUS

CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-1,1,5,5-tetramethyl-3-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 24782-87-4 CAPLUS

CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-1,1,5,5-tetramethyl- (CA INDEX NAME)

RN 24782-88-5 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,1,5,5-tetramethyl-3-(2-propen-1-yl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 24782-89-6 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,1,5,5-tetramethyl-3-(2-propyn-1-yl)-(CA INDEX NAME)

RN 24782-90-9 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,1,5,5-tetramethyl-3-(3-methyl-2-buten-1-yl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 24782-91-0 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,1,5,5-tetramethyl-3-(2-phenylethyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 24782-94-3 CAPLUS

CN 3H-3-Benzazepine-3-propanamine, 1,2,4,5-tetrahydro-N,N,1,1,5,5-hexamethyl-, hydrochloride (1:2) (CA INDEX NAME)

●2 HCl

RN 24802-72-0 CAPLUS CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-1,1,5,5-tetramethyl-3-(phenylmethyl)- (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L20 ANSWER 66 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1969:461251 CAPLUS

DOCUMENT NUMBER: 71:61251

ORIGINAL REFERENCE NO.: 71:11275a,11278a

TITLE: Tetrahydrobenzazepines

INVENTOR(S): Hoegerle, Karl; Habicht, Ernst

PATENT ASSIGNEE(S): Geigy, J. R., A.-G. SOURCE: S. African, 18 pp. CODEN: SFXXAB

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 6801	019		19681031	ZA	
CH 4811	10			CH	
CH 4887	05			CH	
DE 1668	915			DE	
DE 1695	124			DE	
FR 1561	479			FR	
FR 7915				FR	
GB 1221	324			GB	
GB 1222	397			GB	
US 3652	543		19720328	US	19680215
PRIORITY APP	LN. INFO.:			CH	19670217
				CH	19670818

OTHER SOURCE(S): MARPAT 71:61251

For diagram(s), see printed CA Issue. GΙ

Title compds. and their addition salts were prepared for use as intermediates AB in the preparation of pharmaceuticals. The 7-chloro compds. exhibit anorexic action. Pharmaceutical formulations were described. Thus, 389 g. finely powdered N-[(2-chloroethyl)phenylethylamine]-HCl (I) was heated in an oil bath with 470 g. AlCl3, 12 hrs. at 180°, cooled to 100°, poured onto ice, and worked up to give 2,3,4,5-tetrahydro-1H-3-benzazepine (II), b0·1 65°, n20D 1.565; HCl salt m. 248-50°. Styrene (900 ml.) was added dropwise to 745 ml. ethylenimine and 9 g. Na (the 1st 100 ml. styrene was added quickly, and the rest added at such a rate as to keep the temperature at $40-50^{\circ}$), and the mixture stirred overnight at room temperature and worked up to give 1-phenyl-2-aziridinoethane (III), b0·1 48°, n20D 1.5205. III (100 g.) in 100 ml. MeOH was added dropwise at $10-15^{\circ}$ to 500 ml. MeOH saturated in an ice bath with HCl, and the mixture worked up to give I, m. 188-90° (EtOH-HOAc). N-(2-Chloroethyl)-2-methyl-2-phenylethylamine-HCl treated with AlCl3 as in the preparation of II gave 5-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine (IIIa), b0.6 72°, n20D 1.5580. 1-Phenyl-1-methyl-2-aziridinoethane (281 g.) was added to 800 ml. EtOH saturated with HCl, and the mixture worked up to give N-(2-chloroethyl)-2-methyl-2-phenylethylamine-HCl, m. 178-80°. N-(2-chloroethy1)-2-(p-chloropheny1)ethylamine-HCl (IV) (120 g.) treated with AlCl3 as in the preparation of II gave 7-chloro-2,3,4,5-tetrahydro-1H-3-benzazepine, b0·1 110-15°, n20D 1.5765; HCl salt m. 171-3° (MeCN). IV was prepared as in the preparation of II by treatment of 4-chlorostyrene with Na and ethylenimine, and treatment of the N-[2-(p-chlorophenyl)ethyl]aziridine formed, $b0.\overline{7}$ 93°, n20D 1.5357, with HCl in MeOH to give IV, m. 189-91°

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(MeCN). N-(\beta-Chloro-\beta-phenylethyl) phenylethylamine-HCl (1 q.)
     added portionwise at 150\,^{\circ} to 14~\mathrm{g}. polyphosphoric acid, and the
     mixture kept 0.5 hr. at 150° and worked up gave
     1-phenyl-2,3,-4,5-tetrahydro-1H-3-benzazepine, b. 140-50° (high
     vacuum). Similarly were prepared the following (m.p. HCl salt given):
     N-(1-methyl-2-chloroethyl)phenylethylamine, 160-5°;
     N-(\beta-chloro-\beta-phenylethyl)phenylethylamine, 168-70°;
     N-(2-chlorocyclohexyl)phenylethylamine, 165-7°; N - (2 -
     chloroethyl)-\alpha-methylphenylethylamine, 149-51°; and
     N-(2-chloroethyl)-\beta-methyl-4-isopropylphenylethylamine,
     184-6°. Also prepared were the following
     2,3,4,5-tetrahydro-1H-3-benzazepines: 2-methyl-, b0·2 60°;
     1-phenyl-, b0·01 140-50°; 4-methyl-, b0·2 64°,
     n20D 1.5507; 5-methyl-8-isopropyl-, b0·2 71-2°, n20D 1.5554;
     and 2,3,4,4a,5,6,-7,11b-octahydro-1H-dibenz[b,d]azepine, b0.01
     150-5°.
IT
     23166-93-0P
                      23266-24-2P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of)
RN
     23166-93-0 CAPLUS
     1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(1-methylethyl)- (CA
CN
     INDEX NAME)
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RN 23266-24-2 CAPLUS CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

L20 ANSWER 67 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1968:506576 CAPLUS

DOCUMENT NUMBER: 69:106576

ORIGINAL REFERENCE NO.: 69:19967a,19970a

TITLE: 1-Phenyl-2,3,4,5-tetrahydro-1H,3-benzazepines

INVENTOR(S): Walter, Lewis A.; Chang, Wei K.

PATENT ASSIGNEE(S): Scherico Ltd.
SOURCE: Brit., 15 pp.
CODEN: BRXXAA

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GΙ

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1118688		19680703	GB 1967-7632	19670217
DE 1795540			DE	

For diagram(s), see printed CA Issue.

AB The preparation of the title compds. (I) is described. Thus, a mixture of 82 g.

styrene oxide and 100 q. d-amphetamine was stirred 12 hrs. on a steam bath, then distilled in vacuo to give PhCH2CHMeNHCH2CH(OH)Ph (II), b1 160-80°, m. 53-5° (petroleum ether), [α]25D + 14.6° (c 1, EtOH). II (15 g.) was slowly added to 100 ml. concentrated H2SO4 at 0°, stirred 1 hr., then poured onto ice-H2O, and worked up to give I (R1 = R2 = R4 = R5 = H, R3 = Me) b1 $149-51^{\circ}$, HCl salt, m. $206-7^{\circ}$ (iso-PrOH), [α] 25D -42.0° (c 1, HCONMe2). Similarly were prepared: PhCH2CH2NHCH2CH(OH)Ph; I (R1-R5 = H) (III), m. $78-80^{\circ}$ (hexane), [α] 25D -29.9° (c 1, HCONMe2), phenylsuccinate, m. $180-2^{\circ}$ (90% EtOH), [α]25D + 55.2° (c 1, HCONMe2); 3,4-(MeO)2C6H3CH2CH2NHCH2CH(OH)Ph, m. 95-8°; I (R1 = R2 = MeO, R3 = R4 = R5 = H) (IV), b2 198-200°, HBr salt, m. 283-5°, acid maleate salt, m. 198-200°; PhcH2CH2NHCH2CMe (OH) Ph, b1 160-8°, HCl salt, m. 142-5° (MeCN); and I (R1 = R2 = R3 = R4 = H, R5 = Me), m. $76-9^{\circ}$ (hexane), HCl salt, m. 228-9°. Et mandelate (30 g.) and 25 g. 4-MeOC6H4CH2CH2NH2 were stirred 3 hrs. at 180-90°, and the mixture was cooled to give a precipitate of 4-MeOC6H4CH2CH2NHCOCH(OH)Ph (V), m. 75-6° (Et20). Powdered V (20 g.) was added slowly to 700 g. polyphosphoric acid, the mixture warmed slowly to 100°, the temperature maintained 1 hr., then cooled, poured onto ice-H2O, and worked up to give 1-phenyl-2-oxo-methoxy-2,3,4,5-tetrahydro-1H - 3 - benzazepine (VI), m. 169-71° (EtOAc). To 5 g. LiAlH4 stirred in 200 ml. refluxing dioxane was added dropwise a solution of 10 g. VI in 250 ml. dioxane, refluxing continued 3 hrs., the mixture cooled to 20°, treated dropwise 4 times with 0.5 ml. H2O, 4 times with 0.5 ml. 15% aqueous NaOH, and 13.5 ml. H2O, then stirred 1 hr., the precipitate removed, the filtrate evaporated,

the residue stirred with 100 ml. 5% HCl and 200 ml. Et20, and the resulting solution worked up to give I (R1 = R3 = R4 = R5 = H, R2 = MeO). maleate salt, m. 196-7°. To 750 g. polyphosphoric acid stirred at 60-70° was added 18.1 g. 3,4-(MeO)C6H3CH2CH2NHAc, and, after 10-15 min., 18 g. Et mandelate dropwise in 5-10 min., the mixture heated 1 hr. at 90-5°, then poured into 2.5 kg. ice-H2O, the crude 2,4,5-AcNHCH2CH2(MeO)2C6H2C(OH)(CO2Et)Ph extracted with CHCl3, the exts. washed with H2O and dilute aqueous NaHCO3, then heated in vacuo on a steam bath

to constant weight to give, on crystallization from EtOH, 1-phenyl-7,8-dimethoxy-2-oxo-2,3,4,5-tetrahydro-1H-3-benzazepine (VII), which was reduced with LiAlH4 to give IV. III (6 g.), 2.4 g. CH2:CHCH2Br, 25 g. anhydrous K2CO3, and 250 ml. anhydrous Me2CO were refluxed 14 hrs. with stirring, cooled, the Me2CO distilled off, the residue dissolved in Et2O-H2O, and the organic layer worked up to give the 3-allyl derivative of III, m. 65-8° (hexane), HCl salt, m. 203-5°. A mixture of 6 g. III, 50 ml. EtOH, and 1 g. ethylene oxide was kept several days at room temperature in a stoppered flask, then distilled to give the 3-(β -hydroxyethyl) derivative of III, m. 95-7° (iso-Pr2O). A mixture of 9 g. IV, 15 ml. 37% HCHO, and 23 ml. 90% HCO2H was refluxed 18 hrs., then 5 ml. concentrated HCl in 10 ml. H2O added, the solution evaporated in vacuo on a steam bath, the residue treated with 25 ml. H2O, evaporated, then Et2O and excess aqueous NaOH added,

and

the organic layer worked up to give I (R1 = R2 = MeO, R3 = R5 = H, R4 = Me) (VIII), m. 82-4° (hexane). VIII (5 g.) in 5 ml. EtOH was treated with 5 ml. MeI and kept 15 hrs. at room temperature, precipitating 1-phenyl-3,3-dimethyl-7,8-dimethoxy-2,3,4,5-tetrahydro-1H-3-benzazepinium iodide, m. $246-9^{\circ}$. Refluxing IV with 48% aqueous HBr for 2.5 hrs. under N gave I (R1 = R2 = OH, R3 = R4 = R5 = H) hydrobromide, m. $283-5^{\circ}$. The title compds. have antibacterial, antidepressant, analgesic, and hypotensive activity.

IT 20012-03-7P 20012-04-8P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 20012-03-7 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl- (CA INDEX NAME)

RN 20012-04-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

L20 ANSWER 68 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1968:496507 CAPLUS

DOCUMENT NUMBER: 69:96507

ORIGINAL REFERENCE NO.: 69:18058h,18059a TITLE: Benzazepines

INVENTOR(S): Walter, Lewis A.; Chang, Wei K.

PATENT ASSIGNEE(S): Schering Corp. SOURCE: U.S., 5 pp. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	US 3393192	A	19680716	US 1965-451063	19650426
PRIC	RITY APPLN. INFO.:			US 1965-451063	19650426
GΙ	For diagram(s), see printed CA Issue.				
AB	B Dehydration of $(\beta$ -hydroxyethyl) $(\beta$ -phenylalkyl) amines (I)				
	yields the title compds. (II). A mixture of 100 g. PhCH2CH2NH2 and 82				
styrene oxide (III) kept on a steam bath for 12 hrs., gave					

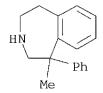
2 g. PhCH2CH2NHCH2CHPhOH (IIIa). To 100 ml. concentrated H2SO4 at 0-5° was added 15 g. IIIa, and the mixture stirred 1 hr. to give II (A = Ph, R = R1 = R2 = X = Y = H) (IIa). From homoveratrylamine and III was prepared 3,4-(MeO)2C6H3CH2CH2NHCH2CHPhOH, m. $95-8^{\circ}$, which was similarly converted to II (A = Ph, R = R1 = R2 = H, X = Y = MeO) (IIb), b2 198-200°; acid maleate m. 198-200°. From 100 g. d-amphetamine and 82 g. III, heated 12 hrs. on a steam bath, was obtained PhCH2CHMeNHCH2CHPhOH (IIIb), b1 160-80°, m. 53-5° (petroleum ether), [α]2D5 14.6° (1%, EtOH). From 15 g. IIIb and 100 ml. concentrated H2SO4 was prepared 4-methyl-1-phenyl-2,3,4,5-tetrahydro-3,1benzazepine, bl 149-51°; hydrochloride, m. 206-7° (iso-PrOH), $[\alpha]$ 2D5 42.0° (1%, Me2NCHO). From 60 g. α -methylstyrene oxide and 66 g. PhCH2CH2NH2 on a steam bath 6 hrs. was prepared PhCH2CH2NHCH2CMePhOH, b1 160-8°; hydrochloride m. 143-5° (MeCN), which was converted to 1-methyl-1-phenyl-2,3,4,5-tetrahydro-3,1-benzazepine, bl 160-60°, m. $76-9^{\circ}$ (C6H14); hydrochloride m. 228-9°. Heating 25 g. p-MeOC6H4CH2CH2NH2 and 30 q. PhCH(OH)CO2Et at 180-90° in 3 hrs. gave PhCH(OH)CONHCH2CH2C6H4OMe-p (IV), m. 75-6°. Dehydration of 20 q. IV by heating with 700 g. polyphosphoric acid at 100° for 1 hr. gave 1-phenyl-2-oxo-7-methoxy-2,3,4,5-tetrahydro-3,1-benzazepine (V) m. 169-71° (EtOAc). Addition of 10 g. V in 250 ml. dioxane to a refluxing suspension of 5 g. LiAlH4 in 200 ml. dioxane and refluxing 3 hrs. gave 1-phenyl-7-methoxy-2,3,4,5-tetrahydro-3,1-benzazepine as maleate, m. 196-7°. Refluxing 6 g. IIa, 2.4 g. CH2:CHCH2Br, and 25 q. anhydrous K2CO3 in 250 ml. anhydrous Me2CO 14 hrs. gave 1-phenyl-3-allyl-2,3,4,5-tetrahydro-3,1-benzazepine, m. 65-8° (C6H14); hydrochloride m. 203-5°. IIa (6 g.), 1 g. ethylene oxide, and 50 ml. EtOH at room temperature several days gave 1-phenyl-3- $(\beta$ -hydroxyethyl)-2,3,4,5-tetrahydro-3,1-benzazepine, m. 95-7° (isopropyl ether). Refluxing 9 g. IIb, 15 ml. 37% CH2O, and 23 ml. 90% HCO2H for 18 hrs. gave 7,8-dimethoxy-3-methyl-1-phenyl-2,3,4,5tetrahydro-3,1-benzazepine (VI), m. 82-4° (C6H14). Action of 5 ml. MeI on 5 g. VI in 5 ml. EtOH at room temperature 15 hrs. gave 7,8-dimethoxy-3,3-dimethyl-1-phenyl-2,3,4,5-tetrahydro-3,1-benzazepinium

iodide, m. $246-9^{\circ}$. Refluxing 15 g. IIb and 110 ml. 48% HBr 2.5 hrs. gave 1-phenyl-7,8-dihydroxy-2,3,4,5-tetrahydro-3,1-benzazepine hydrochloride, m. $283-5^{\circ}$. II and their salts have antibacterial, antidepressant, analgesic, and hypotensive effects.

IT 20012-03-7P 20012-04-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 20012-03-7 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl- (CA INDEX NAME)



RN 20012-04-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

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L20 ANSWER 69 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER:
                         1968:443772 CAPLUS
DOCUMENT NUMBER:
                         69:43772
ORIGINAL REFERENCE NO.:
                         69:8199a,8202a
TITLE:
                         Properties of 2-amino-4-bromo-1H-3-benzazepine and its
                         derivatives
AUTHOR(S):
                         Gardent, Jean; Hazebroucg, Georges
CORPORATE SOURCE:
                         Hop. Paris, Paris, Fr.
SOURCE:
                         Bulletin de la Societe Chimique de France (1968), (2),
                         CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE:
                         Journal
LANGUAGE:
                         French
     For diagram(s), see printed CA Issue.
GΙ
     The title compound (I) acetylated as usual gave
AΒ
     2-acetamido-4-bromo-1H-3-benzazepine, m. 171-2°, which on treatment
     with water gave o-NCCH2C6H4CH2CONHAc (II), m. 136°. II (1 g.)
     refluxed with 2.2 g. semicarbazide-HCl, 2.7 g. NaOAc, and 20 ml. water 15
     hrs. gave 3-(o-cyanomethylbenzyl)-5-methyl-1,2,4-triazole, m. 141°.
     Alkaline hydrolysis of II gave o-C6H4(CH2CO2H)2, m. 150°. Acid
     hydrolysis of II yielded o-NCCH2C6H4CH2CO2H, m. 101°, which on
     hydrogenation gave o-H2NCH2CH2C6H4CH2CO2H, decompose 250-5°. Reduction
     of I over Pd/C in AcOH gave 84% 2-amino-1H-3-benzazepine-HBr (III), m.
     234°. III refluxed with NaOH gave
     2-oxo-2,3-dihydro-1H-3-benzazepine (IV), m. 161°. Reduction of IV over
     Pd/C in AcOH gave 2-oxo-2,3,4,5-tetrahydro-1H-3-benzazepine, m.
     160°. Reduction of IV with LiAlH4 yielded 1(or
     2)-hydroxy-2,3,4,5-tetrahydro-1H-3-benzazepine, m. 132°. IV (1.2)
     g.) refluxed with 6 ml. Ac2O and 1.5 g. NaOAc 7 hrs. gave 90%
     2-oxo-3-acetyl-2,3,-dihydro-1H-3-benzazepine (V), m. 81°. Alkaline
     hydrolysis of V gave IV. IV (5 g.) refluxed with 50 ml. MeOH and 5 ml.
     concentrated HCl gave 4.5 g. o-MeO2CCH2C6H4CH2CH(OMe)2, b0.35 124-5°,
     nD25 1.5045. IV (1.35 g.) in 5 ml. AcOH was treated with 1.35 g. Br to
     give 46% 2-oxo-5-bromo-2,3-dihydro-1H-3-benzazepine (VI), m. 184°.
     Oxidation of VI gave phthalic acid. Reductive dehalogenation of VI with Zn
     in CuSO4-H2SO4 gave IV. Bromination of IV in AcOH gave
     2-oxo-1,5-dibromo-2,3-dihydro-1H-3-benzazepine, m. 173°.
     q.) in 90 ml. Me2CO treated with 10 ml. MeI gave 5.15 q.
     2-amino-3-methyl-1H-benzazepinium iodide (VII), m. 205°. Similarly
     was prepared 88% 2-amino-3-ethyl-1H-benzazepinium iodide (VIII), m.
     218-20°. VIII refluxed with NaOH gave 82%
     2-oxo-3-ethyl-2,3-dihydro-1H-3-benzazepine (IX), b0.4 127-8°, nD21
     1.5981. Hydrogenation of IX over Pd/C gave 100%
     2-oxo-3-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepine (X), m. 107^{\circ}. X
     (0.5 g.) was refluxed with 0.5 g. LiAlH4 in 50 ml. Et2O 3 hrs. and worked
     up to give 0.4 g. 3-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepine-HCl (XI), m.
     232-4^{\circ}. X (0.8 g.) refluxed with 1 g. KOH in 10 ml. EtoH 10 hrs.
     gave o-HO2CCH2C6H4CH2CH2NHEt; picrate m. 162°. Reduction of IX with
     LiAlH4 gave 87% 3-ethyl-2,3-dihydro-1H-3-benzazepine, b0.25 103-5°,
     nD23 1.6192. Bromination of IX in AcOH gave 70%
     2-oxo-3-ethyl-5-bromo-2,3-dihydro-1H-3-benzazepine (XII), m. 78°.
     Reduction of VIII gave XI. Similarly was prepared
     3-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine-HCl, m. 251-2°.
     19301-11-2P
IT
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of)
RN
     19301-11-2 CAPLUS
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CN 1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro- (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L20 ANSWER 70 OF 70 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1967:2461 CAPLUS

DOCUMENT NUMBER: 66:2461

ORIGINAL REFERENCE NO.: 66:518h,520a,522a

TITLE: 2,3,4,5-Tetrahydro-1H-3-benzazepin-1-ones and

hexahydroimidazoisoguinolines

AUTHOR(S): Hazebroucq, Georges

CORPORATE SOURCE: Pharm., Centrale Hop., Paris, Fr.

SOURCE: Annales de Chimie (Paris, France) (1966), 1(5/6),

221-54

CODEN: ANCPAC; ISSN: 0151-9107

DOCUMENT TYPE: Journal LANGUAGE: French

CASREACT 66:2461 OTHER SOURCE(S):

For diagram(s), see printed CA Issue. The synthesis of the above benzazepinones was approached by intramol. acylation by amides in the presence of hydrated POCl3. Homoveratrylamine (25 g.) in 200 ml. Et20 and 50 ml. 2N aqueous Na2CO3 stirred 3 hrs. at 20° with gradual addition of 50 g. p-Me-C6H4SO2Cl and 150 ml. 2N Na2CO3 stirred 3 hrs. at 20° with gradual addition of 50 g. p-MeC6H4SO2Cl and 150 ml. 2N Na2CO3 and filtration yielded 41 g. crystalline 3,4-(MeO)2C6H3CH2CH2NH-SO2C6H4Me (I), m. 136°. Similarly were prepared the N-SO2Me and N-SO2CH2Ph homologs, m. 72° (C6H6), and m. 93° (alc.) in 76 and 84% yields, resp. Toluenesulfonation of the appropriate amines yielded 83% PhCH2CH2NHSO2C6H4Me (II), m. 67° (alc.), and 62% 3,4-(EtO)2C6H3CH2NHSO2C6H4Me, m. 72° (alc.), v 3300 cm.-1 I (1.1 g.) 0.35 g. ClCH2CONH2, and 1 g. K2CO3 refluxed 16.5 hrs. in 30 ml. Me2CO and the product recrystd. from alc. gave 1.05 g. 3,4-(MeO) 2C6H3CH2CH2N-(CH2CONH2) SO2C6H4Me (III), m. 168°. Similar coupling with C1CH2CN gave 59% yield of 3,4-(MeO) 2C6H3CH2CH2N(CH2-CN)SO2C6H4Me (IV), m. 98°, also obtained by dehydration of III by the action of POCl3. III (0.5 g.) and 1 ml. POC13 refluxed 1 hr. in 10 ml. C6H6 and poured onto ice, diluted with 20 ml. C6H6 and the dried. organic layer distilled yielded 0.2 g. IV. Various N-acyl-N-acetanilidophenethylamines (V) were prepared with a view to their successful cyclization. II (9.1 g.), 5.6 g. ClCH2CONHPh, and 10 g. K2CO3 refluxed 24 hrs. in 165 ml. Me2CO and the cooled mixture filtered gave 10.1 g. V (R = H, R' = p-MeC6H4SO2) (VI), m. 121° (alc.). Similarly were prepared V (R, R', m.p./solvent, v in cm.-1, and % yield given): OMe, p-MeC6H4SO2 (VII), 148°/alc., -, 66; OEt, p-MeC6H4SO2, 124°/alc., 3300, 1680, 78; OMe, MeSO2, 133°/C6H6, 3290, 1675, -; OMe, PhCH2SO2, 148°/alc., 3300, 1680, 95. I (33.5 g.), 18.4 g. ClCH2CONMePh, and 5 g. K2CO3 refluxed 27 hrs. in 500 ml. Me2CO and the crystalline product (49 g.) recrystd. in 300 ml. alc. yielded 75% 3,4-(MeO) 2-C6H3CH2N(Ts)CH2CONMePH (VII) (Ts=tosyl), m. 120° . ClCH2CONHPh (1.7 g.), 3.08 g. 3,4-(MeO) 2C6H3CH2CH2NHCH2Ph.HCl, and 5 g. K2CO3 refluxed 48 hrs. in 50 ml. Me2CO and the product (3.65 g.) taken up in 20 ml. C6H6 (C) and the filtered solution diluted with 50 ml. petr. ether gave 2.2 g. 3,4-(MeO) 2C6H3-CH2CH2N (CH2Ph) CH2CONHPh, m. 67° (Bu2O). Since III was converted to the nitrile (IV) by freshly prepared POC13 and successful cyclization had been noted with old samples of POC13, a procedure for preparing artificially aged POC13 was established. Tech. POC13 (500 g.) was redistd. under anhydrous conditions and the main fraction (400 g.) added with magnetic stirring to 20 g. H2O in a flask (ice bath) surmounted by a condenser guarded by a CaCl2 tube. The reaction evolved HCl and was carried out under a hood. The hydrated POC13 was kept in a ground glass stoppered flask with a Hg-filled bulb tube and maintained its

cyclization properties several months. V (2 g.) and 4 ml. hydrated POCl3 refluxed 1.5 hrs. in 40 ml. C6H6 and the solvent evaporated in vacuo, the residue taken up in 40 ml. alc. and the crystalline product recrystd. gave the 3-alkyl(aryl)sulfonyl-2,3,4,5-tetrahydro-1H-3-benzazepin-1-ones (IX) (R, R', % yield, m.p./solvent, and v in cm.-1 given): MeO, p-MeC6H4SO2 (X), -, 211°/alc., 1670; EtO, p-MeC6H4SO2 (XI), 55, 129°/AcOH, 1660; MeO, MeSO2 (XII), 66, 219°/alc., 1670; MeO, PhCH2SO (XIII), 77, 172°/alc., 1670. VI (1 g.) refluxed 3 hrs. with 2.5 ml. hydrated POCl3 in 20 ml. C6H6 and the residue on distillation in vacuo taken up in 15

ml.

alc. yielded 36% 2-tolylsulfonyl-1,2,3,4-isoquinoline, m. 145° (AcOH), lacking ir CO band and giving no 2,4-dinitrophenylhydrazone. VII (1 g.) and a mixture of 1 ml. redistd. POCl3 and 5.9 g. polyphosphoric acid heated 1 hr. on a steam bath, the mixture taken up in 20 ml. alc. and filtered after several hrs. gave 0.1 g. precipitate, m. 144°, regarded as impure VII. No cyclization product was obtained on treatment of VIII with hydrated POCl3. In general, successful cyclization of N-acetanilidophenethylamines to benzazepinones the N atom should be protected by sulfonyl groups, the benzene ring activated by substituent groups, the amide monosubstituted, and hydrated POCl3 used. The 2 last requirements differentiate the described reaction from the Vilsmeier-Haack reaction. A mechanism postulating a chloropyrophosphoric ester of the hydroxyimide form of the amide as intermediate was described. The chemical properties of IX were investigated. X (0.3 g.) in 10 ml. MeOCH2CH2OMe and 0.5 g. 2,4-(O2N)2C6H3NHNH2 in 15 ml. solvent containing 9 drops of concentrated HCl

gave after 4 days 99% yield of hydrazone, C25H25N5, m. 235-8°. X (1.60 g.) and 0.2 g. p-Me-C6H4SO3H refluxed 9 hrs. under a Dean-Stark head and the washed (aqueous NaHCO3) and dried solution evaporated yielded 92% ketal (XIV), m. 142° (alc.). IX were unchanged on refluxing with HCl in aqueous, alc., and AcOH solns. but were unstable in alkaline solution Accordingly

2-substituted derivs. were prepared X (5 g.) in 200 ml. diglyme treated at 40° with 5 g. KBH4 in 20 ml. H2O and the mixture heated 45 min. on a steam bath, diluted with 500 ml. H2O and gradually acidified with concentrated HCl

yielded 91% 3-tolylsulfonyl-7,8-dimethoxy-2,3,4,5-tetrahydro-1-H-3benzazepin-1-ol (XV). XII was similarly reduced to the corresponding benzazepinol, m. 183°. XV (8 g.) heated 1 hr. (metal bath) at 190-200°/14 mm. with gas evolution and the residue taken up in alc., the decolorized solution filtered and chilled gave 3-tolylsulfonyl-7,8-dimethoxy-4,5-dihydro-1H-3-benzazepine (XVI), m. 144° (alc.), also obtained by refluxing XV in dilute HCl 20 hrs. X (5 g.) and 1.5 g. SeO in 3 ml. H2O refluxed 2 hrs. in 50 ml. AcOH and the decolorized filtered solution cooled gave 3-tolylsulfonyl-1,2-dioxo-7,8-dimethoxy-2,3,4,5-tetrahydro-1H-3benzazepine, m. 210° (alc.), also obtained by SeO2 oxidation of XVI. XVI (0.438 g.) hydrogenated in 20 ml. AcOH 1 hr. over 0.048 g. 5% Pd-C and the filtered solution diluted with H2O yielded 70% 3-tolylsulfonyl-7,8-dimethoxy-2,3,4,5-tetrahydro-1H-3-benzazepine (XVII), m. 114° Clemmensen reduction of X yielded 88% 2-tolylsulfonyl-1-methyl-6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline, m. 150° (alc.), also prepared by toluenesulfonation of salsolidine. XII (3 g.) and 1.8 g. Br in 90 ml. AcOH kept 20 hrs. at 20° and the filtered solution evaporated in vacuo, the residue extracted 3 times by 50 ml. CHC13

in the presence of 100 ml. H2O yielded 76%

3-methylsulfonyl-7,8-dimethoxy-2,3,4,5-tetrahydro-1H-3-benzazepin-1-one (XVIII), m. 164° (C6H6), giving a 2,4-dinitrophenylhydrazone. SeO2 (0.22 g.) and 0.6 g. XII refluxed 2 hrs. in 10 ml. AcOH and the hot filtered solution cooled yielded 79% 3-methylsulfonyl-1,2-dioxo-7,8-dimethoxy-2,3,4,5-tetrahydro-1H-3-benzazepine (XIX), also obtained by CrO3-AcOH oxidation of XVIII. XII (20 g.) stirred 4.5 hrs. in 1 l. alc. containing 7.4

g. BzH and 200 ml. aqueous KOH and the mixture diluted with 11. H2O, kept $18\ \mathrm{hrs.}$ and

decolorized with C in 1 l. warm PhMe, filtered hot and cooled yielded 90% 3-methylsulfonyl-7,8-dimethoxy-2-benzylidene-2,3,4,5-tetrahydro-1H-3-benzazepin-1-one (XX), m. 231°. XX (0.340 g.) hydrogenated 53 min. in 25 ml. AcOH over 0.036 g. 5% Pd-C and the hot filtrate diluted with H2O yielded 94% 3-methylsulfonyl-7,8-dimethoxy-2-benzyl-2,3,4,5-tetrahydro-1H-3-benzazepin-1-one (XXI), m. 173° (alc.), v 1680 cm.-1, giving a 2,-dinitrophenylhydrazone. KBH4 reduction of XX diglyme at 40° yielded 75% 3-methyl sulfonyl-7,8-dimethoxy-2-bezylidene-2,3,4,5tetrahydro-1H-3-benzazepin-1-ol (XXII), m. 150° (alc.), v 3470-550 cm.-1 Catalytic hydrogenation of XXII in AcOH over 5% Pd-C 6 hrs. gave 68% 3-methylsulfonyl-7,8-dimethoxy-2-benzyl-2,3,4,5-tetrahydro-1H-3benzazepin-1-ol (XXIII), m. 161° (C6H6), also obtained by KBH4 reduction of XXI in alc. The shift in the ir absorption in XXI in comparison to that of XX was attributed to diminution of conjugation. N-S cleavage of the benzazepine sulfamides was studied in the alkali-stable non-ketonic and alkali-instable ketonic derivs. XVII (3 g.) heated 4 hrs. on a steam bath with 6 g. PhOH and 15 ml. 48% HBr and the cooled mixture extracted with Et20 and the residual aqueous layer freed from

yielded 0.45 g. 3-tolylsulfonyl-7-hydroxy-8-methoxy-2,3,4,5-tetrahydro-3-benzazepine, m. 162° (alc.), giving a yellow color with alc. FeCl3. The aqueous filtrate decolorized and evaporated in vacuo yielded 46% impure 7,8-dihydroxy-2,3,-4,5-tetrahydro-1H-3-benzazepine-HBr salt together with a non-demethylated compound XVII (1 g.) in 50 ml. liquid NH3 treated portionwise with 0.35 g. Na and the blue solution decolorized after 15 min. with 2 g. NH4Cl, the residue on evaporation taken up in 50 ml. H2O and treated with 20 ml. aqueous NaOH, extracted with 300 ml, Et2O gave 7,8-dimethoxy-2,3,4,5-tetrahydro-1H-3-benzazepine. characterized as the HCl salt, m. 247°, and 3-acetyl derivative, m. 128°. Attempts to detoluenesulfonate XVI with Na in NH3 or in alc. gave unidentified mixts. XV (2 g.) in 200 ml. absolute alc. treated portionwise with 11.6 g. Na under gentle reflux and the solution adjusted to pH 6 with concentrated HCl,

the

Et20

alc. evaporated in vacuo and the aqueous solution extracted with pH 6 with 300 ml. Et20,

made quite alkaline with Na2CO3 and extracted with 225 ml. C6H6 yielded 92% 1-hydroxy-7,8-dimethoxy- 2,3,4,5-tetrahydro-1H-3-benzazepine (XXIV), m. 161° (Me2CO). XIV treated with Ca in liquid NH3 gave a mixture of unidentified compds. and the parent X yielded no stable product on detoluenesulfonation in basic, neutral, or acid media. Accordingly attempts were made to cleave the N-S bond of the derivative XXI. KOH (8 g.) in 400 ml. 90% EtOH and 24 g. XXI refluxed 1 hr. and the solution saturated with CO2, filtered and the residue on evaporation taken up in dilute HCl, the acid solution (pH 6) filtered from a yellow base (XXV) and the filtrate made alkaline in the presence of Et2O, filtered and the mixed bases, m. 130-50° crystallized from 900 ml. alc. yielded 31% base (XXVI), m. 230°. The alc. mother liquors acidified and evaporated, the carefully dried HCl salt taken up in 75 ml. MeOH and diluted with 500 ml. Et2O yielded

53% base (XXVII), m. 135° (C6H6). XXI (12 g.) refluxed 6 hrs. with 2.4 g. NaOMe in 200 ml. MeOH and the mixture filtered after 18 hrs. at 20° gave 93% yield of 7,8-dimethoxy-2-benzyl-4,5-dihydro-1-H-3-benzazepin-1-one (XXV), m. 185°. XXV (1 g.) and 1 g. KBH4 refluxed 3.7 hrs. in 20 ml. MeOH and the colorless solution diluted with 20 ml. H2O, acidified gradually with concentrated HCl and the alc. evaporated, the residue taken

up in Et20 and made alkaline with Na2CO3. filtered from 0.3 g. 7,8,-dimethoxy-2-benzyl-4,5-dihydro-1-H-3-benzazepin-1-ol (XXVI), m. 179° (EtOAc), and the filtrate extracted with Et2O, the amorphous residue on evaporation taken up in 5 ml. C5H5N and treated with 0.4 ml. MeSO2Cl, kept 18 hrs. at 20° and diluted with H2O and Et2O yielded 7% 3-methsulfonyl-7,8-dimethoxy-2-benzyl-2,3,4,5-tetrahydro-1-H-3benzazepin-1-ol (XXVII), m. 217° (alc.), no ir bandat 3300 cm.-1 XXV (2.4 g.) and 0.9 g. KOH in 30 ml. alc. refluxed 15 min. and acidified with 1.3 ml. concentrated HCl, the filtered solution evaporated and the residue together with the precipitate from filtration taken up in 50 ml. H2O and acidified, filtered from 0.2 g. XXV and made alkaline in the presence of Et20 gave 2 g. mixed bases, recrystd. to give 26% yield XXVI and 39% XXVII. XXVII (0.5 g.) in 5 ml. hot alc. refluxed 1 hr. with 0.1 g. KOH and the product recrystd. yielded 80% XXVI. XXVI (0.5 g.) and 0.5 g. KBH4 refluxed 1 hr. in 10 ml. MeOH and kept 1.5 hrs. at 20°, acidified with 2 ml. concentrated HCl and boiled 2 min., the residue on evaporation taken up in

10 ml. H2O and the precipitated HCl salt (0.54 g.) taken up in 3 ml. MeOH and diluted with Et2O, the precipitate taken up in 7 ml. H2O and made alkaline gave 1,2-dihydroxy-7,8-dimethoxy-2-benzyl-2,3,4,5-tetra-hydro-1-H-3-benzazepine, m. 196° (alc.). Similar reduction of the isomeric base XXVII gave the glycol, m. 159° (alc.). XXVII was characterized by the 3-benzoyl derivative (XXVIII), m. 187° (alc.). Similarly from XXVI was formed the 3-benzoyl derivative (XXIX), m. 152° (70% alc.). XXIX (0.1 g.) and 0.1 ml. concentrated aqueous Na2CO3 kept 24 hrs. at

20° in 3 ml. alc. and the mixture diluted with H2O, filtered and the residue recrystd. in 2 ml. alc. gave XXVIII. Thus benzoylation of XXVI in the presence of excess alkali yields XXVIII and not XXIX. XXIX heated to 198° in 80 min. and the cooled product taken up in 10 ml. hot alc. gave 0.16 g. precipitate recrystd. from C6H6-petroleum ether and from alc. to give a small amount of XXVIII, m. 186-7°. XXVIII was reconverted in boiling alc. containing KOH to give the free base XXVI. XXVI (0.3 g.) heated 3 hrs. on a steam bath with 3 ml. Ac20 yielded 60% 3-accetyl-7,8-dimethoxy-2-benzyl-2,3,4,5-tetrahydro-1-H-3-benzazepin-1-ol, m. 211° (alc.). Similarly, treatment of XXVII gave 3-acetyl-7, 8-dimethoxy-2-benzyl-2,3,4,5-tetra-hydro-1-H-3-benzazepin-1-one, m. 240° (alc.). The oxidation of the isomeric bases XXVI and XXVII with HIO4 took place with consumption of 2 atoms O and gave in both expts. the same 6,7-dimethoxy-1-oxo-3-phenylacetamidoisochroman (XXX), m. 209° (AcOH). XXX (1.5 g.) and 1 g. KOH refluxed 3 hrs. in 15 ml. alc. with evolution of a volatile base and the diluted solution freed from alc. by evaporation, extracted with 80 ml. Et2O, and acidified with HCl gave an unidentified compound, C13H14O5, m. 264°, v 1690 cm.-1 The Et2O exts. dried and evaporated gave several mg. Ph-NHAc, m. 157°. XXX (2 g.) and 6 ml. concentrated HCl refluxed 2 hrs. in 30 ml. alc. and the solution diluted with 30 ml. H2O, the alc. evaporated along with an oily product, the

solution cooled, and the crystalline product $(0.9~\rm g.)$ recrystd. from alc. gave 3,4-dimethoxy-homophthalaldehydic acid (XXXI), m. 168°, tautomeric

KOH,

with $1-\infty$ 0-3-hydroxy-6,7-dimethoxyisochroman. The distillate diluted with H2O and extracted with Et2O gave 0.2 g. oily PhCH2CO2Et, saponified to the acid.

Oxidation of XXXI with iodine in 5% aqueous K2CO3 showed consumption of 5 atoms iodine per mol. XXXI implying complex reaction with duplication. Homoveratric alc. (10 q.) in 30 ml. C6H6 treated with 2.06 q. 1,3,5-trioxane and the mixture saturated 1 hr. with dry HCI, refluxed 1 hr. and the HCI partially removed in vacuo, diluted with 50 ml. H2O and extracted with 300 ml. Et20 gave 10.4 g. product, crystallized from 10 ml. Et20 at -10° to yield 53% 6,7-dimethoxyisochroman, m. 79°, oxidized with CrO3-AcOH to yield 65% 1-oxo-6,7-dimethoxyisochroman, m. 141°, identical with the product obtained by KBH4 reduction of XXXI. Attempts to obtain 2-phenyl-2,3,4,5-tetrahydro-3-benzazepin-1-one from α -(3,4-dimethoxyphenethylamino)phenylacetic acid (XXXII, R = OMe) (XXXIII) were unsuccessful, and led by a Bischler-Napieralsky reaction to conversion of N-acyl anilides to N-substituted-3,4-dihydroisoquinolinium compds., cyclizing reversibly in alkaline media to substituted hexahydroimidazoisoquino-lines. The amide, N-benzoyl-N- $(\alpha$ -cyanobenzyl)-3,4-diethoxy-homoveratrylamine (XXXIV) was submitted to various treatments with a view to its cyclization to a tetrahydrobenzazepinone through the intermediate imine (XXXV) by a Hoesch reaction. XXXIV (2 g.) kept 48 hrs. at 20° in 20 ml. POC13 and poured onto ice, the yellow solution alkalized by a large excess of aqueous

and the dried precipitate washed with alc. yielded 75% colorless polymer, m. 317-19°, resisting reduction by Na in boiling isoamyl alc. The polymer gave an analysis in agreement with that of the expected XXXV. XXXII (R = OEt) (1 g.) refluxed 5 min. in 10 ml. C6H6 containing 1 g. PCI5 and the violet solution treated at 0° with 1 g. Sn-Cl4, poured onto ice and the solution heated on a steam bath, decanted and the acid solution and washings made alkaline with aqueous KOH yielded 40% 6,7-diethoxy-1-phenyl-1,2,3,4-tetrahydroisoquinoline, m. 103-4°, characterized as the 2-benzoyl derivative, m. 183°. Attempts were then made to synthesize and cyclize the anilide of XXXIII. Amidification by PhNH2 in the presence of C6H11-N: C: NC6H11 and the refluxing the acid in xylene or Tetralin with an excess of PhNH2 under a Dean-Stark head were unsuccessful as was refluxing the acid amine in PhNH2. XXXIII (10 q.) refluxed 1.5 hrs. in 400 ml. C6H6 with 200 ml. POC13 and 10 ml. PhNH2 and the C6H6 and excess POCl3 distilled at 100°/14 mm., the residue taken up in 200 ml. H2O and extracted with 600 ml. CH-Cl3, the product stirred with 200 ml. Et20, 200 ml. H20, and 30 ml. aqueous KOH and the aqueous layer extracted

twice with Et2O, the H2O-washed Et2O layer extracted with HCl and the extract reextd. with CH-Cl3 yielded 42% anilide (XXXVI, R = NHPh, R1 = H) (XXXVII) HCl salt, m. 188°. Use of stoichiometric amts. of PhNH2 or a very small excess led to formation of 1,4-bis(3,4-dimethoxyphenethyl-2,5-dioxo-3,6-diphenylpiperazine, m. 213° (AcOH), hydrolyzed by KOH in boiling isoamyl alc. to give XXXIII. XXXVI refluxed in C6H6 with POCl3 gave a colored mixture from which no pure compound could be separated. The corresponding N-tosyl anilide (XXXVI, R = NPh, R1 = p=MeC6H4SO2) treated under previously defined cyclization conditions gave mixture of unisolated compds. Attempts were made to protect the amino N atom and to cyclize the formylated derivative XXXIII (20 g.) in 32 ml. 1:1 Ac2O-96-8% HCO2H kept 3 days at 37° and stirred with 2 l. H2O yielded 20.5 g. XXXVI (R = OH, R1 = CHO) (XXXVIII), m. 117° (C6H6). XXXVIII HCl salt (2.9 g.) stirred with 6 ml. N NaOH in 30 ml. H2O and 40 ml. Et2O, the Et2O and Et2O washings evaporated and the residue kept 5 days at 37° in 6 ml. 1:1

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Ac20-HC02H, the mixture diluted with 50 ml. H2O and extracted with C6H6 gave
2.42
     g. semiliquid XXXVI (R = NPh, R1 = CHO) (XXXIX).
  XXXIX (2.42 g.) refluxed 85 min. in 30 ml. C6H6 with 5 ml. hydrated POCl3 and
     the residue on evaporation taken up in 25 ml. alc., the solution evaporated in
     stream of air, and the residue extracted with Et20 yielded 11%
     1,3-diphenyl-2-oxo-8,9-dimethoxy-1,2,3,5,6,10b-hexahydroimidazo
     [2,1-a]isoquinoline (XL, R = H) (XLI). XXXVIII (10 g.), 3.4 ml. PhNH2, and
     20 ml. hydrated POC13 refluxed 1.5 hrs. in 200 ml. C6H6 and the residue on
     evaporation taken up in 30 ml. alc., the solution diluted with 400 ml. H2O and
     neutralized by aqueous KOH in the presence of 50 ml. Et20, kept 18 hrs. at
     0^{\circ} and the yellow crystalline product recrystd. from alc. yielded 52%
     XLI, m. 184^{\circ}. XLI(0.5 g.) refluxed 3 hrs. in 12.5 ml. alc. with
     2.5 g. KOH and the solution diluted with H2O, acidified with 7.5 ml. HCl and
     the alc. evaporated, the acid solution washed with Et20 and the Et20 washings
     extracted with 0.5N NaOH, the alkaline solution acidified and the precipitate
recrystd. from
     MeOH gave a few mg. of 2-(\alpha-\text{carboxybenzyl})-6,7-\text{dimethoxy}-3,4-
     dihydroisocarbostyryl, m. 201°. The acid solution treated by BzCl in alkaline medium gave small amts. of PhNHBz, m. 163°. XLI reduced with
     KBH4 2 hrs. in refluxing alc. yielded 70%
     2-phenylacetanilido-6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline, m.
     194^{\circ}. XLI (306 mg.) hydrogenated in 20 ml. AcOH with 0.304 g. 5%
     Pd-C 45 min. with 2 moles H and the filtered solution distilled, the residue
     crystallized from alc. to give 0.15 g. PhCH2CONHPh, m. 117^{\circ}, and 0.2 g.
     2-benzoyl-6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline, m. 110°.
     XLI (0.148 g.) treated with 3.85 ml. 0.1N HCl and 10 ml. absolute alc. and the
     residue on evaporation taken up in 5 cc. H2O, extracted with 20 ml. CHCl3 and
the
     product crystallized from MeOH and Et20 gave 0.15 g.
     6,7-dimethoxy-2-phenylacetanilido-3,4-dihydroisoquinolinium chloride, m.
     172°, corresponding to the open structure. Acetylation and
     benzoylation of XXXVII gave the corresponding XXXVI (R = NHPh, R1 = Ac)
     (XLII), m. 136^{\circ} (70% alc.), and XXXVI (R = NHPh, R1 = Bz) (XLIII),
     m. 179^{\circ} (alc.). XXXVII. HCl (1 g.) and 5 ml. N NaOH shaken with 0.9
     q. p-MeC6H4SO2Cl in 10 ml. Et2O 1 hr. and the mixture shaken 1 hr. with 5
     ml. N NaOH and 10 \text{ ml.} Et2O yielded after 3 days 90\% XXXVI (R = NHPh, R1 =
     p-MeC6H4SO2) (XLIV), m. 201°. XLII (1 g.) refluxed 70 min. in 20
     ml. C6H6 with 2 ml. hydrated POC13 and the cyclized product isolated
     yielded 35% imidazoisoquinoline XL (R = Me), m. 149°. Similar
     treatment of XLIII gave colorless XL (R = Ph) (XLV), m. 206°
     (alc.), mol. weight 475 (acidimetry), yielding a yellow green isoquinolinium
     chloride. Hydrogenolysis of XLV gave PhCH2CONHPh and
     1-phenyl-6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline. The reported
     double cyclization opens a new route to a little known polycyclic system.
     Ir spectral data were given for some of the compds. prepared
IT
     14165-92-5P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of)
     14165-92-5 CAPLUS
RN
     1H-3-Benzazepin-1-ol, 2,3,4,5-tetrahydro-7,8-dimethoxy- (CA INDEX NAME)
CN
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RN 46857-12-9 REGISTRY

ED Entered STN: 16 Nov 1984

CN 3H-3-Benzazepine-3-carboximidamide,

1,2,4,5-tetrahydro-1,1,5,5-tetramethyl- (CA INDEX NAME)

MF C15 H23 N3

CI COM

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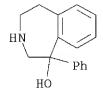
Entered STN: 10 Aug 2004 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,1,3,5,5-pentamethyl- (CA INDEX CN

C15 H23 N MF

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RN 61034-78-4 REGISTRY

ED Entered STN: 16 Nov 1984

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-methyl-1-(phenylmethyl)- (CA INDEX

MF C18 H21 N

CI COM

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RN 61034-75-1 REGISTRY

ED Entered STN: 16 Nov 1984

CN 1H-3-Benzazepine, 1-[(4-chlorophenyl)methyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)

MF C17 H18 C1 N

CI COM